

=> d his

(FILE 'HOME' ENTERED AT 21:32:26 ON 13 AUG 2010)

FILE 'REGISTRY' ENTERED AT 21:32:41 ON 13 AUG 2010

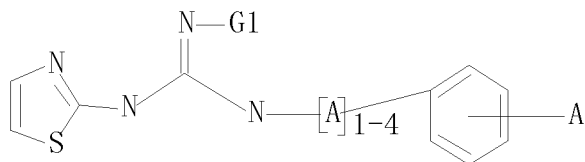
L1 STRUCTURE UPLOADED

L2 24 S L1

L3 409 S L1 FULL

=> d que 13 stat

L1 STR



G1 H, O, C, S02, Cy

Structure attributes must be viewed using STN Express query preparation.

L3 409 SEA FILE=REGISTRY SSS FUL L1

100.0% PROCESSED 8104 ITERATIONS

409 ANSWERS

SEARCH TIME: 00.00.01

=> s 13 and caplus/lc

71939397 CAPLUS/LC

L4 293 L3 AND CAPLUS/LC

=> s 13 not 14

L5 116 L3 NOT L4

=> s 15 and ed<2/15/2005

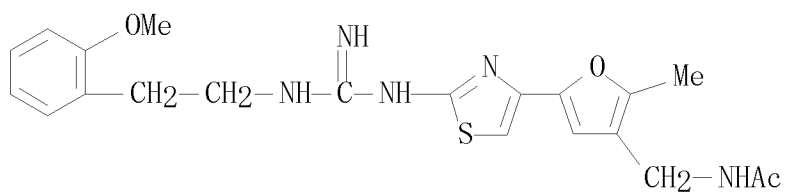
80740284 ED<2/15/2005

(ED<20050215)

L6 45 L5 AND ED<2/15/2005

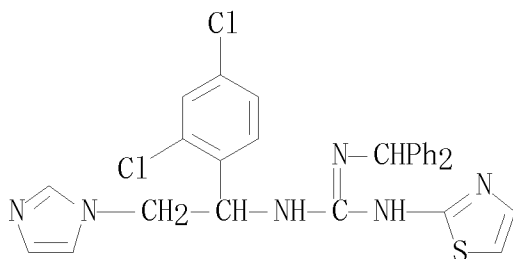
=> d 1-45 ide can

L6 ANSWER 1 OF 45 REGISTRY COPYRIGHT 2010 ACS on STN  
RN 791572-19-5 REGISTRY  
ED Entered STN: 02 Dec 2004  
CN Acetamide, N-[[5-[2-[[imino[[2-(2-methoxyphenyl)ethyl]amino]methyl]amino]-4-thiazolyl]-2-methyl-3-furanyl]methyl]- (CA INDEX NAME)  
MF C21 H25 N5 O3 S  
CI COM  
SR CA



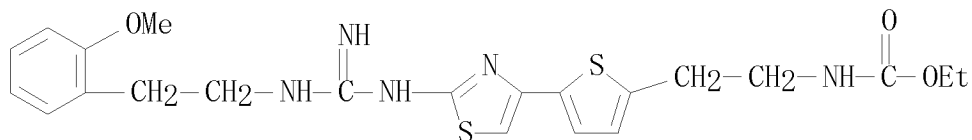
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L6 ANSWER 2 OF 45 REGISTRY COPYRIGHT 2010 ACS on STN  
RN 780035-07-6 REGISTRY  
ED Entered STN: 14 Nov 2004  
CN Guanidine, N-[1-(2,4-dichlorophenyl)-2-(1H-imidazol-1-yl)ethyl]-N'-(  
(diphenylmethyl)-N'',-2-thiazolyl- (CA INDEX NAME)  
MF C28 H24 Cl2 N6 S  
CI COM  
SR CA



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L6 ANSWER 3 OF 45 REGISTRY COPYRIGHT 2010 ACS on STN  
RN 768339-78-2 REGISTRY  
ED Entered STN: 24 Oct 2004  
CN Carbamic acid, [2-[5-[2-[[imino[[2-(2-methoxyphenyl)ethyl]amino]methyl]amino]-4-thiazolyl]-2-thienyl]ethyl]-, ethyl ester (9CI) (CA INDEX NAME)  
MF C22 H27 N5 O3 S2  
CI COM  
SR CA



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L6 ANSWER 4 OF 45 REGISTRY COPYRIGHT 2010 ACS on STN

RN 766504-26-1 REGISTRY

ED Entered STN: 21 Oct 2004

CN Benzoic acid, 2-[[4-[2-[4-(4-chlorophenyl)-2-[[[(4-methylphenyl)sulfonyl]amino][(sulfomethyl)imino]methyl]amino]-5-thiazolyl]diazenyl]-2,5-diethoxyphenyl]thio]- (CA INDEX NAME)

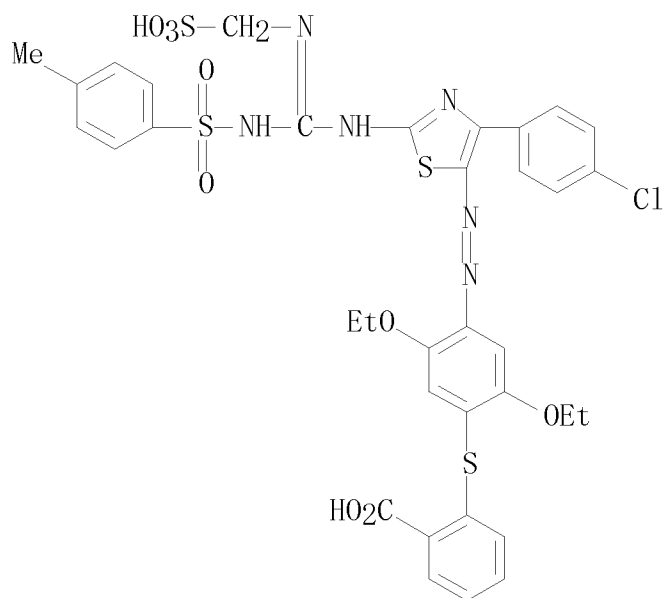
OTHER CA INDEX NAMES:

CN Benzoic acid, 2-[[4-[4-[4-(4-chlorophenyl)-2-[[[(4-methylphenyl)sulfonyl]amino][(sulfomethyl)amino]methylene]amino]-5-thiazolyl]azo]-2,5-diethoxyphenyl]thio]- (9CI)

MF C35 H33 Cl N6 O9 S4

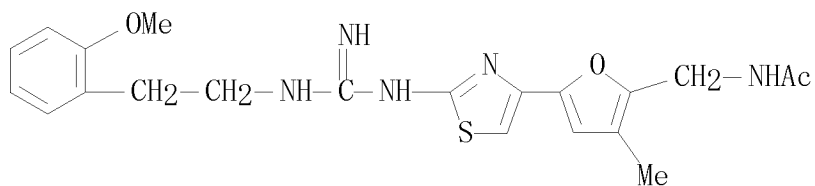
CI COM

SR CA



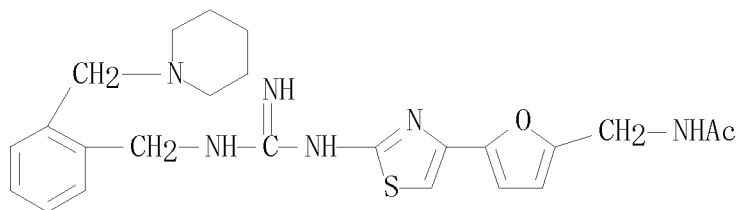
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L6 ANSWER 5 OF 45 REGISTRY COPYRIGHT 2010 ACS on STN  
RN 765256-77-7 REGISTRY  
ED Entered STN: 19 Oct 2004  
CN Acetamide, N-[[5-[2-[[imino[[2-(2-methoxyphenyl)ethyl]amino]methyl]amino]-4-thiazolyl]-3-methyl-2-furanyl]methyl]- (CA INDEX NAME)  
MF C21 H25 N5 O3 S  
CI COM  
SR CA



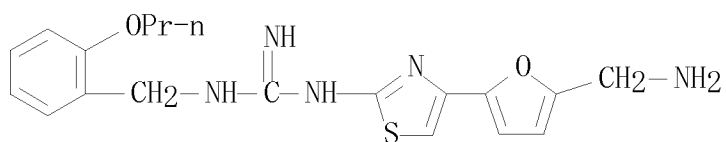
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L6 ANSWER 6 OF 45 REGISTRY COPYRIGHT 2010 ACS on STN  
RN 763903-17-9 REGISTRY  
ED Entered STN: 15 Oct 2004  
CN Acetamide, N-[[5-[2-[[imino[[2-(1-piperidinylmethyl)phenyl]methyl]amino]methyl]amino]-4-thiazolyl]-2-furanyl]methyl]- (CA INDEX NAME)  
MF C24 H30 N6 O2 S  
CI COM  
SR CA



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

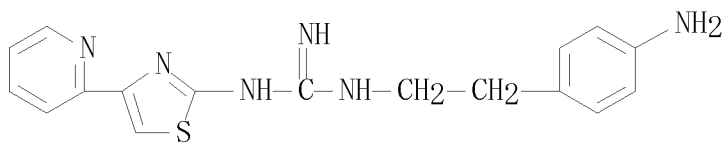
L6 ANSWER 7 OF 45 REGISTRY COPYRIGHT 2010 ACS on STN  
RN 760150-11-6 REGISTRY  
ED Entered STN: 11 Oct 2004  
CN Guanidine, N-[4-[5-(aminomethyl)-2-furanyl]-2-thiazolyl]-N'-[(2-propoxyphenyl)methyl]- (CA INDEX NAME)  
MF C19 H23 N5 O2 S  
CI COM  
SR CA



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

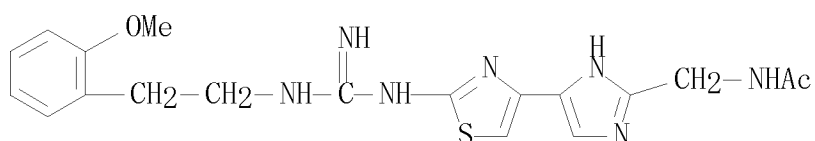


L6 ANSWER 8 OF 45 REGISTRY COPYRIGHT 2010 ACS on STN  
RN 759414-54-5 REGISTRY  
ED Entered STN: 08 Oct 2004  
CN Guanidine, N-[2-(4-aminophenyl)ethyl]-N'-[4-(2-pyridinyl)-2-thiazolyl]-  
(CA INDEX NAME)  
MF C17 H18 N6 S  
CI COM  
SR CA



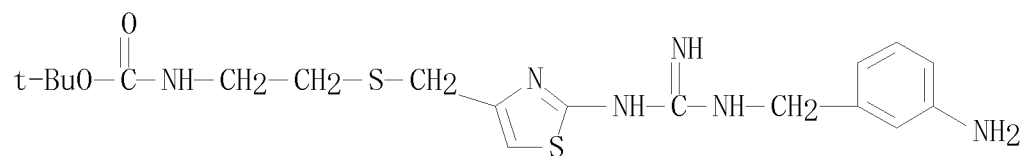
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L6 ANSWER 9 OF 45 REGISTRY COPYRIGHT 2010 ACS on STN  
RN 757934-21-7 REGISTRY  
ED Entered STN: 07 Oct 2004  
CN Acetamide, N-[[5-[2-[[imino[[2-(2-methoxyphenyl)ethyl]amino]methyl]amino]-4-thiazolyl]-1H-imidazol-2-yl]methyl]- (CA INDEX NAME)  
OTHER CA INDEX NAMES:  
CN Acetamide, N-[[4-[2-[[imino[[2-(2-methoxyphenyl)ethyl]amino]methyl]amino]-4-thiazolyl]-1H-imidazol-2-yl]methyl]- (9CI)  
MF C19 H23 N7 O2 S  
CI COM  
SR CA



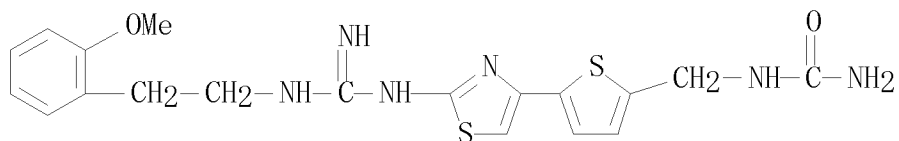
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L6 ANSWER 10 OF 45 REGISTRY COPYRIGHT 2010 ACS on STN  
RN 757922-25-1 REGISTRY  
ED Entered STN: 06 Oct 2004  
CN Carbamic acid, [2-[[[2-[[[(3-aminophenyl)methyl]amino]iminomethyl]amino]-4-thiazolyl]methyl]thio]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)  
MF C19 H28 N6 O2 S2  
CI COM  
SR CA  
LC STN Files: CASREACT



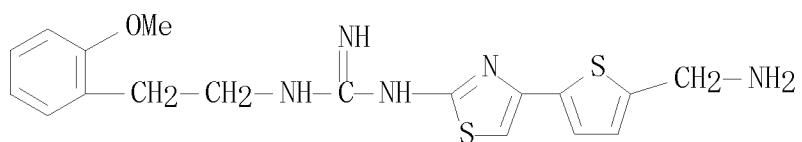
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L6 ANSWER 11 OF 45 REGISTRY COPYRIGHT 2010 ACS on STN  
RN 750550-67-5 REGISTRY  
ED Entered STN: 24 Sep 2004  
CN Urea, N-[[5-[2-[[imino[[2-(2-methoxyphenyl)ethyl]amino]methyl]amino]-4-thiazolyl]-2-thienyl]methyl]- (CA INDEX NAME)  
OTHER CA INDEX NAMES:  
CN Urea, [[5-[2-[[imino[[2-(2-methoxyphenyl)ethyl]amino]methyl]amino]-4-thiazolyl]-2-thienyl]methyl]- (9CI)  
MF C19 H22 N6 O2 S2  
CI COM  
SR CA



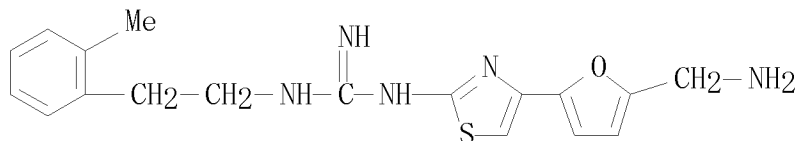
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L6 ANSWER 12 OF 45 REGISTRY COPYRIGHT 2010 ACS on STN  
RN 748749-26-0 REGISTRY  
ED Entered STN: 21 Sep 2004  
CN Guanidine, N-[4-[5-(aminomethyl)-2-thienyl]-2-thiazolyl]-N'-[2-(2-methoxyphenyl)ethyl]- (CA INDEX NAME)  
MF C18 H21 N5 O S2  
CI COM  
SR CA



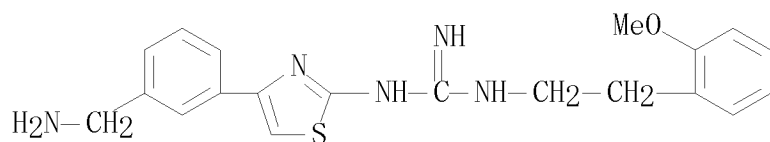
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L6 ANSWER 13 OF 45 REGISTRY COPYRIGHT 2010 ACS on STN  
RN 748746-14-7 REGISTRY  
ED Entered STN: 21 Sep 2004  
CN Guanidine, N-[4-[5-(aminomethyl)-2-furanyl]-2-thiazolyl]-N'-[2-(2-methylphenyl)ethyl]- (CA INDEX NAME)  
MF C18 H21 N5 O S  
CI COM  
SR CA



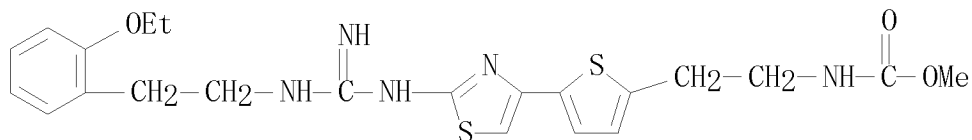
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L6 ANSWER 14 OF 45 REGISTRY COPYRIGHT 2010 ACS on STN  
RN 733720-85-9 REGISTRY  
ED Entered STN: 27 Aug 2004  
CN Guanidine, N-[4-[3-(aminomethyl)phenyl]-2-thiazolyl]-N'-[2-(2-methoxyphenyl)ethyl]- (CA INDEX NAME)  
MF C20 H23 N5 O S  
CI COM  
SR CA



**\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\***

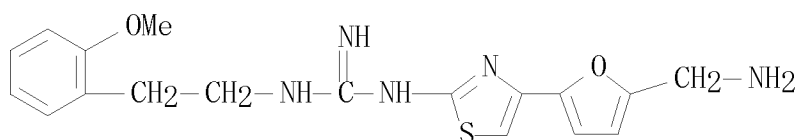
L6 ANSWER 15 OF 45 REGISTRY COPYRIGHT 2010 ACS on STN  
RN 724418-81-9 REGISTRY  
ED Entered STN: 08 Aug 2004  
CN Carbamic acid, [2-[5-[2-[[[2-(2-ethoxyphenyl)ethyl]amino]iminomethyl]amino]-4-thiazolyl]-2-thienyl]ethyl]-, methyl ester (9CI) (CA INDEX NAME)  
MF C22 H27 N5 O3 S2  
CI COM  
SR CA



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

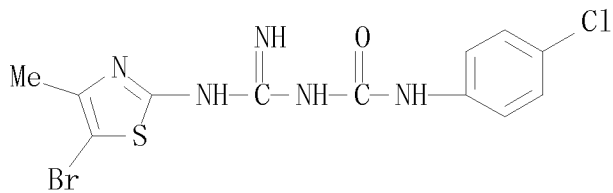


L6 ANSWER 16 OF 45 REGISTRY COPYRIGHT 2010 ACS on STN  
RN 719992-95-7 REGISTRY  
ED Entered STN: 30 Jul 2004  
CN Guanidine, N-[4-[5-(aminomethyl)-2-furanyl]-2-thiazolyl]-N'-[2-(2-methoxyphenyl)ethyl]- (CA INDEX NAME)  
MF C18 H21 N5 O2 S  
CI COM  
SR CA



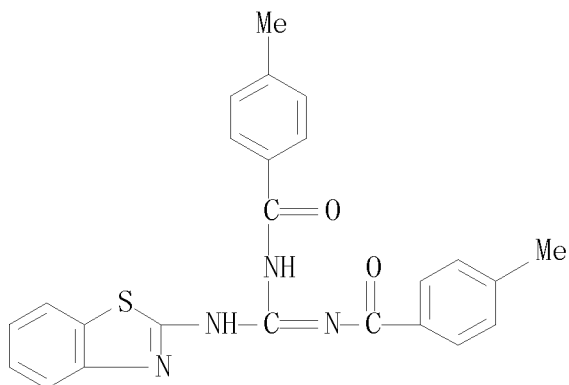
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L6 ANSWER 17 OF 45 REGISTRY COPYRIGHT 2010 ACS on STN  
RN 672884-90-1 REGISTRY  
ED Entered STN: 08 Apr 2004  
CN Urea, N-[[ (5-bromo-4-methyl-2-thiazolyl)amino]iminomethyl]-N'-(4-chlorophenyl)- (CA INDEX NAME)  
MF C12 H11 Br Cl N5 O S  
SR Chemical Library  
Supplier: Maybridge plc  
LC STN Files: CHEMCATS



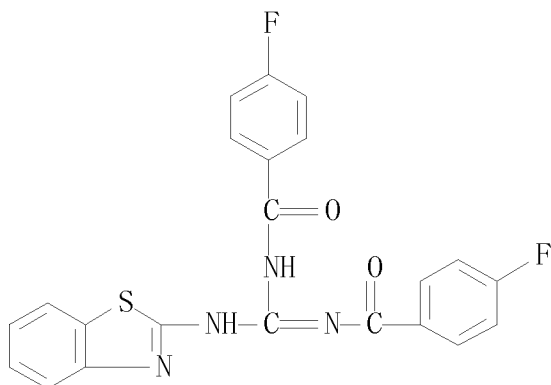
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L6 ANSWER 18 OF 45 REGISTRY COPYRIGHT 2010 ACS on STN  
RN 543696-66-8 REGISTRY  
ED Entered STN: 07 Jul 2003  
CN Benzamide, N,N'-(2-benzothiazolylcarbonimidoyl)bis[4-methyl- (9CI) (CA  
INDEX NAME)  
MF C24 H20 N4 O2 S  
SR Chemical Library  
Supplier: Ambinter  
LC STN Files: CHEMCATS



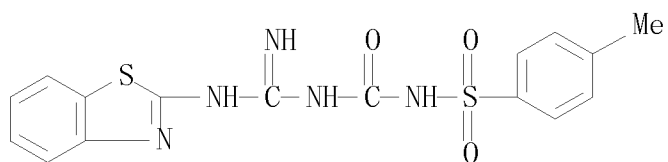
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L6 ANSWER 19 OF 45 REGISTRY COPYRIGHT 2010 ACS on STN  
RN 497231-28-4 REGISTRY  
ED Entered STN: 10 Mar 2003  
CN Benzamide, N,N'-(2-benzothiazolylcarbonimidoyl)bis[4-fluoro- (9CI) (CA  
INDEX NAME)  
MF C22 H14 F2 N4 O2 S  
SR Chemical Library  
Supplier: Interchim  
LC STN Files: CHEMCATS



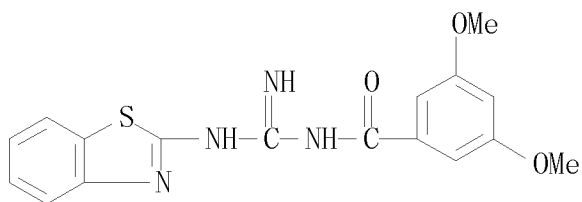
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L6 ANSWER 20 OF 45 REGISTRY COPYRIGHT 2010 ACS on STN  
RN 402604-17-5 REGISTRY  
ED Entered STN: 22 Mar 2002  
CN Benzenesulfonamide, N-[[[amino(2-benzothiazolylamino)methyl]amino]carbonyl]-4-methyl- (CA INDEX NAME)  
OTHER CA INDEX NAMES:  
CN Benzenesulfonamide, N-[[[amino(2-benzothiazolylamino)methylene]amino]carbonyl]-4-methyl- (9CI)  
MF C16 H15 N5 O3 S2  
SR Chemical Library  
Supplier: Ambinter  
LC STN Files: CHEMCATS



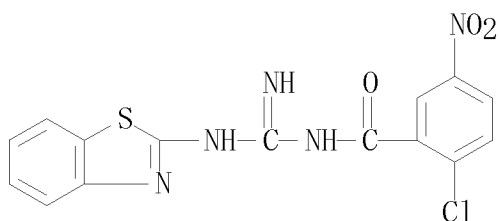
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L6 ANSWER 21 OF 45 REGISTRY COPYRIGHT 2010 ACS on STN  
RN 378215-49-7 REGISTRY  
ED Entered STN: 26 Dec 2001  
CN Benzamide, N-[(2-benzothiazolylamino)iminomethyl]-3,5-dimethoxy- (CA  
INDEX NAME)  
OTHER CA INDEX NAMES:  
CN Benzamide, N-[amino(2-benzothiazolylamino)methylene]-3,5-dimethoxy- (9CI)  
MF C17 H16 N4 O3 S  
SR Chemical Library  
Supplier: Interbioscreen Ltd.  
LC STN Files: CHEMCATS



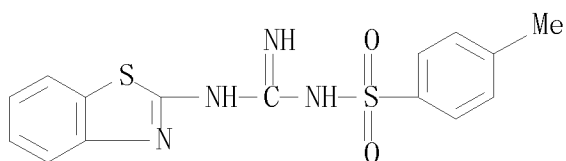
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L6 ANSWER 22 OF 45 REGISTRY COPYRIGHT 2010 ACS on STN  
RN 377059-19-3 REGISTRY  
ED Entered STN: 20 Dec 2001  
CN Benzamide, N-[(2-benzothiazolylamino)iminomethyl]-2-chloro-5-nitro- (CA  
INDEX NAME)  
OTHER CA INDEX NAMES:  
CN Benzamide, N-[amino(2-benzothiazolylamino)methylene]-2-chloro-5-nitro-  
(9CI)  
MF C15 H10 Cl N5 O3 S  
SR Chemical Library  
Supplier: Ambinter  
LC STN Files: CHEMCATS



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

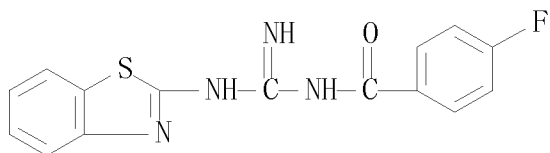
L6 ANSWER 23 OF 45 REGISTRY COPYRIGHT 2010 ACS on STN  
RN 376370-41-1 REGISTRY  
ED Entered STN: 18 Dec 2001  
CN Benzenesulfonamide, N-[(2-benzothiazolylamino)iminomethyl]-4-methyl- (CA  
INDEX NAME)  
OTHER CA INDEX NAMES:  
CN Benzenesulfonamide, N-[amino(2-benzothiazolylamino)methylene]-4-methyl-  
(9CI)  
MF C15 H14 N4 O2 S2  
CI COM  
SR Chemical Library  
Supplier: ChemBridge Corporation  
LC STN Files: CHEMCATS



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

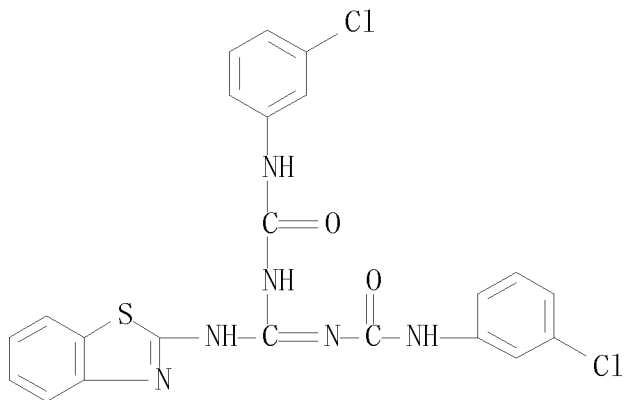


L6 ANSWER 24 OF 45 REGISTRY COPYRIGHT 2010 ACS on STN  
RN 375833-43-5 REGISTRY  
ED Entered STN: 17 Dec 2001  
CN Benzamide, N-[(2-benzothiazolylamino)iminomethyl]-4-fluoro- (CA INDEX  
NAME)  
OTHER CA INDEX NAMES:  
CN Benzamide, N-[amino(2-benzothiazolylamino)methylene]-4-fluoro- (9CI)  
MF C15 H11 F N4 O S  
SR Chemical Library  
Supplier: Interbioscreen Ltd.  
LC STN Files: CHEMCATS



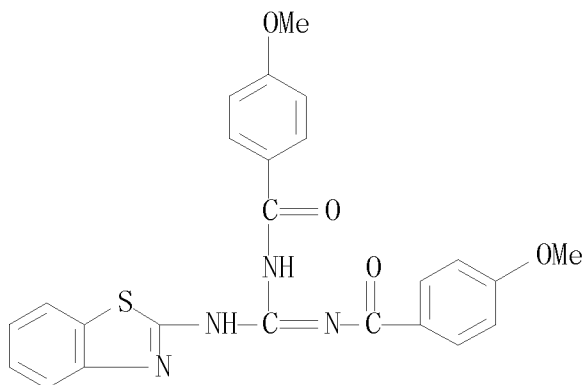
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L6 ANSWER 25 OF 45 REGISTRY COPYRIGHT 2010 ACS on STN  
RN 375828-75-4 REGISTRY  
ED Entered STN: 17 Dec 2001  
CN Urea, N,N'-(2-benzothiazolylcarbonimidoyl)bis[N'-(3-chlorophenyl)- (9CI)  
(CA INDEX NAME)  
MF C22 H16 Cl2 N6 O2 S  
SR Chemical Library  
Supplier: Interbioscreen Ltd.  
LC STN Files: CHEMCATS



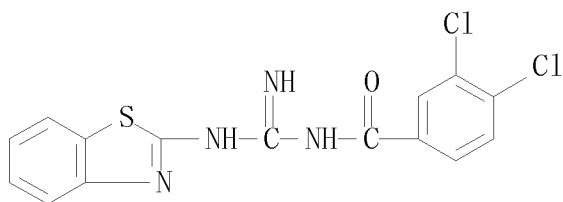
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L6 ANSWER 26 OF 45 REGISTRY COPYRIGHT 2010 ACS on STN  
RN 374916-99-1 REGISTRY  
ED Entered STN: 13 Dec 2001  
CN Benzamide, N,N'-(2-benzothiazolylcarbonimidoyl)bis[4-methoxy- (9CI) (CA  
INDEX NAME)  
MF C24 H20 N4 O4 S  
SR Chemical Library  
Supplier: Interbioscreen Ltd.  
LC STN Files: CHEMCATS



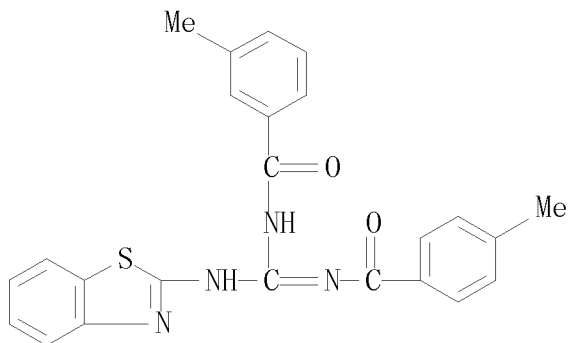
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L6 ANSWER 27 OF 45 REGISTRY COPYRIGHT 2010 ACS on STN  
RN 372503-46-3 REGISTRY  
ED Entered STN: 30 Nov 2001  
CN Benzamide, N-[(2-benzothiazolylamino)iminomethyl]-3,4-dichloro- (CA INDEX NAME)  
OTHER CA INDEX NAMES:  
CN Benzamide, N-[amino(2-benzothiazolylamino)methylene]-3,4-dichloro- (9CI)  
MF C15 H10 Cl2 N4 O S  
SR Chemical Library  
Supplier: Interbioscreen Ltd.  
LC STN Files: CHEMCATS



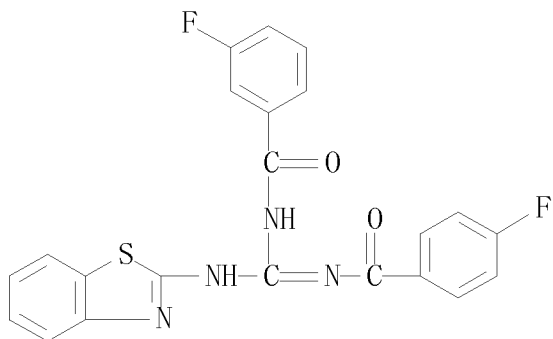
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L6 ANSWER 28 OF 45 REGISTRY COPYRIGHT 2010 ACS on STN  
RN 364741-51-5 REGISTRY  
ED Entered STN: 26 Oct 2001  
CN Benzamide, N-[(2-benzothiazolylamino)[(4-methylbenzoyl)amino]methylene]-3-methyl- (CA INDEX NAME)  
MF C24 H20 N4 O2 S  
SR Chemical Library  
Supplier: Enamine  
LC STN Files: CHEMCATS



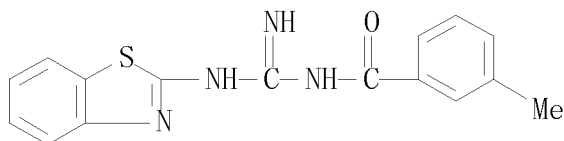
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L6 ANSWER 29 OF 45 REGISTRY COPYRIGHT 2010 ACS on STN  
RN 364739-83-3 REGISTRY  
ED Entered STN: 26 Oct 2001  
CN Benzamide, N-[(2-benzothiazolylamino)[(4-fluorobenzoyl)amino]methylene]-3-fluoro- (CA INDEX NAME)  
MF C22 H14 F2 N4 O2 S  
SR Chemical Library  
Supplier: Interbioscreen Ltd.  
LC STN Files: CHEMCATS



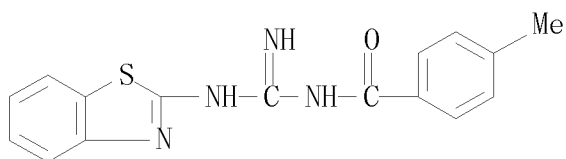
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L6 ANSWER 30 OF 45 REGISTRY COPYRIGHT 2010 ACS on STN  
RN 356086-74-3 REGISTRY  
ED Entered STN: 12 Sep 2001  
CN Benzamide, N-[(2-benzothiazolylamino)iminomethyl]-3-methyl- (CA INDEX  
NAME)  
OTHER CA INDEX NAMES:  
CN Benzamide, N-[amino(2-benzothiazolylamino)methylene]-3-methyl- (9CI)  
MF C16 H14 N4 O S  
SR Chemical Library  
Supplier: Interbioscreen Ltd.  
LC STN Files: CHEMCATS



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

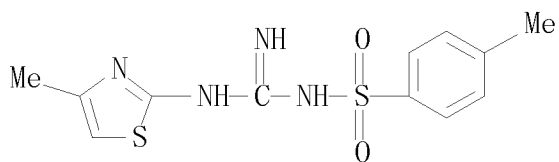
L6 ANSWER 31 OF 45 REGISTRY COPYRIGHT 2010 ACS on STN  
RN 352659-22-4 REGISTRY  
ED Entered STN: 27 Aug 2001  
CN Benzamide, N-[(2-benzothiazolylamino)iminomethyl]-4-methyl- (CA INDEX  
NAME)  
OTHER CA INDEX NAMES:  
CN Benzamide, N-[amino(2-benzothiazolylamino)methylene]-4-methyl- (9CI)  
MF C16 H14 N4 O S  
SR Chemical Library  
Supplier: Interbioscreen Ltd.  
LC STN Files: CHEMCATS



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

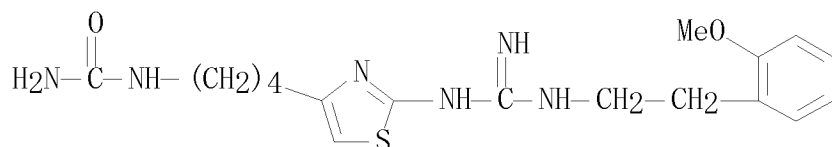


L6 ANSWER 32 OF 45 REGISTRY COPYRIGHT 2010 ACS on STN  
RN 260443-24-1 REGISTRY  
ED Entered STN: 31 Mar 2000  
CN Benzenesulfonamide, N-[imino[(4-methyl-2-thiazolyl)amino]methyl]-4-methyl-  
(CA INDEX NAME)  
OTHER CA INDEX NAMES:  
CN Benzenesulfonamide, N-[amino[(4-methyl-2-thiazolyl)amino]methylene]-4-  
methyl- (9CI)  
MF C12 H14 N4 O2 S2  
SR CAS Client Services



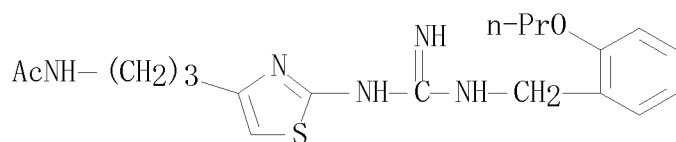
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L6 ANSWER 33 OF 45 REGISTRY COPYRIGHT 2010 ACS on STN  
RN 186686-86-2 REGISTRY  
ED Entered STN: 05 Mar 1997  
CN Urea, N-[4-[2-[[imino[[2-(2-methoxyphenyl)ethyl]amino]methyl]amino]-4-thiazolyl]butyl]- (CA INDEX NAME)  
OTHER CA INDEX NAMES:  
CN Urea, [4-[2-[[imino[[2-(2-methoxyphenyl)ethyl]amino]methyl]amino]-4-thiazolyl]butyl]- (9CI)  
MF C18 H26 N6 O2 S  
CI COM  
SR CA



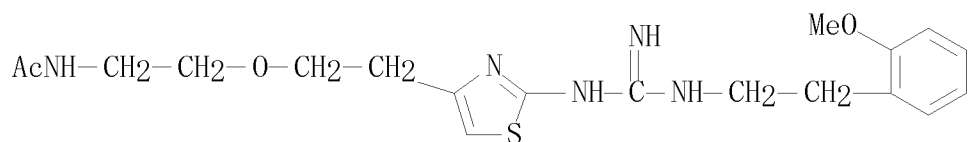
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L6 ANSWER 34 OF 45 REGISTRY COPYRIGHT 2010 ACS on STN  
RN 186686-75-9 REGISTRY  
ED Entered STN: 05 Mar 1997  
CN Acetamide, N-[3-[2-[[imino[[ (2-propoxyphenyl)methyl]amino]methyl]amino]-4-thiazolyl]propyl]- (CA INDEX NAME)  
MF C19 H27 N5 O2 S  
CI COM  
SR CA



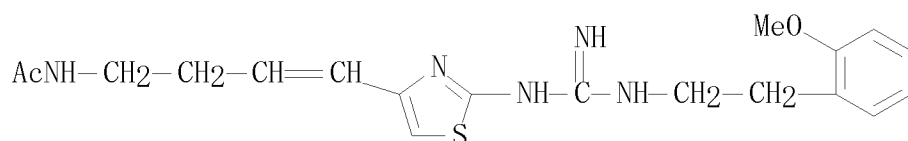
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L6 ANSWER 35 OF 45 REGISTRY COPYRIGHT 2010 ACS on STN  
RN 186686-69-1 REGISTRY  
ED Entered STN: 05 Mar 1997  
CN Acetamide, N-[2-[2-[2-[[imino[[2-(2-methoxyphenyl)ethyl]amino]methyl]amino]-4-thiazolyl]ethoxy]ethyl]- (CA INDEX NAME)  
MF C19 H27 N5 O3 S  
CI COM  
SR CA



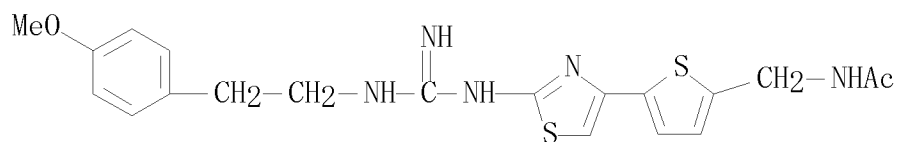
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L6 ANSWER 36 OF 45 REGISTRY COPYRIGHT 2010 ACS on STN  
RN 186686-61-3 REGISTRY  
ED Entered STN: 05 Mar 1997  
CN Acetamide, N-[4-[2-[[imino[[2-(2-methoxyphenyl)ethyl]amino]methyl]amino]-4-thiazolyl]-3-buten-1-yl]- (CA INDEX NAME)  
OTHER CA INDEX NAMES:  
CN Acetamide, N-[4-[2-[[imino[[2-(2-methoxyphenyl)ethyl]amino]methyl]amino]-4-thiazolyl]-3-butenyl]- (9CI)  
MF C19 H25 N5 O2 S  
CI COM  
SR CA



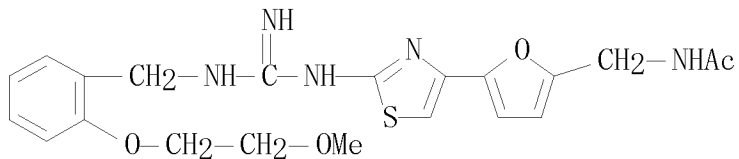
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L6 ANSWER 37 OF 45 REGISTRY COPYRIGHT 2010 ACS on STN  
RN 184581-90-6 REGISTRY  
ED Entered STN: 01 Jan 1997  
CN Acetamide, N-[[5-[2-[[imino[[2-(4-methoxyphenyl)ethyl]amino]methyl]amino]-  
4-thiazolyl]-2-thienyl]methyl]- (CA INDEX NAME)  
MF C20 H23 N5 O2 S2  
CI COM  
SR CA



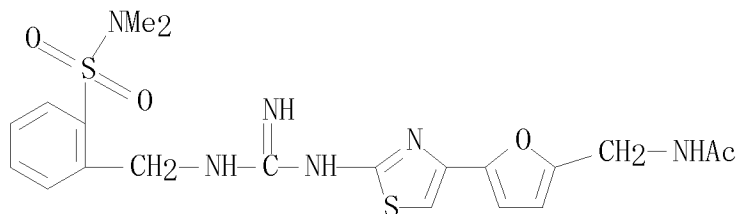
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L6 ANSWER 38 OF 45 REGISTRY COPYRIGHT 2010 ACS on STN  
RN 168970-95-4 REGISTRY  
ED Entered STN: 17 Oct 1995  
CN Acetamide, N-[[5-[2-[[imino[[2-(2-methoxyethoxy)phenyl]methyl]amino]methyl]amino]-4-thiazolyl]-2-furanyl]methyl]- (CA INDEX NAME)  
MF C21 H25 N5 O4 S  
CI COM  
SR CA



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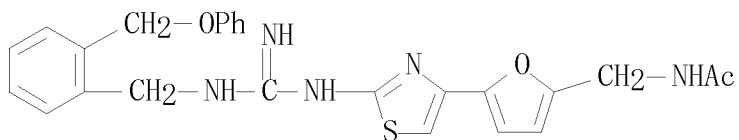
L6 ANSWER 39 OF 45 REGISTRY COPYRIGHT 2010 ACS on STN  
RN 168970-92-1 REGISTRY  
ED Entered STN: 17 Oct 1995  
CN Acetamide, N-[[5-[2-[[[[2-  
[(dimethylamino)sulfonyl]phenyl]methyl]amino]iminomethyl]amino]-4-  
thiazolyl]-2-furanyl]methyl]- (CA INDEX NAME)  
MF C20 H24 N6 O4 S2  
CI COM  
SR CA



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

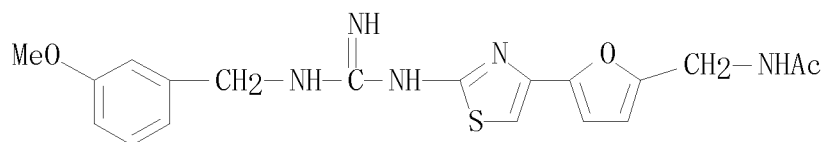


L6 ANSWER 40 OF 45 REGISTRY COPYRIGHT 2010 ACS on STN  
RN 168970-88-5 REGISTRY  
ED Entered STN: 17 Oct 1995  
CN Acetamide, N-[[5-[2-[[imino[[2-(  
(phenoxy)methyl)phenyl]methyl]amino]methyl]amino]-4-thiazolyl]-2-  
furanyl]methyl]- (CA INDEX NAME)  
MF C25 H25 N5 O3 S  
CI COM  
SR CA



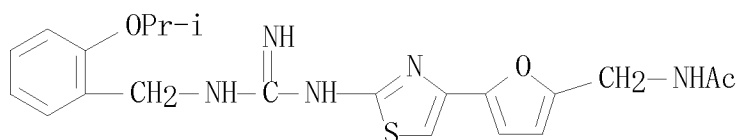
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L6 ANSWER 41 OF 45 REGISTRY COPYRIGHT 2010 ACS on STN  
RN 168970-79-4 REGISTRY  
ED Entered STN: 17 Oct 1995  
CN Acetamide, N-[[5-[2-[[imino[[ (3-methoxyphenyl)methyl]amino]methyl]amino]-4-thiazolyl]-2-furanyl]methyl]- (CA INDEX NAME)  
MF C19 H21 N5 O3 S  
CI COM  
SR CA



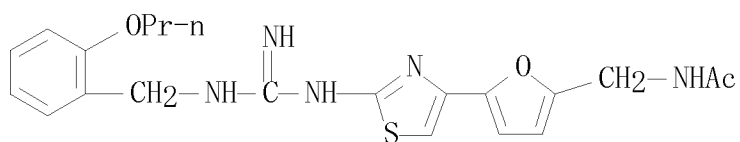
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L6 ANSWER 42 OF 45 REGISTRY COPYRIGHT 2010 ACS on STN  
RN 168970-75-0 REGISTRY  
ED Entered STN: 17 Oct 1995  
CN Acetamide, N-[[5-[2-[[imino[[2-(1-methylethoxy)phenyl]methyl]amino]methyl]amino]-4-thiazolyl]-2-furanyl]methyl]- (CA INDEX NAME)  
MF C21 H25 N5 O3 S  
CI COM  
SR CA



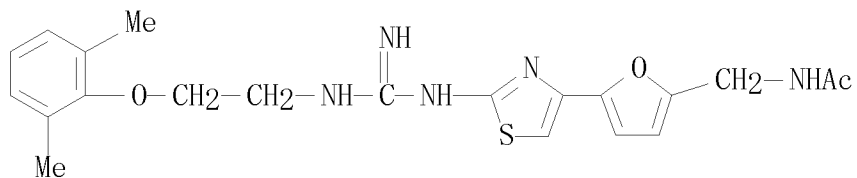
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L6 ANSWER 43 OF 45 REGISTRY COPYRIGHT 2010 ACS on STN  
RN 168970-71-6 REGISTRY  
ED Entered STN: 17 Oct 1995  
CN Acetamide, N-[[5-[2-[[imino[[ (2-propoxyphenyl)methyl]amino]methyl]amino]-4-thiazolyl]-2-furanyl]methyl]- (CA INDEX NAME)  
MF C21 H25 N5 O3 S  
CI COM  
SR CA



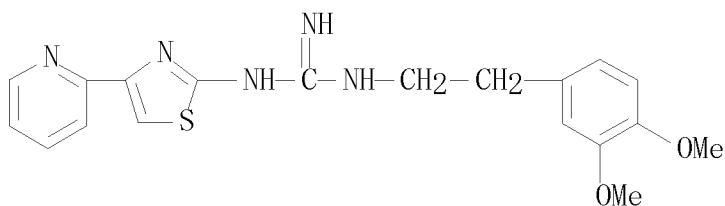
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L6 ANSWER 44 OF 45 REGISTRY COPYRIGHT 2010 ACS on STN  
RN 168970-52-3 REGISTRY  
ED Entered STN: 17 Oct 1995  
CN Acetamide, N-[[5-[2-[[[2-(2,6-  
dimethylphenoxy)ethyl]amino]iminomethyl]amino]-4-thiazolyl]-2-  
furanyl]methyl]- (CA INDEX NAME)  
MF C21 H25 N5 O3 S  
CI COM  
SR CA



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L6 ANSWER 45 OF 45 REGISTRY COPYRIGHT 2010 ACS on STN  
RN 90489-11-5 REGISTRY  
ED Entered STN: 16 Nov 1984  
CN Guanidine, N-[2-(3,4-dimethoxyphenyl)ethyl]-N'-[4-(2-pyridinyl)-2-thiazolyl]- (CA INDEX NAME)  
MF C19 H21 N5 O2 S  
CI COM



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

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FILE 'CAPLUS' ENTERED AT 21:39:41 ON 13 AUG 2010  
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PLEASE SEE "HELP USAGETERMS" FOR DETAILS.  
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FILE LAST UPDATED: 12 Aug 2010 (20100812/ED)  
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Jun 2010  
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Jun 2010

Caplus now includes complete International Patent Classification (IPC) reclassification data for the second quarter of 2010.

CAS Information Use Policies apply and are available at:

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This file contains CAS Registry Numbers for easy and accurate substance identification.

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L7 41 L3

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L7 ANSWER 1 OF 41 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2009:190453 CAPLUS

DOCUMENT NUMBER: 151:543259

TITLE: Cardioprotective properties of benzoxy(thia)azol  
guanidine derivativesAUTHOR(S): Matasova, L. V.; Kryl'skii, D. V.; Popova, T. N.;  
Makeeva, A. V.; Shikhaliev, Kh. S.

CORPORATE SOURCE: Voronezh. Gos. Univ., Voronezh, Russia

SOURCE: Voprosy Biologicheskoi, Meditsinskoi i  
Farmatsevticheskoi Khimii (2008), (6), 56-58  
CODEN: VBMFBA; ISSN: 1560-9596

PUBLISHER: Izdatel'stvo "Folium"

DOCUMENT TYPE: Journal

LANGUAGE: Russian

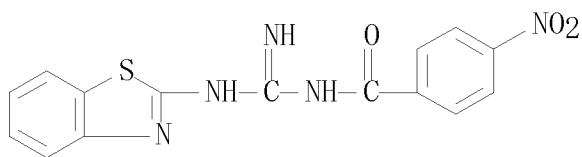
ABSTRACT:

Cardioprotective and anti-ischemia activities were predicted for the synthetic benzoxy(thia)azolil guanidine derivs. using the method of computer prognosis. When 3-chlorbenzoilbenzthiasolil-guanidine injected into rats with myocardial infarction, it decreased aspartate aminotransferase and creatine kinase MB activities in animal blood serum. That could be an evidence of cardioprotective properties of this guanidine derivative. In accordance with the results of biochemiluminescence parameters registration, concentration of lipid peroxide oxidation products, and catalase activity, the decrease of oxidative stress degree in blood serum and heart of rats was noted.

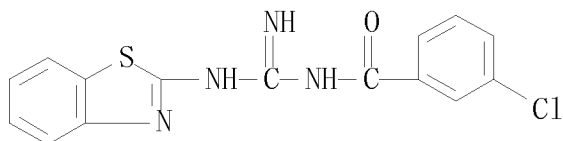
IT 352659-23-5 376613-14-8RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL  
(Biological study); USES (Uses)

(cardioprotective properties of benzoxy(thia)azol guanidine derivs.)

RN 352659-23-5 CAPLUS

CN Benzamide, N-[(2-benzothiazolylamino)iminomethyl]-4-nitro- (CA INDEX  
NAME)

RN 376613-14-8 CAPLUS

CN Benzamide, N-[(2-benzothiazolylamino)iminomethyl]-3-chloro- (CA INDEX  
NAME)



L7 ANSWER 2 OF 41 CAPLUS COPYRIGHT 2010 ACS on STN  
 ACCESSION NUMBER: 2007:873517 CAPLUS  
 DOCUMENT NUMBER: 147:227229  
 TITLE: Compounds and methods for modulating protein trafficking and their use for treatment of associated diseases  
 INVENTOR(S): Bulawa, Christine; Devit, Michael  
 PATENT ASSIGNEE(S): Foldrx Pharmaceuticals, Inc., USA  
 SOURCE: PCT Int. Appl., 237 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007089548	A2	20070809	WO 2007-US2102	20070126
WO 2007089548	A3	20080612		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA			
AU 2007210159	A1	20070809	AU 2007-210159	20070126
CA 2640454	A1	20070809	CA 2007-2640454	20070126
EP 1976839	A2	20081008	EP 2007-717027	20070126
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS			
JP 2009525966	T	20090716	JP 2008-552417	20070126
ZA 2008007318	A	20100224	ZA 2008-7318	20080825
NO 2008003670	A	20081027	NO 2008-3670	20080826
CN 101410384	A	20090415	CN 2007-80010994	20080926
US 20100004277	A1	20100107	US 2009-162143	20090310
PRIORITY APPLN. INFO. :			US 2006-762955P	P 20060126
			US 2006-857940P	P 20061109
			WO 2007-US2102	W 20070126

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

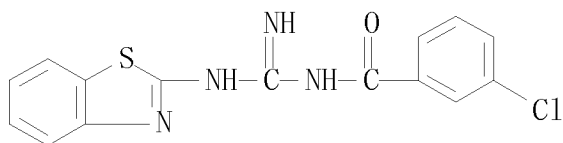
OTHER SOURCE(S): MARPAT 147:227229

#### ABSTRACT:

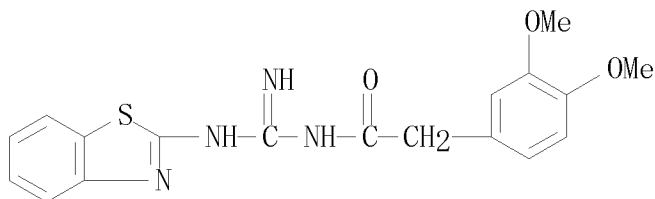
Disclosed are compns. and methods for modulating protein trafficking and treating or preventing disorders characterized by impaired protein trafficking. Also disclosed are methods for identification of compds. that rescue protein trafficking defects and methods of enhancing protein production. Certain compds. that rescue cells from  $\alpha$ -synuclein toxicity also to restore growth of yptlts cells, where the yeast mutant cell line yptlts contains an allele of YPT1 that has two point mutations with a recessive loss of protein trafficking phenotype at the restrictive temps. In addition, doxorubicin, cycloheximide, hygromycin, novobiocin, aureobasidin, tunicamycin, and proteasome inhibitors

such as bortezomib, also restore growth of a yptlts mutant. Thus, these compds. can be used to treat or prevent a variety of disorders characterized by impaired protein trafficking. Compds. identified in the yptlts mutant rescue screening assay can stabilize the  $\Delta F508$  CFTR protein, and thus are useful in treating cystic fibrosis. Compds. were also identified that restore growth of temperature-sensitive mutants of the SAR1 and SEC23 genes.

IT 376613-14-8 396099-46-0  
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (compds. and methods for modulating protein trafficking and their use for treatment of associated diseases)  
 RN 376613-14-8 CAPLUS  
 CN Benzamide, N-[(2-benzothiazolylamino)iminomethyl]-3-chloro- (CA INDEX NAME)



RN 396099-46-0 CAPLUS  
 CN Benzeneacetamide, N-[(2-benzothiazolylamino)iminomethyl]-3,4-dimethoxy- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

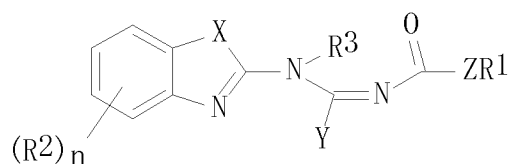
L7 ANSWER 3 OF 41 CAPLUS COPYRIGHT 2010 ACS on STN  
 ACCESSION NUMBER: 2006:298791 CAPLUS  
 DOCUMENT NUMBER: 144:350664  
 TITLE: Heterocyclic compounds, compositions and methods of  
 inhibiting  $\alpha$ -synuclein toxicity and diseases in  
 which  $\alpha$ -synuclein fibrils are a symptom  
 INVENTOR(S): Lindquist, Susan L.; Outeiro, Tiago; Labaudiniere,  
 Richard  
 PATENT ASSIGNEE(S): Whitehead Institute for Biomedical Research, USA;  
 Foldrx Pharmaceuticals, Inc.  
 SOURCE: PCT Int. Appl., 263 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006034003	A2	20060330	WO 2005-US33050	20050916
WO 2006034003	A3	20060713		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
AU 2005287137	A1	20060330	AU 2005-287137	20050916
CA 2580767	A1	20060330	CA 2005-2580767	20050916
EP 1802303	A2	20070704	EP 2005-813951	20050916
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR			
CN 101060839	A	20071024	CN 2005-80039303	20050916
JP 2008513471	T	20080501	JP 2007-532478	20050916
BR 2005015549	A	20080729	BR 2005-15549	20050916
NO 2007001860	A	20070605	NO 2007-1860	20070413
ZA 2007003110	A	20080827	ZA 2007-3110	20070416
US 20080261953	A1	20081023	US 2008-575481	20080111
PRIORITY APPLN. INFO.:			US 2004-610796P	P 20040917
			WO 2005-US33050	W 20050916

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 144:350664

GRAPHIC IMAGE:



## ABSTRACT:

Compds. and compns. are provided for treatment or amelioration of one or more symptoms of  $\alpha$ -synuclein toxicity,  $\alpha$ -synuclein mediated diseases or diseases in which  $\alpha$ -synuclein fibrils are a symptom or cause of the disease. In one embodiment, the compds. for use in the compns. and methods are heteroaryl acylguanidines, heteroarylhydrazones, dihydropyridones, heteroaryl and aryl styryl ketones, and heteroarylpyrazoles. One class of the compds. claimed is represented by the general formula I (wherein, X = O, S or NR, where R = H, alkyl, alkenyl, alkynyl, cycloalkyl, heterocyclyl, aryl, heteroaryl or aralkyl; Y = NRR' or OH; where R' = H, alkyl, alkenyl, alkynyl, cycloalkyl, heterocyclyl, aryl, heteroaryl or aralkyl; Z = a direct bond or NR; R1 = H, alkyl, alkenyl, alkynyl, cycloalkyl, heterocyclyl, aryl, heteroaryl, aralkyl, aralkenyl, heteroaralkyl or heteroaralkenyl; n = 0-4; R2 = (i) H, alkyl, alkenyl, alkynyl, aryl, heteroaryl, heteroarylium, etc. or [ii] any 2 R2 groups, which substitute adjacent atoms on the ring, together form alkylene, alkenylene, alkynylene or heteroalkylene; R3 = H, alkyl, alkenyl, alkynyl, cycloalkyl, heterocyclyl, aryl or heteroaryl; wherein X, Y, Z, R1, R2 and R3 are each independently unsubstituted or substituted). Methods for preparing the various classes of heterocycles are exemplified. In an assay that measured the ability of the compds. to rescue humanized yeast cells from  $\alpha$ -synuclein toxicity, the compds. of the invention had MRC (min. rescue concentration) values of < 300 $\mu$ M.

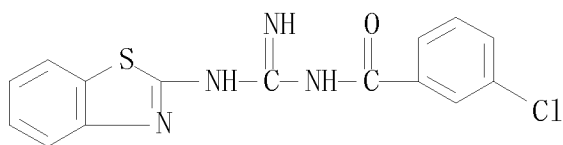
IT 376613-14-8P 396099-46-0P 881419-99-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; heterocyclic compds., compns. and methods of inhibiting  $\alpha$ -synuclein toxicity and diseases in which  $\alpha$ -synuclein fibrils are a symptom)

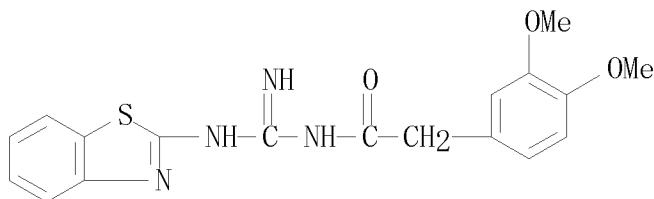
RN 376613-14-8 CAPLUS

CN Benzamide, N-[(2-benzothiazolylamino)iminomethyl]-3-chloro- (CA INDEX NAME)

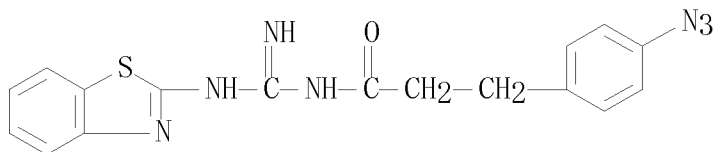


RN 396099-46-0 CAPLUS

CN Benzeneacetamide, N-[(2-benzothiazolylamino)iminomethyl]-3,4-dimethoxy- (CA INDEX NAME)



RN 881419-99-4 CAPLUS

CN Benzenepropanamide, 4-azido-N-[(2-benzothiazolylamino)iminomethyl]- (CA  
INDEX NAME)

OS. CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD  
(2 CITINGS)

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 4 OF 41 CAPLUS COPYRIGHT 2010 ACS on STN  
 ACCESSION NUMBER: 2005:959678 CAPLUS  
 DOCUMENT NUMBER: 143:266930  
 TITLE: Guanidine compounds and their use as ligands for 5HT receptors  
 INVENTOR(S): Netz, Astrid; Amberg, Wilhelm; Lange, Udo; Ochse, Michael; Kling, Andreas; Hutchins, Charles W.; Garcia-Ladona, Francisco-Xavier; Wernet, Wolfgang  
 PATENT ASSIGNEE(S): Abbott GmbH & Co. Kg, Germany  
 SOURCE: Ger. Offen., 52 pp.  
 CODEN: GWXXBX  
 DOCUMENT TYPE: Patent  
 LANGUAGE: German  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 102004008141	A1	20050901	DE 2004-102004008141	20040219
WO 2005082871	A2	20050909	WO 2005-EP1521	20050215
WO 2005082871	A3	20051110		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
EP 1716127	A2	20061102	EP 2005-707406	20050215
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, HR, IS			
JP 2007523113	T	20070816	JP 2006-553516	20050215
MX 2006009434	A	20070321	MX 2006-9434	20060818
US 20070299074	A1	20071227	US 2007-590265	20070614
PRIORITY APPLN. INFO.:			DE 2004-102004008141A	20040219
			WO 2005-EP1521	W 20050215

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 143:266930

GRAPHIC IMAGE:

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

#### ABSTRACT:

The present invention concerns guanidine compds., e.g., I [R1, R2, R3 = H, OH, CN, (un)substituted C1-6-alkyl, C1-4-alkoxy, C2-6-alkenyl, C3-12-alkynyl, CO-(C1-6-alkyl), CO2-(C1-6-alkyl), etc.; R4, R5 = H, halogen, CN, CF3, CHF2, C1-10-alkyl, Ph, naphthyl, heteroaryl, etc.; R4R5 = (un)substituted 4- to 7-membered ring, optionally containing addnl. O, S, N; Q = Q1, Q2, Q3, Q4, Q5, Q6; W = W1, W2; Z = (CRz1Rz2)a(V)b(CRz3Rz4)c; A, D = NO2, NH2, OH, CN, CF3, OCF3, CHF2, OCHF2, CO2H, OCH2CO2H, halogen, SH, etc.; B = H, A; R' = H, OH, halogen,

N02, NH2, CN, CF3, CHF2, OCF3, OCHF2, (un)substituted C1-6-alkyl, C3-7-cycloalkyl, (C1-6-alkene)-O-(C1-6-alkyl), C2-6-alkenyl, C3-12-alkynyl, CO-(C1-6-alkyl), CO2-(C1-6-alkyl), SO2-(C1-6-alkyl), etc.; a = 0 - 4; b = 0, 1; c = 0 - 4; R<sub>z1</sub>, R<sub>z2</sub>, R<sub>z3</sub>, R<sub>z4</sub> = H, halogen, OH, etc.; E = O, NR<sub>q1</sub>, S; V = CO, CONR, NRCO, O, S, SO, SO2, SO2NR, NRSO2, CS, CSNR, NRCS, etc.; R<sub>q1</sub> = H, C1-4-alkyl, CO-(C1-4-alkyl), SO2-(C1-4-alkyl), CO2-(C1-4-alkyl), etc.], their enantiomers, diastereomers and/or tautomeric forms as well as pharmaceutical acceptable salts thereof. Thus, N-(2-methoxybenzyl)-N'-(11,3-thiazol-2-ly)guanidine (II) was prepared from 2-aminothiazole via reaction with thiocarbonyldiimidazole in MeCN, ammonolysis with NH<sub>4</sub>OAc in EtOH, N-methylation in MeOH and amidation with 2-MeOC<sub>6</sub>H<sub>4</sub>CH<sub>2</sub>NH<sub>2</sub> in EtOH. Further the present compound concerns the use of guanidine compds. as ligands for 5HT receptors for the treatment of diseases, which are modulated by a 5HT receptor activity, in particular for the treatment of neurodegenerative and neuropsychiatric disturbances as well as the signs, symptoms and malfunctions which are connected with it. The pharmacol. activity off II was determined [K<sub>i</sub> = 50 nM].

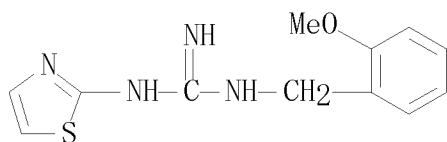
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	<u>863656-62-6P</u>	<u>863656-64-8P</u>	<u>863656-67-1P</u>
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	<u>863657-60-7P</u>	<u>863657-61-8P</u>	<u>863657-62-9P</u>
	<u>863657-63-0P</u>	<u>863657-64-1P</u>	

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

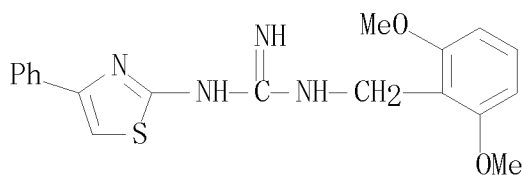
(guanidine derivs. and their use as ligands for 5HT receptors)

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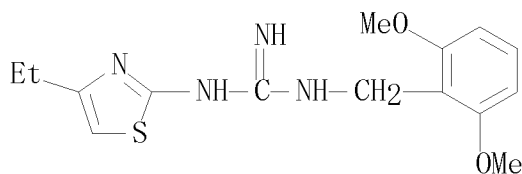
CN Guanidine, N-[(2-methoxyphenyl)methyl]-N'-2-thiazolyl- (CA INDEX NAME)



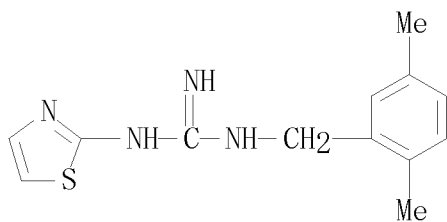
RN 863656-41-1 CAPLUS  
 CN Guanidine, N-[(2,6-dimethoxyphenyl)methyl]-N'-(4-phenyl-2-thiazolyl)- (CA INDEX NAME)



RN 863656-42-2 CAPLUS  
 CN Guanidine, N'-(2,6-dimethoxyphenyl)-N-(4-ethyl-2-thiazolyl)- (CA INDEX NAME)



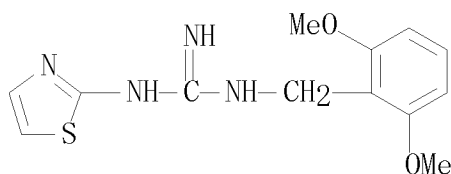
RN 863656-43-3 CAPLUS  
 CN Guanidine, N-[(2,5-dimethylphenyl)methyl]-N'-2-thiazolyl-, hydrochloride (1:1) (CA INDEX NAME)



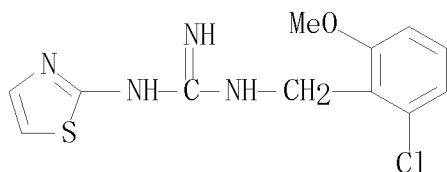
● HCl

RN 863656-44-4 CAPLUS  
 CN Guanidine, N-[(2,6-dimethoxyphenyl)methyl]-N'-2-thiazolyl- (CA INDEX NAME)



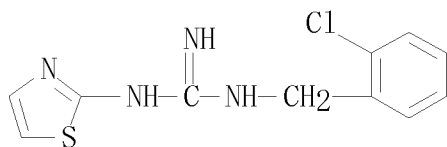


RN 863656-45-5 CAPLUS  
 CN Guanidine, N-[(2-chloro-6-methoxyphenyl)methyl]-N'-2-thiazolyl-,  
 hydrochloride (1:1) (CA INDEX NAME)



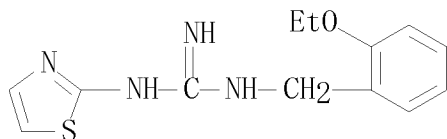
● HCl

RN 863656-46-6 CAPLUS  
 CN Guanidine, N-[(2-chlorophenyl)methyl]-N'-2-thiazolyl-, hydrochloride (1:1)  
 (CA INDEX NAME)



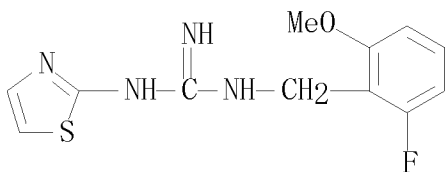
● HCl

RN 863656-47-7 CAPLUS  
 CN Guanidine, N-[(2-ethoxyphenyl)methyl]-N'-2-thiazolyl-, hydrochloride (1:1)  
 (CA INDEX NAME)



● HCl

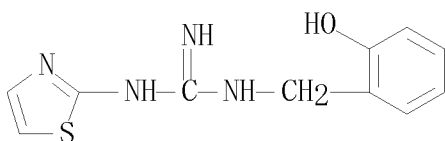
RN 863656-48-8 CAPLUS  
 CN Guanidine, N-[(2-fluoro-6-methoxyphenyl)methyl]-N'-2-thiazolyl-, (CA INDEX  
 NAME)



RN 863656-50-2 CAPLUS  
 CN Guanidine, N-[(2-hydroxyphenyl)methyl]-N'-2-thiazolyl-, acetate (1:1) (CA INDEX NAME)

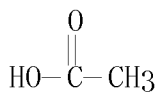
CM 1

CRN 863656-49-9  
 CMF C11 H12 N4 O S

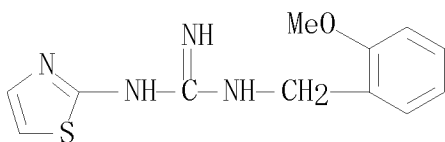


CM 2

CRN 64-19-7  
 CMF C2 H4 O2

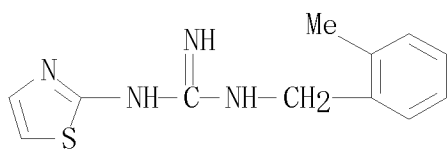


RN 863656-51-3 CAPLUS  
 CN Guanidine, N-[(2-methoxyphenyl)methyl]-N'-2-thiazolyl-, hydrochloride (1:1) (CA INDEX NAME)

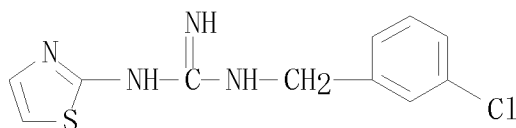


● HCl

RN 863656-52-4 CAPLUS  
 CN Guanidine, N-[(2-methylphenyl)methyl]-N'-2-thiazolyl- (CA INDEX NAME)

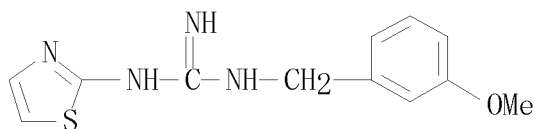


RN 863656-53-5 CAPLUS  
 CN Guanidine, N-[(3-chlorophenyl)methyl]-N'-2-thiazolyl-, hydrochloride (1:1)  
 (CA INDEX NAME)



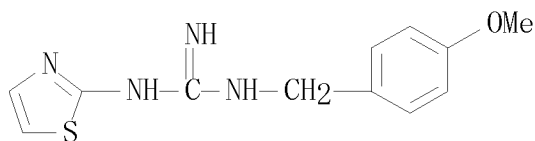
● HCl

RN 863656-54-6 CAPLUS  
 CN Guanidine, N-[(3-methoxyphenyl)methyl]-N'-2-thiazolyl-, hydrochloride  
 (1:1) (CA INDEX NAME)

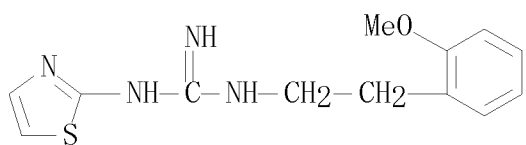


● HCl

RN 863656-55-7 CAPLUS  
 CN Guanidine, N-[(4-methoxyphenyl)methyl]-N'-2-thiazolyl- (CA INDEX NAME)

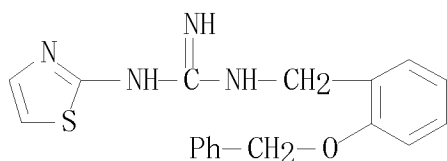


RN 863656-56-8 CAPLUS  
 CN Guanidine, N-[2-(2-methoxyphenyl)ethyl]-N'-2-thiazolyl-, hydrochloride  
 (1:1) (CA INDEX NAME)

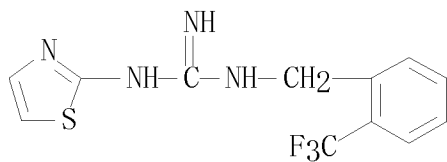


● HCl

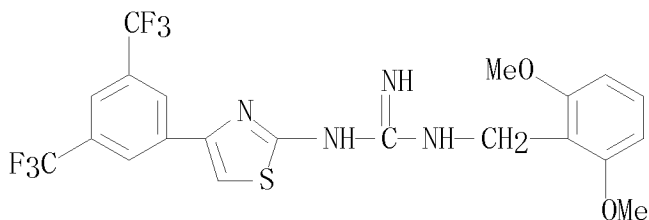
RN 863656-57-9 CAPLUS  
CN Guanidine, N-[[2-(phenylmethoxy)phenyl]methyl]-N'-2-thiazolyl- (CA INDEX NAME)



RN 863656-58-0 CAPLUS  
CN Guanidine, N'-2-thiazolyl-N-[[2-(trifluoromethyl)phenyl]methyl]- (CA INDEX NAME)

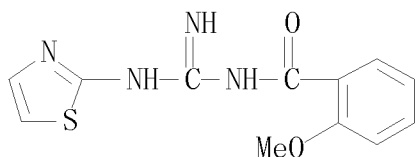


RN 863656-59-1 CAPLUS  
CN Guanidine, N-[4-[3,5-bis(trifluoromethyl)phenyl]-2-thiazolyl]-N'-[(2,6-dimethoxyphenyl)methyl]-, hydrobromide (1:1) (CA INDEX NAME)



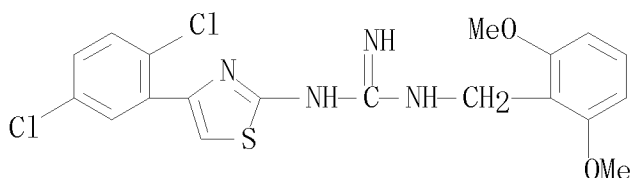
● HBr

RN 863656-60-4 CAPLUS  
CN Benzamide, N-[imino(2-thiazolylamino)methyl]-2-methoxy- (CA INDEX NAME)



RN 863656-61-5 CAPLUS

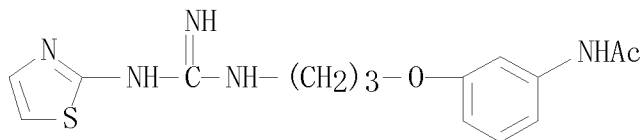
CN Guanidine, N-[4-(2,5-dichlorophenyl)-2-thiazolyl]-N'-[(2,6-dimethoxyphenyl)methyl]-, hydrobromide (1:1) (CA INDEX NAME)



● HBr

RN 863656-62-6 CAPLUS

CN Acetamide, N-[3-[3-[[imino(2-thiazolylamino)methyl]amino]propoxy]phenyl]- (CA INDEX NAME)



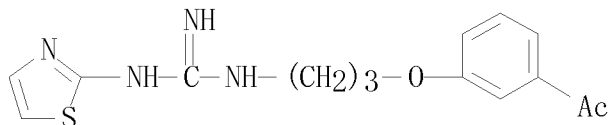
RN 863656-64-8 CAPLUS

CN Guanidine, N-[3-(3-acetylphenoxy)propyl]-N'-2-thiazolyl-, (2E)-2-butenedioate (1:1) (CA INDEX NAME)

CM 1

CRN 863656-63-7

CMF C15 H18 N4 O2 S

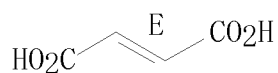


CM 2

CRN 110-17-8

CMF C4 H4 O4

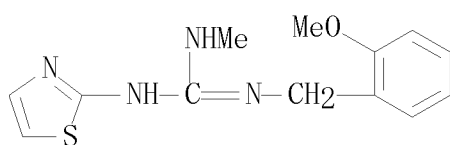
Double bond geometry as shown.



RN 863656-67-1 CAPLUS  
 CN Guanidine, N-[(2-methoxyphenyl)methyl]-N'-methyl-N''-2-thiazolyl-,  
 (2E)-2-butenedioate (1:1) (CA INDEX NAME)

CM 1

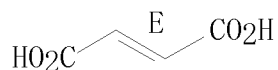
CRN 863656-66-0  
 CMF C13 H16 N4 O S



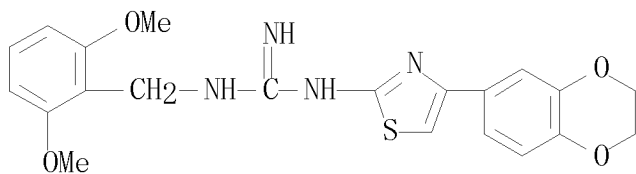
CM 2

CRN 110-17-8  
 CMF C4 H4 O4

Double bond geometry as shown.



RN 863656-68-2 CAPLUS  
 CN Guanidine, N-[4-(2,3-dihydro-1,4-benzodioxin-6-yl)-2-thiazolyl]-N'-[(2,6-dimethoxyphenyl)methyl]-, hydrobromide (1:1) (CA INDEX NAME)

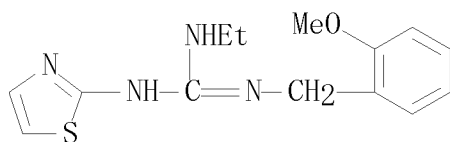


● HBr

RN 863656-70-6 CAPLUS  
 CN Guanidine, N-ethyl-N'-[(2-methoxyphenyl)methyl]-N''-2-thiazolyl-,  
 (2E)-2-butenedioate (1:1) (CA INDEX NAME)

CM 1

CRN 863656-69-3  
 CMF C14 H18 N4 O S

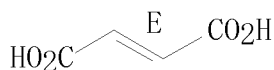


CM 2

CRN 110-17-8

CMF C4 H4 O4

Double bond geometry as shown.



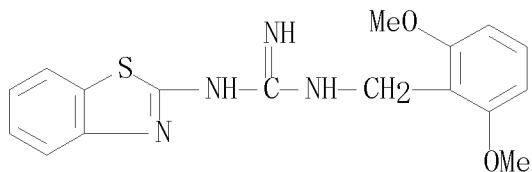
RN 863656-72-8 CAPLUS

CN Guanidine, N-2-benzothiazolyl-N'-[(2,6-dimethoxyphenyl)methyl]-,  
(2E)-2-butenedioate (1:1) (CA INDEX NAME)

CM 1

CRN 863656-71-7

CMF C17 H18 N4 O2 S

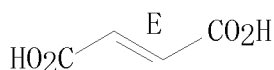


CM 2

CRN 110-17-8

CMF C4 H4 O4

Double bond geometry as shown.



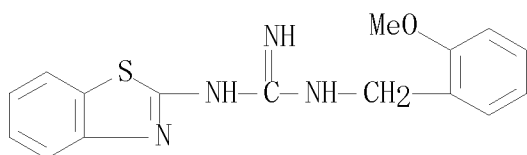
RN 863656-74-0 CAPLUS

CN Guanidine, N-2-benzothiazolyl-N'-[(2-methoxyphenyl)methyl]-,  
(2E)-2-butenedioate (1:1) (CA INDEX NAME)

CM 1

CRN 863656-73-9

CMF C16 H16 N4 O S

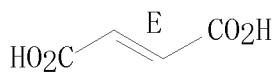


CM 2

CRN 110-17-8

CMF C4 H4 O4

Double bond geometry as shown.



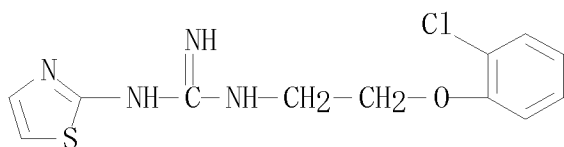
RN 863656-76-2 CAPLUS

CN Guanidine, N-[2-(2-chlorophenoxy)ethyl]-N'-2-thiazolyl-,  
(2E)-2-butenedioate (1:1) (CA INDEX NAME)

CM 1

CRN 863656-75-1

CMF C12 H13 Cl N4 O S

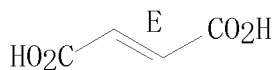


CM 2

CRN 110-17-8

CMF C4 H4 O4

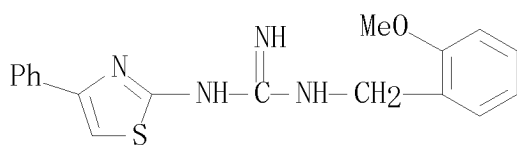
Double bond geometry as shown.



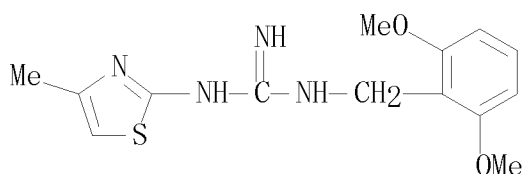
RN 863656-79-5 CAPLUS

CN Guanidine, N-[(2-methoxyphenyl)methyl]-N'-(4-phenyl-2-thiazolyl)-  
(CA INDEX NAME)

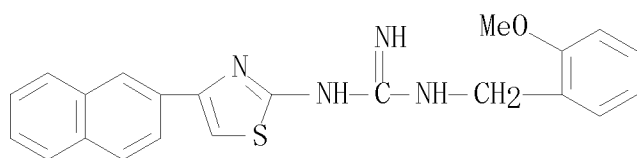




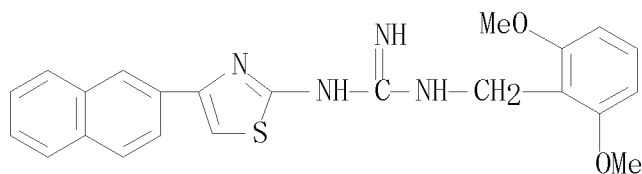
RN 863656-80-8 CAPLUS  
 CN Guanidine, N-[(2,6-dimethoxyphenyl)methyl]-N'-(4-methyl-2-thiazolyl)- (CA INDEX NAME)



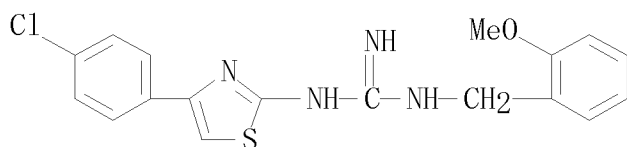
RN 863656-81-9 CAPLUS  
 CN Guanidine, N-[(2-methoxyphenyl)methyl]-N'-[4-(2-naphthalenyl)-2-thiazolyl]- (CA INDEX NAME)



RN 863656-82-0 CAPLUS  
 CN Guanidine, N-[(2,6-dimethoxyphenyl)methyl]-N'-[4-(2-naphthalenyl)-2-thiazolyl]- (CA INDEX NAME)

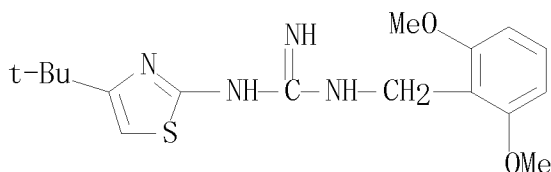


RN 863656-83-1 CAPLUS  
 CN Guanidine, N-[4-(4-chlorophenyl)-2-thiazolyl]-N'-(2-methoxyphenylmethyl)- (CA INDEX NAME)



RN 863656-84-2 CAPLUS  
 CN Guanidine, N-[(2,6-dimethoxyphenyl)methyl]-N'-(4-(1,1-dimethylethyl)-2-thiazolyl)- (CA INDEX NAME)

thiazolyl]- (CA INDEX NAME)



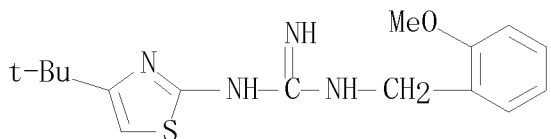
RN 863656-86-4 CAPLUS

CN Guanidine, N-[4-(1,1-dimethylethyl)-2-thiazolyl]-N'-[(2-methoxyphenyl)methyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 863656-85-3

CMF C16 H22 N4 O S

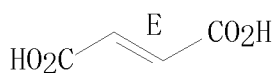


CM 2

CRN 110-17-8

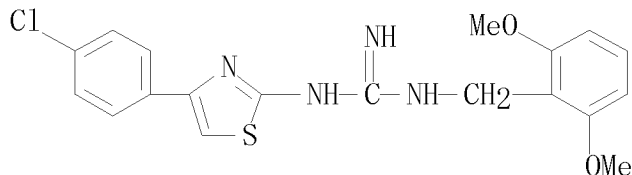
CMF C4 H4 O4

Double bond geometry as shown.



RN 863656-87-5 CAPLUS

CN Guanidine, N-[4-(4-chlorophenyl)-2-thiazolyl]-N'-[(2,6-dimethoxyphenyl)methyl]- (CA INDEX NAME)

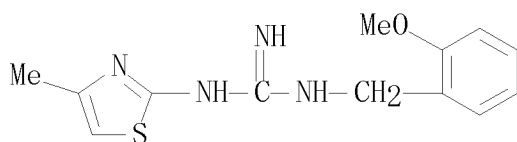


RN 863656-89-7 CAPLUS

CN Guanidine, N-[(2-methoxyphenyl)methyl]-N'-(4-methyl-2-thiazolyl)-, (2E)-2-butenedioate (1:1) (CA INDEX NAME)

CM 1

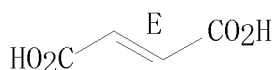
CRN 863656-88-6  
CMF C13 H16 N4 O S



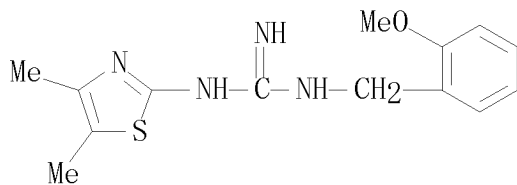
CM 2

CRN 110-17-8  
CMF C4 H4 O4

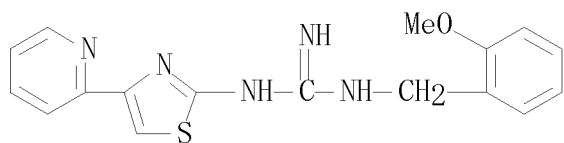
Double bond geometry as shown.



RN 863656-90-0 CAPLUS  
CN Guanidine, N-(4,5-dimethyl-2-thiazolyl)-N'-[(2-methoxyphenyl)methyl]- (CA INDEX NAME)



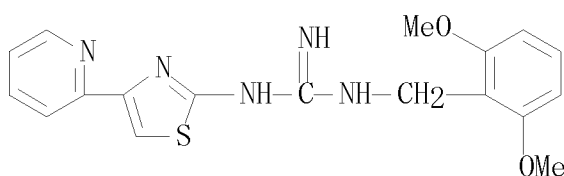
RN 863656-91-1 CAPLUS  
CN Guanidine, N-[(2-methoxyphenyl)methyl]-N'-[4-(2-pyridinyl)-2-thiazolyl]- (CA INDEX NAME)



RN 863656-93-3 CAPLUS  
CN Guanidine, N-[(2,6-dimethoxyphenyl)methyl]-N'-[4-(2-pyridinyl)-2-thiazolyl]-, (2E)-2-butenedioate (1:1) (CA INDEX NAME)

CM 1

CRN 863656-92-2  
CMF C18 H19 N5 O2 S

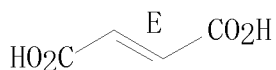


CM 2

CRN 110-17-8

CMF C4 H4 O4

Double bond geometry as shown.



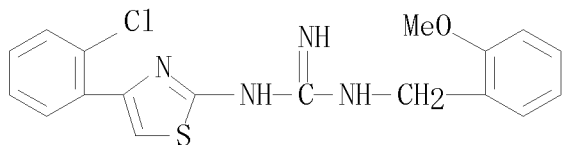
RN 863656-95-5 CAPLUS

CN Guanidine, N-[4-(2-chlorophenyl)-2-thiazolyl]-N'-(2-methoxyphenyl)methyl-, (E)-2-butenedioate (1:1) (CA INDEX NAME)

CM 1

CRN 863656-94-4

CMF C18 H17 Cl N4 O S

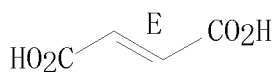


CM 2

CRN 110-17-8

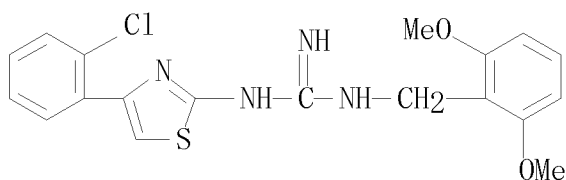
CMF C4 H4 O4

Double bond geometry as shown.



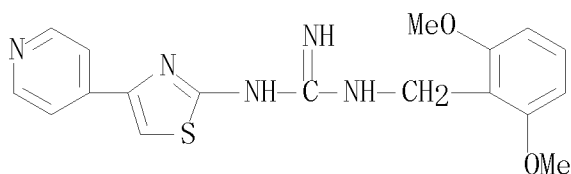
RN 863656-96-6 CAPLUS

CN Guanidine, N-[4-(2-chlorophenyl)-2-thiazolyl]-N'-(2,6-dimethoxyphenyl)methyl-, (E)-2-butenedioate (1:1) (CA INDEX NAME)



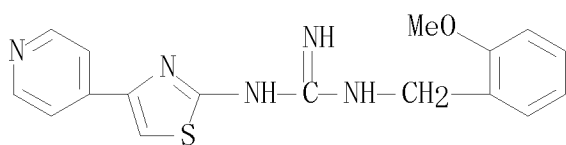
RN 863656-97-7 CAPLUS

CN Guanidine, N-[(2,6-dimethoxyphenyl)methyl]-N'-[4-(4-pyridinyl)-2-thiazolyl]- (CA INDEX NAME)



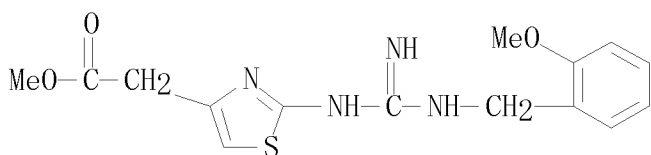
RN 863656-98-8 CAPLUS

CN Guanidine, N-[(2-methoxyphenyl)methyl]-N'-[4-(4-pyridinyl)-2-thiazolyl]- (CA INDEX NAME)



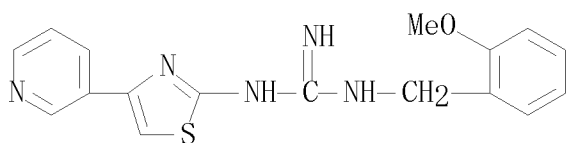
RN 863656-99-9 CAPLUS

CN 4-Thiazoleacetic acid, 2-[[imino[[ (2-methoxyphenyl)methyl]amino]methyl]amino]-, methyl ester (CA INDEX NAME)



RN 863657-00-5 CAPLUS

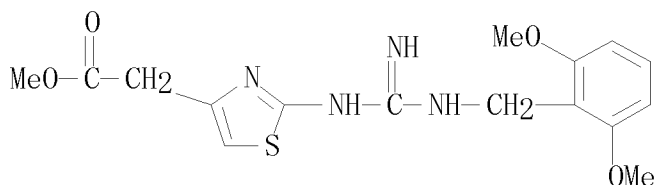
CN Guanidine, N-[(2-methoxyphenyl)methyl]-N'-[4-(3-pyridinyl)-2-thiazolyl]- (CA INDEX NAME)



RN 863657-01-6 CAPLUS

CN 4-Thiazoleacetic acid, 2-[[[[(2,6-

dimethoxyphenyl)methyl]amino]iminomethyl]amino]-, methyl ester (CA INDEX NAME)



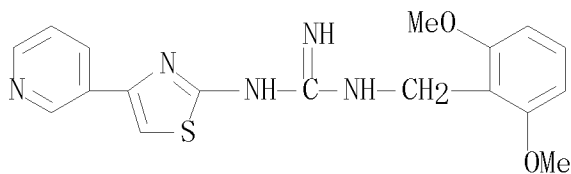
RN 863657-03-8 CAPLUS

CN Guanidine, N-[(2,6-dimethoxyphenyl)methyl]-N'-[4-(3-pyridinyl)-2-thiazolyl]-, monoacetate (9CI) (CA INDEX NAME)

CM 1

CRN 863657-02-7

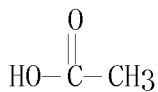
CMF C18 H19 N5 O2 S



CM 2

CRN 64-19-7

CMF C2 H4 O2



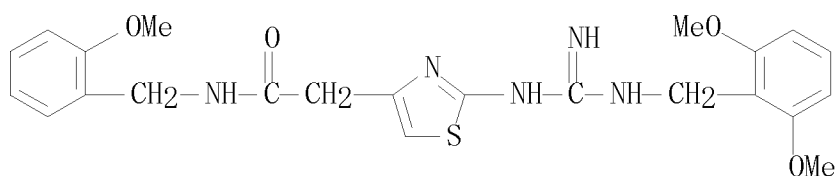
RN 863657-05-0 CAPLUS

CN 4-Thiazoleacetamide, 2-[[amino[[ (2,6-dimethoxyphenyl)methyl]amino]methylene]amino]-N-[(2-methoxyphenyl)methyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 863657-04-9

CMF C23 H27 N5 O4 S

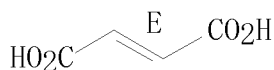


CM 2

CRN 110-17-8

CMF C4 H4 O4

Double bond geometry as shown.



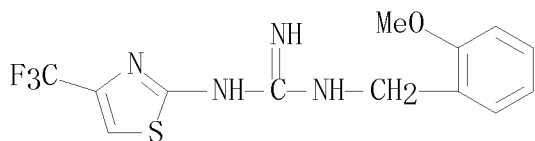
RN 863657-07-2 CAPLUS

CN Guanidine, N-[(2-methoxyphenyl)methyl]-N'-[4-(trifluoromethyl)-2-thiazolyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 863657-06-1

CMF C13 H13 F3 N4 O S

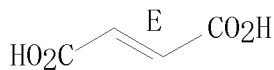


CM 2

CRN 110-17-8

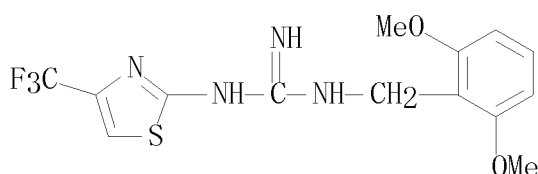
CMF C4 H4 O4

Double bond geometry as shown.



RN 863657-08-3 CAPLUS

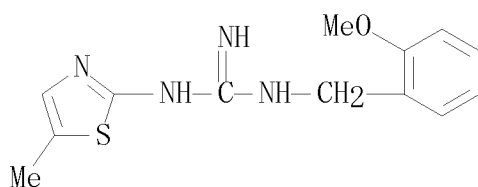
CN Guanidine, N-[(2,6-dimethoxyphenyl)methyl]-N'-[4-(trifluoromethyl)-2-thiazolyl]- (9CI) (CA INDEX NAME)



RN 863657-10-7 CAPLUS  
 CN Guanidine, N-[(2-methoxyphenyl)methyl]-N'-(5-methyl-2-thiazolyl)-,  
 (2E)-2-butenedioate (1:1) (CA INDEX NAME)

CM 1

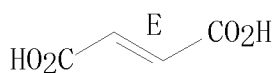
CRN 863657-09-4  
 CMF C13 H16 N4 O S



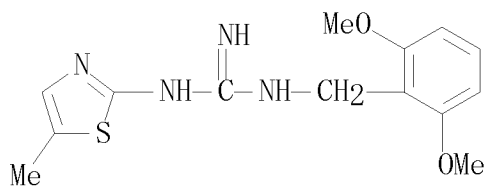
CM 2

CRN 110-17-8  
 CMF C4 H4 O4

Double bond geometry as shown.

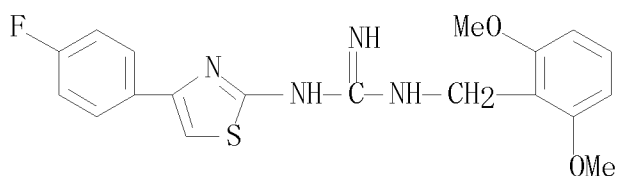


RN 863657-11-8 CAPLUS  
 CN Guanidine, N-[(2,6-dimethoxyphenyl)methyl]-N'-(5-methyl-2-thiazolyl)- (CA  
 INDEX NAME)



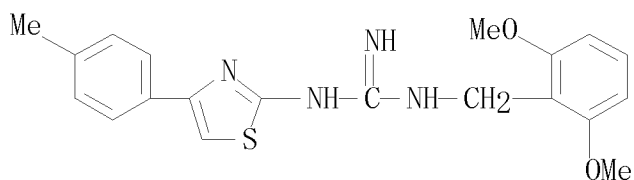
RN 863657-12-9 CAPLUS  
 CN Guanidine, N-[(2,6-dimethoxyphenyl)methyl]-N'-[4-(4-fluorophenyl)-2-  
 thiazolyl]- (9CI) (CA INDEX NAME)





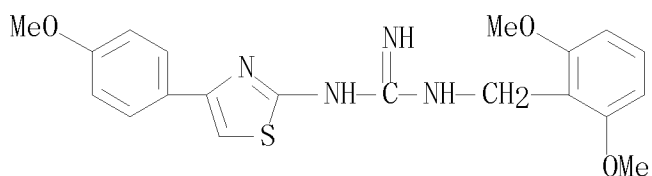
RN 863657-13-0 CAPLUS

CN Guanidine, N-[(2,6-dimethoxyphenyl)methyl]-N'-[4-(4-methylphenyl)-2-thiazolyl]- (CA INDEX NAME)



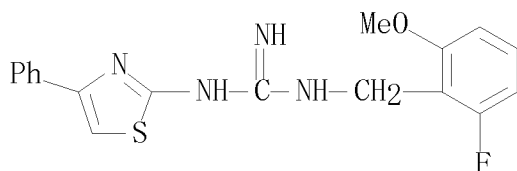
RN 863657-14-1 CAPLUS

CN Guanidine, N-[(2,6-dimethoxyphenyl)methyl]-N'-[4-(4-methoxyphenyl)-2-thiazolyl]- (CA INDEX NAME)



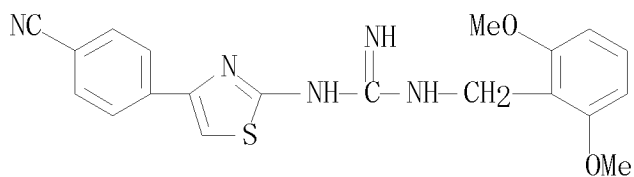
RN 863657-15-2 CAPLUS

CN Guanidine, N-[(2-fluoro-6-methoxyphenyl)methyl]-N'-(4-phenyl-2-thiazolyl)- (CA INDEX NAME)



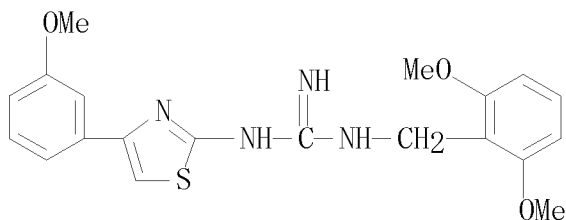
RN 863657-16-3 CAPLUS

CN Guanidine, N-[4-(4-cyanophenyl)-2-thiazolyl]-N'-[(2,6-dimethoxyphenyl)methyl]- (CA INDEX NAME)



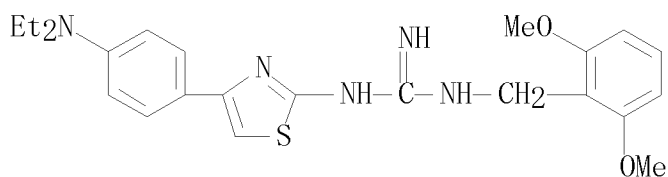
RN 863657-17-4 CAPLUS

CN Guanidine, N-[ (2,6-dimethoxyphenyl)methyl]-N'-[4-(3-methoxyphenyl)-2-thiazolyl]- (CA INDEX NAME)



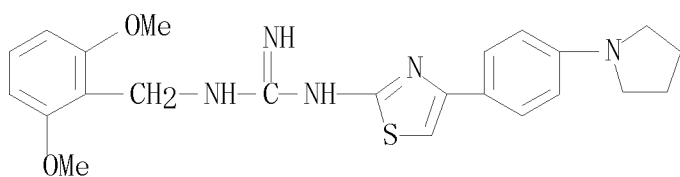
RN 863657-18-5 CAPLUS

CN Guanidine, N-[4-[4-(diethylamino)phenyl]-2-thiazolyl]-N'-[(2,6-dimethoxyphenyl)methyl]- (CA INDEX NAME)



RN 863657-19-6 CAPLUS

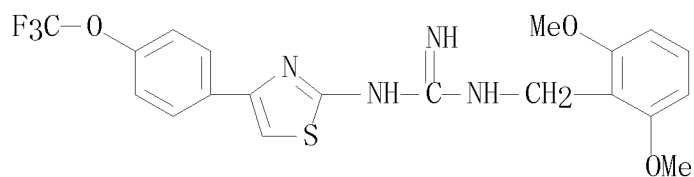
CN Guanidine, N-[ (2,6-dimethoxyphenyl)methyl]-N'-[4-[4-(1-pyrrolidinyl)phenyl]-2-thiazolyl]-, monohydrobromide (9CI) (CA INDEX NAME)



● HBr

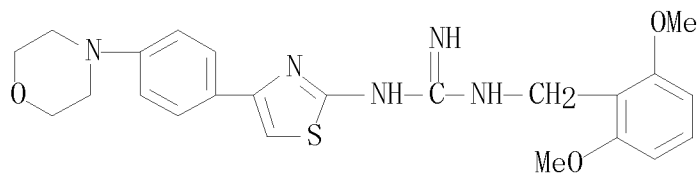
RN 863657-20-9 CAPLUS

CN Guanidine, N-[ (2,6-dimethoxyphenyl)methyl]-N'-[4-[4-(trifluoromethoxy)phenyl]-2-thiazolyl]-, monohydrobromide (9CI) (CA INDEX NAME)



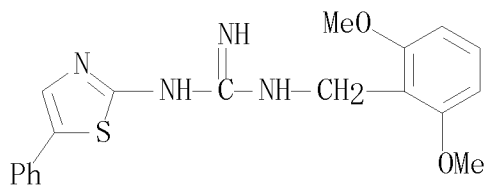
● HBr

RN 863657-21-0 CAPLUS  
 CN Guanidine, N-[ (2,6-dimethoxyphenyl)methyl]-N'-[4-[4-(4-morpholinyl)phenyl]-2-thiazolyl]-, monohydrobromide (9CI) (CA INDEX NAME)



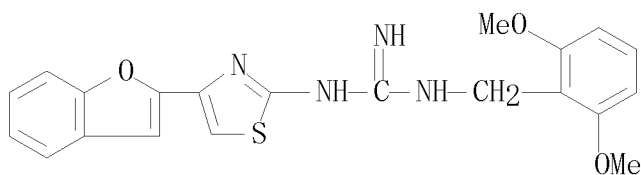
● HBr

RN 863657-22-1 CAPLUS  
 CN Guanidine, N-[ (2,6-dimethoxyphenyl)methyl]-N'-(5-phenyl-2-thiazolyl)-, hydrobromide (1:1) (CA INDEX NAME)



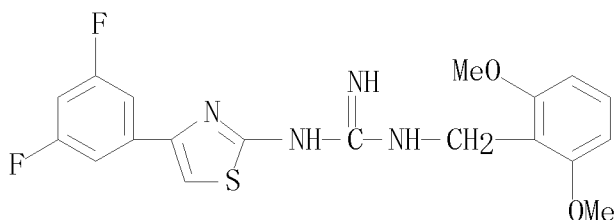
● HBr

RN 863657-23-2 CAPLUS  
 CN Guanidine, N-[4-(2-benzofuranyl)-2-thiazolyl]-N'-[ (2,6-dimethoxyphenyl)methyl]-, hydrobromide (1:1) (CA INDEX NAME)



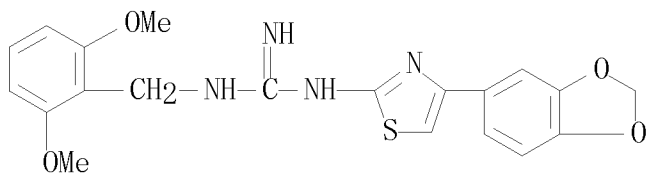
● HBr

RN 863657-24-3 CAPLUS  
CN Guanidine, N-[4-(3,5-difluorophenyl)-2-thiazolyl]-N'-[(2,6-dimethoxyphenyl)methyl]-, hydrobromide (1:1) (CA INDEX NAME)



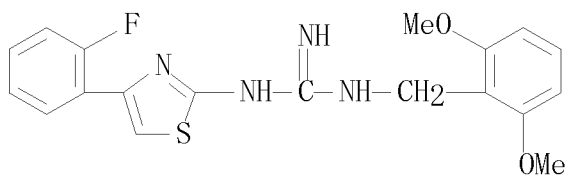
● HBr

RN 863657-25-4 CAPLUS  
CN Guanidine, N-[4-(1,3-benzodioxol-5-yl)-2-thiazolyl]-N'-[(2,6-dimethoxyphenyl)methyl]-, hydrobromide (1:1) (CA INDEX NAME)



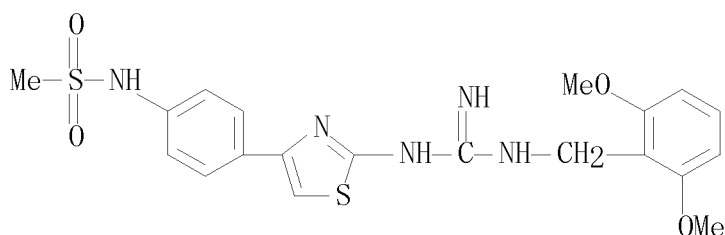
● HBr

RN 863657-26-5 CAPLUS  
CN Guanidine, N-[4-(2-fluorophenyl)-2-thiazolyl]-N'-[(2,6-dimethoxyphenyl)methyl]-, monohydrobromide (9CI) (CA INDEX NAME)



● HBr

RN 863657-27-6 CAPLUS  
 CN Methanesulfonamide, N-[4-[2-[[[(2,6-dimethoxyphenyl)methyl]amino]iminomethyl]amino]-4-thiazolyl]phenyl]-, hydrobromide (1:1) (CA INDEX NAME)



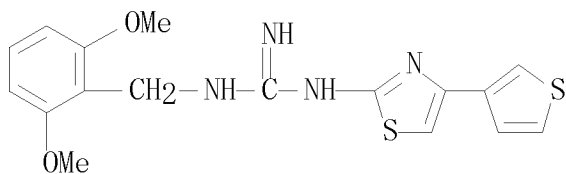
● HBr

RN 863657-29-8 CAPLUS  
 CN Guanidine, N-[(2,6-dimethoxyphenyl)methyl]-N'-[4-(3-thienyl)-2-thiazolyl]-, monoacetate (9CI) (CA INDEX NAME)

CM 1

CRN 863657-28-7

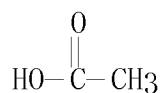
CMF C17 H18 N4 O2 S2



CM 2

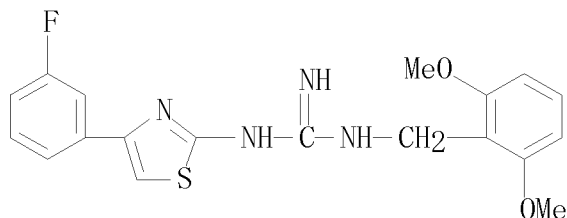
CRN 64-19-7

CMF C2 H4 O2



RN 863657-30-1 CAPLUS

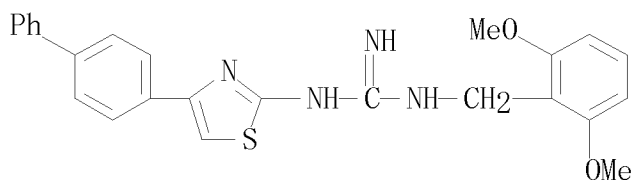
CN Guanidine, N-[(2,6-dimethoxyphenyl)methyl]-N'-[4-(3-fluorophenyl)-2-thiazolyl]-, monohydrobromide (9CI) (CA INDEX NAME)



● HBr

RN 863657-31-2 CAPLUS

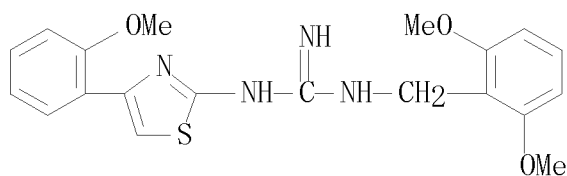
CN Guanidine, N-(4-[1,1'-biphenyl]-4-yl-2-thiazolyl)-N'-[(2,6-dimethoxyphenyl)methyl]-, hydrobromide (1:1) (CA INDEX NAME)



● HBr

RN 863657-32-3 CAPLUS

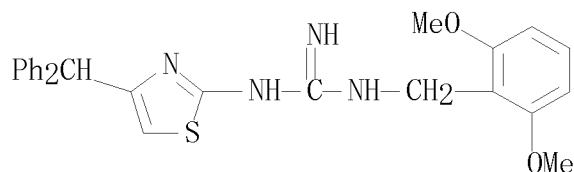
CN Guanidine, N-[(2,6-dimethoxyphenyl)methyl]-N'-[4-(2-methoxyphenyl)-2-thiazolyl]-, monohydrobromide (9CI) (CA INDEX NAME)



● HBr

RN 863657-33-4 CAPLUS

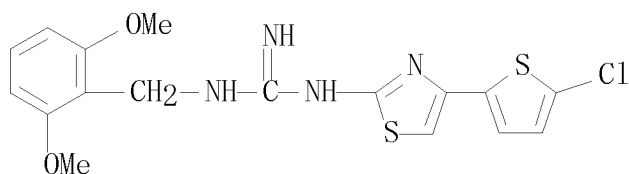
CN Guanidine, N-[ (2,6-dimethoxyphenyl)methyl]-N'-[4-(diphenylmethyl)-2-thiazolyl]-, monohydrobromide (9CI) (CA INDEX NAME)



● HBr

RN 863657-34-5 CAPLUS

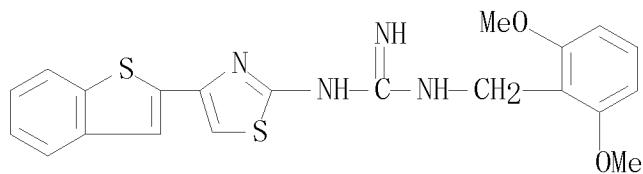
CN Guanidine, N-[4-(5-chloro-2-thienyl)-2-thiazolyl]-N'-[ (2,6-dimethoxyphenyl)methyl]-, hydrobromide (1:1) (CA INDEX NAME)



● HBr

RN 863657-35-6 CAPLUS

CN Guanidine, N-(4-benzo[b]thien-2-yl-2-thiazolyl)-N'-[ (2,6-dimethoxyphenyl)methyl]-, hydrobromide (1:1) (CA INDEX NAME)



● HBr

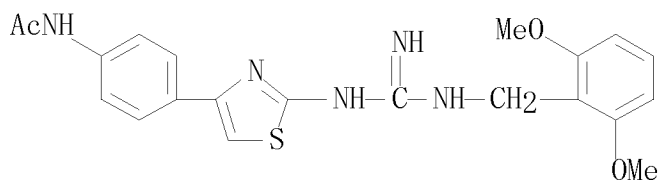
RN 863657-37-8 CAPLUS

CN Acetamide, N-[4-[2-[[[(2,6-dimethoxyphenyl)methyl]amino]iminomethyl]amino]-4-thiazolyl]phenyl]-, acetate (1:1) (CA INDEX NAME)

CM 1

CRN 863657-36-7

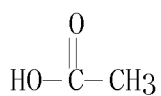
CMF C21 H23 N5 O3 S



CM 2

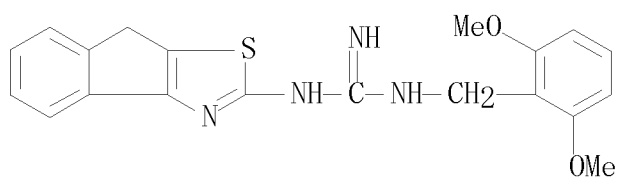
CRN 64-19-7

CMF C2 H4 O2



RN 863657-38-9 CAPLUS

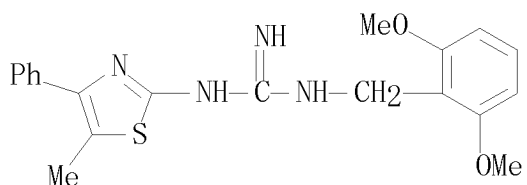
CN Guanidine, N'-[(2,6-dimethoxyphenyl)methyl]-N-8H-indeno[1,2-d]thiazol-2-yl-, hydrobromide (1:1) (CA INDEX NAME)



● HBr

RN 863657-39-0 CAPLUS

CN Guanidine, N'-[(2,6-dimethoxyphenyl)methyl]-N'-(5-methyl-4-phenyl-2-thiazolyl)-, hydrobromide (1:1) (CA INDEX NAME)

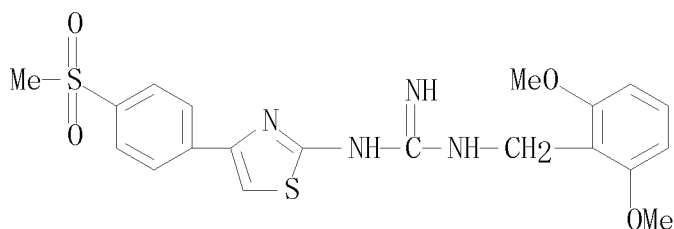


● HBr

RN 863657-40-3 CAPLUS

CN Guanidine, N'-[(2,6-dimethoxyphenyl)methyl]-N'-[4-[4-(methylsulfonyl)phenyl]-2-thiazolyl]-, monohydrobromide (9CI) (CA INDEX NAME)

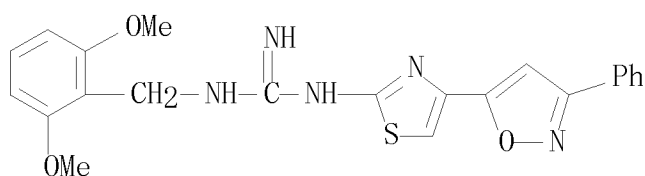




● HBr

RN 863657-41-4 CAPLUS

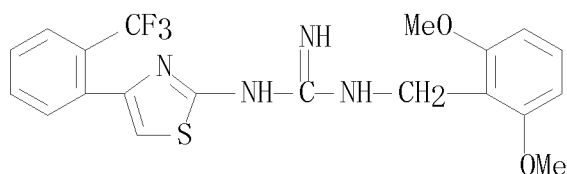
CN Guanidine, N-[(2,6-dimethoxyphenyl)methyl]-N'-[4-(3-phenyl-5-isoxazolyl)-2-thiazolyl]-, monohydrobromide (9CI) (CA INDEX NAME)



● HBr

RN 863657-42-5 CAPLUS

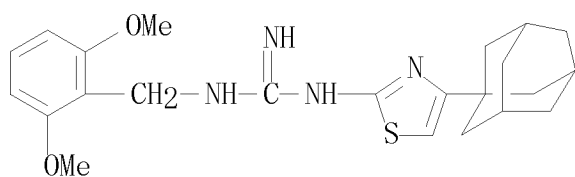
CN Guanidine, N-[(2,6-dimethoxyphenyl)methyl]-N'-[4-[2-(trifluoromethyl)phenyl]-2-thiazolyl]-, monohydrobromide (9CI) (CA INDEX NAME)



● HBr

RN 863657-43-6 CAPLUS

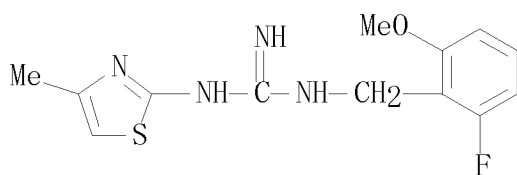
CN Guanidine, N-[(2,6-dimethoxyphenyl)methyl]-N'-[4-(tricyclo[3.3.1.1<sup>3,7</sup>]dec-1-yl-2-thiazolyl)-, hydrobromide (1:1) (CA INDEX NAME)



● HBr

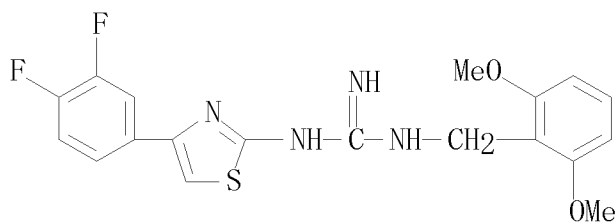
RN 863657-44-7 CAPLUS

CN Guanidine, N-[(2-fluoro-6-methoxyphenyl)methyl]-N'-(4-methyl-2-thiazolyl)-  
(CA INDEX NAME)



RN 863657-45-8 CAPLUS

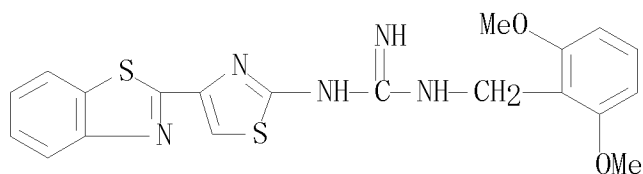
CN Guanidine, N-[4-(3,4-difluorophenyl)-2-thiazolyl]-N'-(2,6-dimethoxyphenyl)methyl]-, hydrobromide (1:1) (CA INDEX NAME)



● HBr

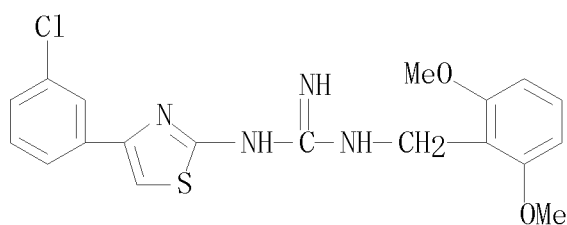
RN 863657-46-9 CAPLUS

CN Guanidine, N-[4-(2-benzothiazolyl)-2-thiazolyl]-N'-(2,6-dimethoxyphenyl)methyl]-, hydrobromide (1:1) (CA INDEX NAME)



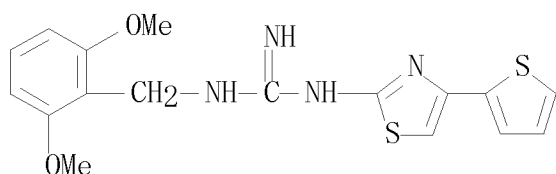
● HBr

RN 863657-47-0 CAPLUS  
 CN Guanidine, N-[4-(3-chlorophenyl)-2-thiazolyl]-N'-[(2,6-dimethoxyphenyl)methyl]-, hydrobromide (1:1) (CA INDEX NAME)



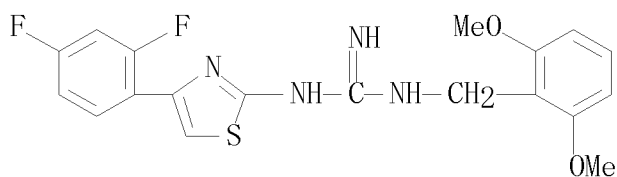
● HBr

RN 863657-48-1 CAPLUS  
 CN Guanidine, N-[(2,6-dimethoxyphenyl)methyl]-N'-[4-(2-thienyl)-2-thiazolyl]-, monohydrobromide (9CI) (CA INDEX NAME)



● HBr

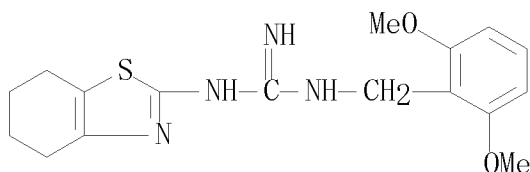
RN 863657-49-2 CAPLUS  
 CN Guanidine, N-[4-(2,4-difluorophenyl)-2-thiazolyl]-N'-[(2,6-dimethoxyphenyl)methyl]-, hydrobromide (1:1) (CA INDEX NAME)



● HBr

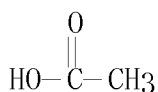
RN 863657-54-9 CAPLUS  
 CN Guanidine, N-[(2,6-dimethoxyphenyl)methyl]-N'-(4,5,6,7-tetrahydro-2-benzothiazolyl)-, acetate (1:1) (CA INDEX NAME)

CRN 863657-53-8  
CMF C17 H22 N4 O2 S

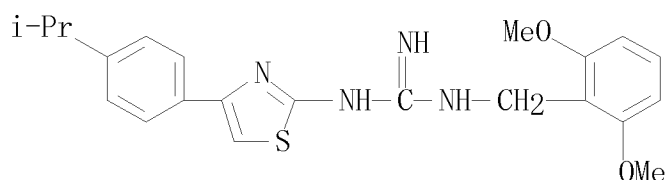


CM 2

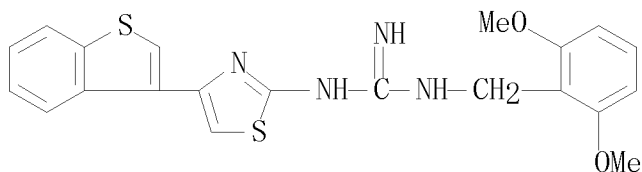
CRN 64-19-7  
CMF C2 H4 O2



RN 863657-55-0 CAPLUS  
CN Guanidine, N-[(2,6-dimethoxyphenyl)methyl]-N'-[4-[4-(1-methylethyl)phenyl]-2-thiazolyl]- (CA INDEX NAME)

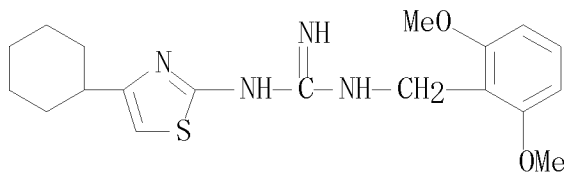


RN 863657-56-1 CAPLUS  
CN Guanidine, N-(4-benzo[b]thien-3-yl-2-thiazolyl)-N'-[(2,6-dimethoxyphenyl)methyl]-, hydrobromide (1:1) (CA INDEX NAME)

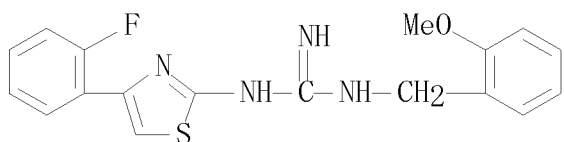


● HBr

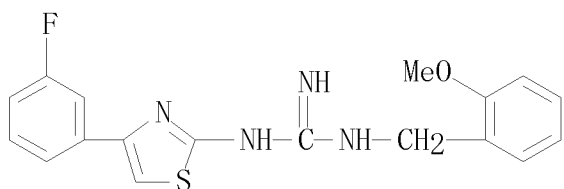
RN 863657-57-2 CAPLUS  
CN Guanidine, N-(4-cyclohexyl-2-thiazolyl)-N'-[(2,6-dimethoxyphenyl)methyl]- (CA INDEX NAME)



RN 863657-58-3 CAPLUS

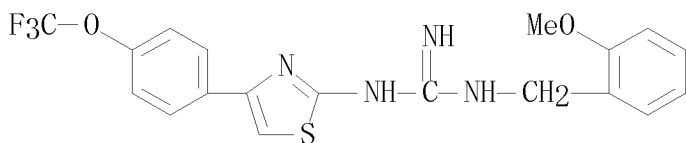
CN Guanidine, N-[4-(2-cyclohexylthiazol-2-yl)-2-methoxyphenyl]methyl-  
(CA INDEX NAME)

RN 863657-59-4 CAPLUS

CN Guanidine, N-[4-(2-(3-fluorophenyl)thiazol-2-yl)-2-methoxyphenyl]methyl-  
(CA INDEX NAME)

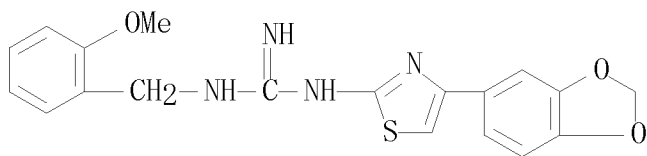
RN 863657-60-7 CAPLUS

CN Guanidine, N-[4-(2-methoxyphenyl)methyl]-N'-[4-[4-(trifluoromethoxy)phenyl]-2-thiazolyl]- (9CI) (CA INDEX NAME)



RN 863657-61-8 CAPLUS

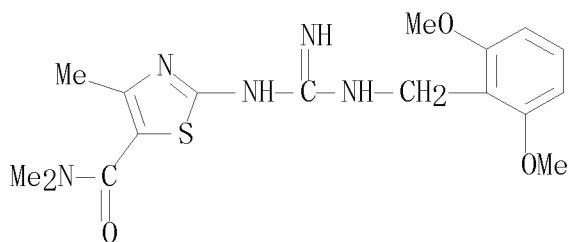
CN Guanidine, N-[4-(1,3-benzodioxol-5-yl)-2-thiazolyl]-N'-[(2-methoxyphenyl)methyl]- (CA INDEX NAME)



RN 863657-62-9 CAPLUS

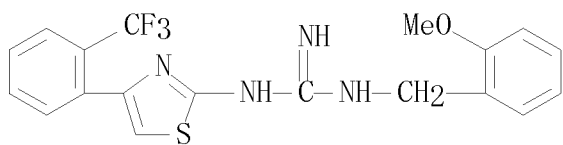
CN 5-Thiazolecarboxamide, 2-[[[(2,6-dimethoxyphenyl)methyl]amino]iminomethyl]amino]-N,N,4-trimethyl- (CA INDEX NAME)

INDEX NAME)



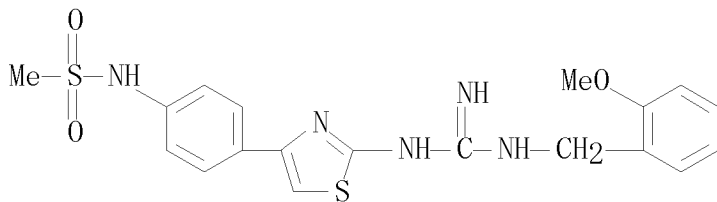
RN 863657-63-0 CAPLUS

CN Guanidine, N-[(2-methoxyphenyl)methyl]-N'-[4-[2-(trifluoromethyl)phenyl]-2-thiazolyl]- (9CI) (CA INDEX NAME)



RN 863657-64-1 CAPLUS

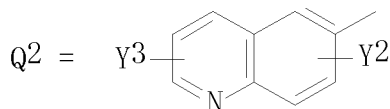
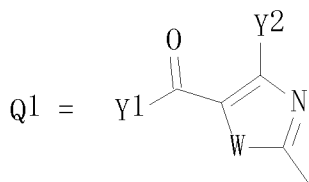
CN Methanesulfonamide, N-[4-[2-[[imino[(2-methoxyphenyl)methyl]amino]methyl]amino]-4-thiazolyl]phenyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)

L7 ANSWER 5 OF 41 CAPLUS COPYRIGHT 2010 ACS on STN  
 ACCESSION NUMBER: 2004:430696 CAPLUS  
 DOCUMENT NUMBER: 141:7106  
 TITLE: Preparation of thiazolylbenzoylguanidines for treatment of leukocyte activation-associated disorders.  
 INVENTOR(S): Kempson, James; Pitts, William J.  
 PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA  
 SOURCE: PCT Int. Appl., 51 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004043362	A2	20040527	WO 2003-US35269	20031106
WO 2004043362	A3	20040812		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2003295402	A1	20040603	AU 2003-295402	20031106
US 20040132750	A1	20040708	US 2003-702934	20031106
US 7109224	B2	20060919		
PRIORITY APPLN. INFO.:			US 2002-424237P	P 20021106
			WO 2003-US35269	W 20031106
OTHER SOURCE(S):	MARPAT 141:7106			
GRAPHIC IMAGE:				



# ABSTRACT:

R1R2NC(:NH)NR4C(:R3)L [R1, R4 = H, (substituted) alkyl; R2 = Q1, Q2, etc.; W = O, S; Y1 = NHT12, OT7; Y2, Y3 = H, halo, OT7, alkyl, haloalkyl; R3 = O, S, N; L = (substituted) aryl, cycloalkyl, heterocyclo, heteroaryl; T7 = alkyl, hydroxyalkyl, alkoxyalkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, aryl, aralkyl, heterocyclo, heteroaryl, etc.; T12 = H, halo, cyano, NO2, OH, O, SH, (substituted) alkyl, hydroxyalkyl, alkoxyalkyl, alkenyl, alkynyl, heterocyclo, aryl, aralkyl, etc.], were prepared for treatment of psoriasis, asthma, inflammatory bowel disease, multiple sclerosis, juvenile diabetes, etc. (no data). Thus, 2-imino-4-thiobiuret and Et 2-chloroacetoacetate were heated in

EtOH at 100° for 4 h to give 79% Et 2-[(aminoiminomethyl)amino]-4-methyl-5-thiazolecarboxylate. The latter was added to a mixture prepared from Na and EtOH followed by stirring for 30 min; di-Et terephthalate was added and the mixture was heated at 120-130° overnight to give 26% Et 2-[N'-(4-ethoxycarbonylbenzoyl)guanidino]-4-methylthiazole-5-carboxylate. The latter was saponified with LiOH in THF/H<sub>2</sub>O to give 12% Et 2-[N'-(4-carboxybenzoyl)guanidino]-4-methylthiazole-5-carboxylate, which was stirred with thiomorpholine, EDC, HOBt, and DIPEA in DMF to give 43% Et 4-methyl-2-[N'-4-(thiomorpholinecarbonylbenzoyl)guanidino]-4-methylthiazole-5-carboxylate.

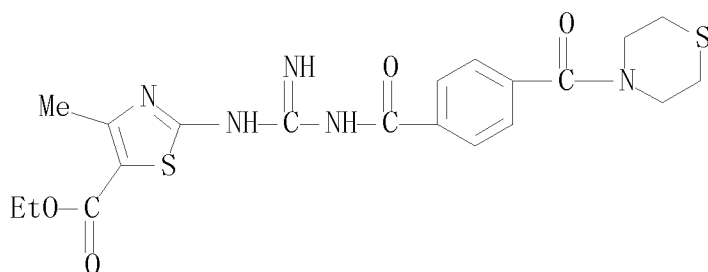
IT 693809-53-9P      693809-54-0P      693809-55-1P  
693809-56-2P      693809-57-3P      693809-58-4P  
693809-59-5P      693809-60-8P      693809-61-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of thiazolylbenzoylguanidines for treatment of leukocyte activation-associated disorders)

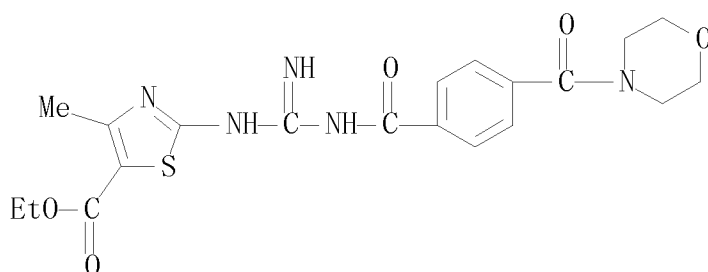
RN 693809-53-9 CAPLUS

CN 5-Thiazolecarboxylic acid, 2-[[imino[[4-(4-thiomorpholinylcarbonyl)benzoyl]amino]methyl]amino]-4-methyl-, ethyl ester  
(CA INDEX NAME)



RN 693809-54-0 CAPLUS

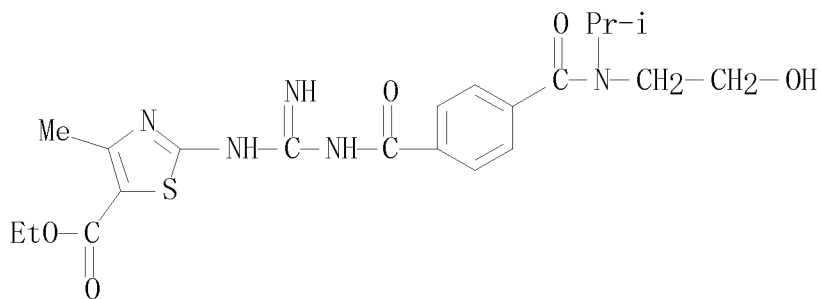
CN 5-Thiazolecarboxylic acid, 2-[[imino[[4-(4-morpholinylcarbonyl)benzoyl]amino]methyl]amino]-4-methyl-, ethyl ester  
(CA INDEX NAME)



RN 693809-55-1 CAPLUS

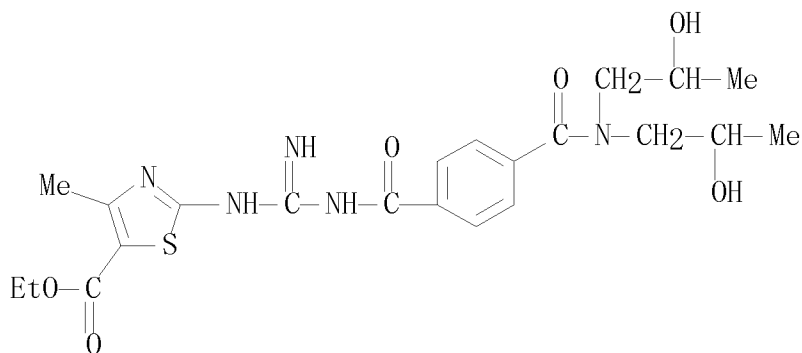
CN 5-Thiazolecarboxylic acid, 2-[[[4-[(2-hydroxyethyl)(1-methylethyl)amino]carbonyl]benzoyl]amino]iminomethyl]amino]-4-methyl-, ethyl ester (CA INDEX NAME)





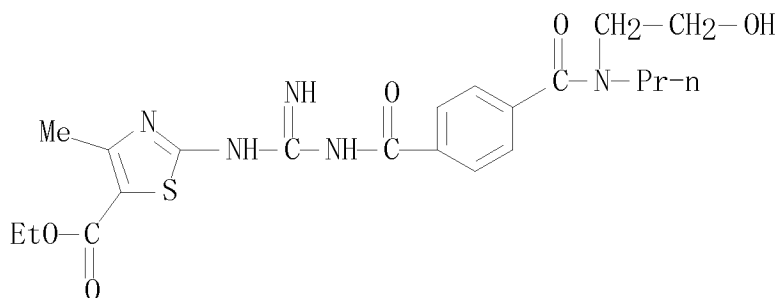
RN 693809-56-2 CAPLUS

CN 5-Thiazolecarboxylic acid, 2-[[[4-[[bis(2-hydroxypropyl)amino]carbonyl]benzoyl]amino]iminomethyl]amino]-4-methyl-, ethyl ester (CA INDEX NAME)



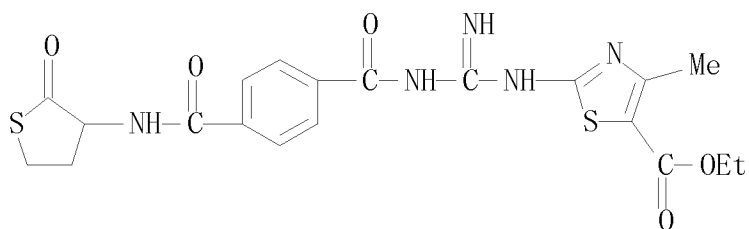
RN 693809-57-3 CAPLUS

CN 5-Thiazolecarboxylic acid, 2-[[[4-[[ (2-hydroxyethyl)propylamino]carbonyl]benzoyl]amino]iminomethyl]amino]-4-methyl-, ethyl ester (CA INDEX NAME)



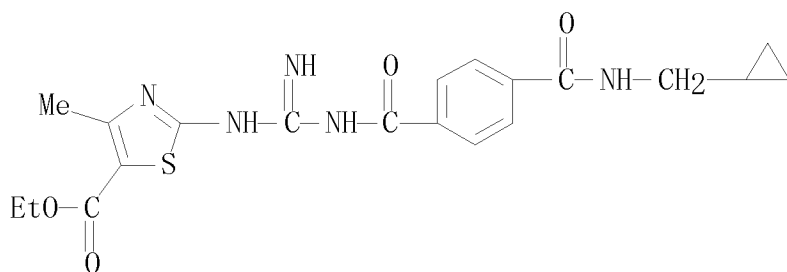
RN 693809-58-4 CAPLUS

CN 5-Thiazolecarboxylic acid, 2-[[imino[[4-[(tetrahydro-2-oxo-3-thienyl)amino]carbonyl]benzoyl]amino]methyl]amino]-4-methyl-, ethyl ester (CA INDEX NAME)



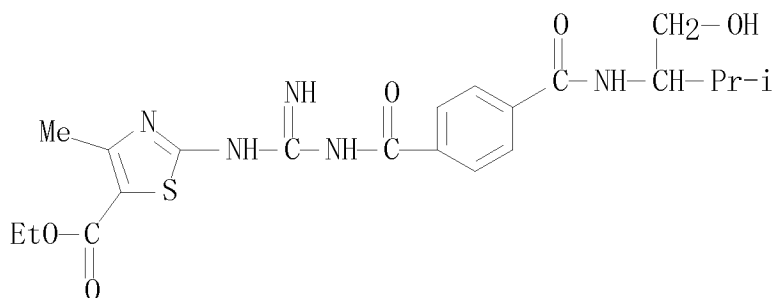
RN 693809-59-5 CAPLUS

CN 5-Thiazolecarboxylic acid, 2-[[[4-[[[1-(cyclopropylmethyl)amino]carbonyl]benzoyl]amino]iminomethyl]amino]-4-methyl-, ethyl ester (CA INDEX NAME)



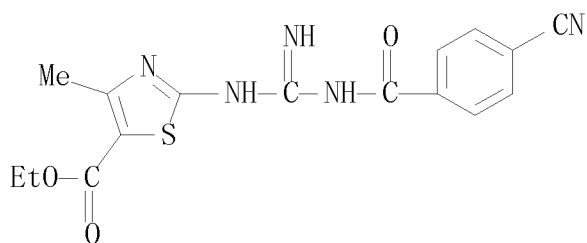
RN 693809-60-8 CAPLUS

CN 5-Thiazolecarboxylic acid, 2-[[[4-[[[1-(hydroxymethyl)-2-methylpropyl]amino]carbonyl]benzoyl]amino]iminomethyl]amino]-4-methyl-, ethyl ester (CA INDEX NAME)

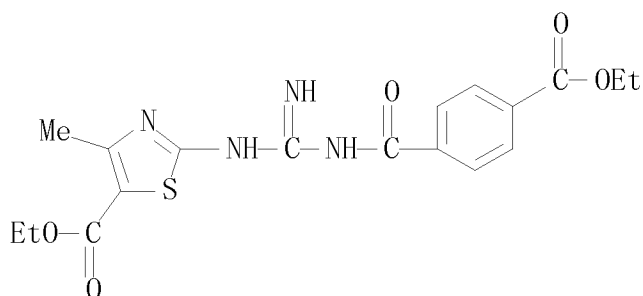


RN 693809-61-9 CAPLUS

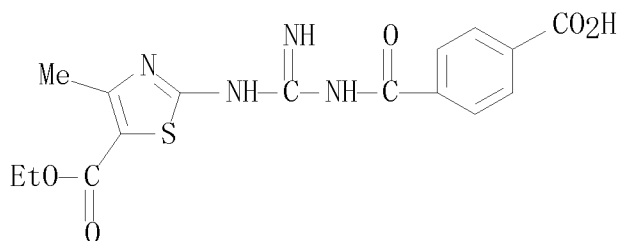
CN 5-Thiazolecarboxylic acid, 2-[[[4-(4-cyanobenzoyl)amino]iminomethyl]amino]-4-methyl-, ethyl ester (CA INDEX NAME)



IT 693809-64-2P 693809-65-3P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation of thiazolylbenzoylguanidines for treatment of leukocyte activation-associated disorders)  
 RN 693809-64-2 CAPLUS  
 CN 5-Thiazolecarboxylic acid, 2-[[[4-(ethoxycarbonyl)benzoyl]amino]iminomethyl]amino]-4-methyl-, ethyl ester (CA INDEX NAME)



RN 693809-65-3 CAPLUS  
 CN 5-Thiazolecarboxylic acid, 2-[[[ (4-carboxybenzoyl) amino] iminomethyl] amino]-4-methyl-, 5-ethyl ester (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 6 OF 41 CAPLUS COPYRIGHT 2010 ACS on STN  
ACCESSION NUMBER: 2003:926285 CAPLUS  
DOCUMENT NUMBER: 140:321316  
TITLE: Condensation of isatoic anhydride with  
hetarylguanidines  
AUTHOR(S): Shikhaliev, Kh. S.; Kryl'skii, D. V.; Shestakov, A.  
S.; Falaleev, A. V.  
CORPORATE SOURCE: Voronezh State University, Voronezh, Russia  
SOURCE: Russian Journal of General Chemistry (Translation of  
Zhurnal Obshchei Khimii) (2003), 73(7), 1147-1150  
CODEN: RJGCEK; ISSN: 1070-3632  
PUBLISHER: MAIK Nauka/Interperiodica Publishing  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
OTHER SOURCE(S): CASREACT 140:321316  
GRAPHIC IMAGE:

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

ABSTRACT:

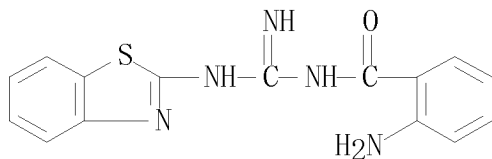
Condensation of isatoic anhydride with 4-methylquinazolin-2-yl, 2-benzoxazolyl-, 2-benzothiazolyl-, and 4,6-dimethylpyrimidin-2-ylguanidines I, II [X = O, S (III)], IV, resp., leads to the corresponding 2-hetarylamino-4-hydroxy-quinazolines, e.g., V, as a result of cyclization of intermediate anthranilic acid hetarylguanidides, e.g., VI. These intermediates can be isolated as individual compds.

IT 375352-15-1P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of heteroarylaminquinazolines via condensation of isatoic anhydrides with heteroarylguanidines followed by intramol. cyclization with elimination of ammonia)

RN 375352-15-1 CAPLUS

CN Benzamide, 2-amino-N-[(2-benzothiazolylamino)iminomethyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)  
REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

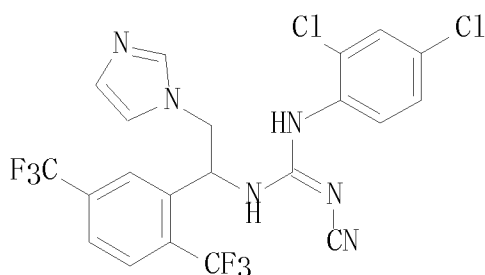
L7 ANSWER 7 OF 41 CAPLUS COPYRIGHT 2010 ACS on STN  
 ACCESSION NUMBER: 2003:472616 CAPLUS  
 DOCUMENT NUMBER: 139:53018  
 TITLE: Preparation of (1-phenyl-2-heteroaryl)ethylguanidines  
 as inhibitors of mitochondrial F1F0 ATPase  
 INVENTOR(S): Atwal, Karnail S.; Grover, Gary J.; Ding, Charles Z.;  
 Stein, Philip D.; Lloyd, John; Ahmad, Saleem; Hamann,  
 Lawrence G.; Green, David; Ferrara, Francis N.  
 PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA  
 SOURCE: PCT Int. Appl., 130 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003050261	A2	20030619	WO 2002-US39478	20021210
WO 2003050261	A3	20040226		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2002357137	A1	20030623	AU 2002-357137	20021210
US 20040039033	A1	20040226	US 2002-315818	20021210
US 6916813	B2	20050712		
EP 1450901	A2	20040901	EP 2002-804765	20021210
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK			
PRIORITY APPLN. INFO.:			US 2001-339108P	P 20011210
			WO 2002-US39478	W 20021210

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 139:53018

GRAPHIC IMAGE:



I

## ABSTRACT:

ZCH<sub>2</sub>CHRNHC(:NR<sub>1</sub>)NR<sub>2</sub>R<sub>3</sub> [Z = heteroaryl; R = (un)substituted Ph; R<sub>1</sub> = CN, sulfonyl, acyl, heteroaryl; R<sub>2</sub> = H, (un)substituted alkyl; R<sub>3</sub> = H, (un)substituted alkyl, alkylthio, aminoalkyl, carbamoyl, aryl, aralkyl, heterocyclic, heterocyclalkyl, cycloalkyl, cycloalkylalkyl; NR<sub>2</sub>R<sub>3</sub> = heterocyclic] were prepared and are useful for modulating mitochondrial F1F0 ATPase activity and treating ischemic conditions including myocardial infarction, congestive heart failure, and cardiac arrhythmias. Thus, 2,5-(F3C)2C6H3COCl was treated with MeMgBr to give 2,5-(F3C)2C6H3COMe, which was brominated to give 2,5-(F3C)2C6H3COCH<sub>2</sub>Br. This compound was treated with imidazole, reduced to the alc., converted to the amine, and treated with 2,4-Cl<sub>2</sub>C6H3NHCNHCN to give the guanidine I.

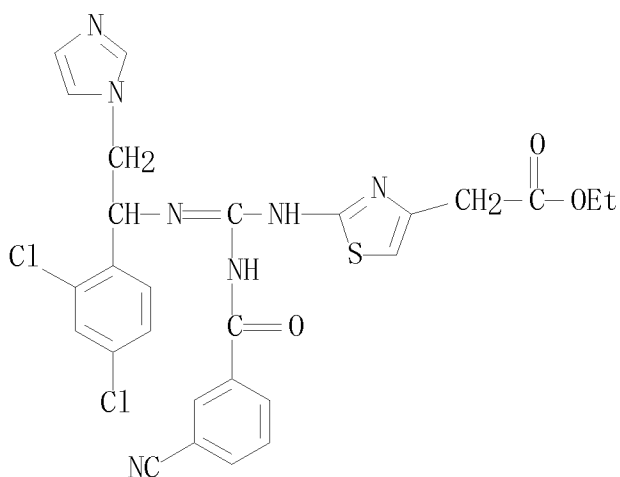
IT 545407-28-1P 545407-30-5P 545407-41-8P  
545408-52-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of (1-phenyl-2-heteroaryl)ethylguanidines as inhibitors of mitochondrial F1F0 ATPase)

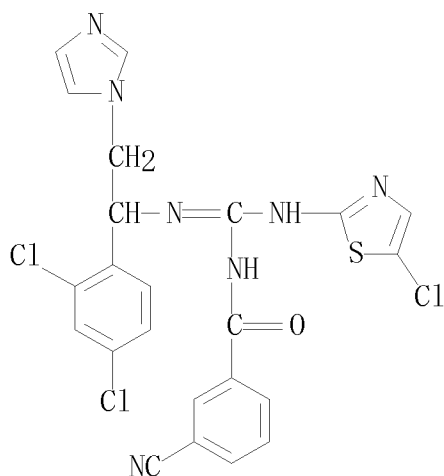
RN 545407-28-1 CAPLUS

CN 4-Thiazoleacetic acid, 2-[[[(3-cyanobenzoyl)imino][[1-(2,4-dichlorophenyl)-2-(1H-imidazol-1-yl)ethyl]amino]methyl]amino]-, ethyl ester (CA INDEX NAME)



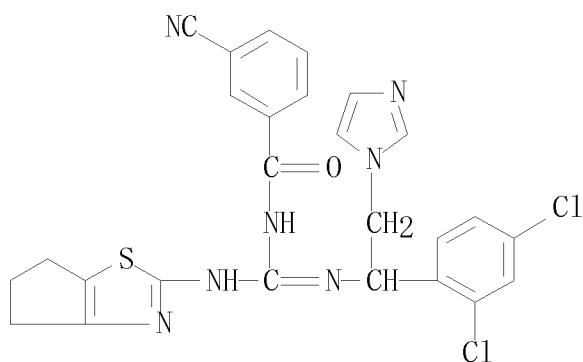
RN 545407-30-5 CAPLUS

CN Benzamide, N-[[[(5-chloro-2-thiazolyl)amino][[1-(2,4-dichlorophenyl)-2-(1H-imidazol-1-yl)ethyl]amino]methylene]-3-cyano- (CA INDEX NAME)



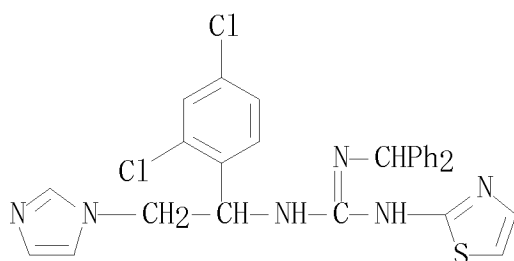
RN 545407-41-8 CAPLUS

CN Benzamide, 3-cyano-N-[[[1-(2,4-dichlorophenyl)-2-(1H-imidazol-1-yl)ethyl]amino][(5,6-dihydro-4H-cyclopentathiazol-2-yl)amino]methylene]-(CA INDEX NAME)



RN 545408-52-4 CAPLUS

CN Guanidine, N-[1-(2,4-dichlorophenyl)-2-(1H-imidazol-1-yl)ethyl]-N'-(diphenylmethyl)-N'',2-thiazolyl-, hydrochloride (1:1) (CA INDEX NAME)



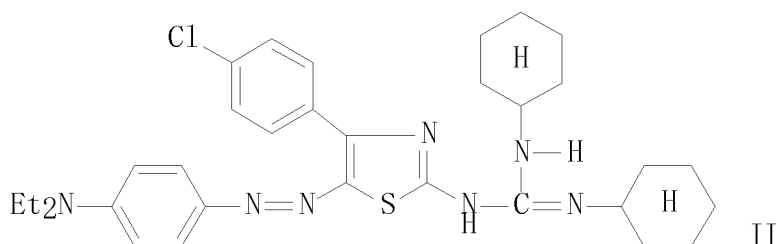
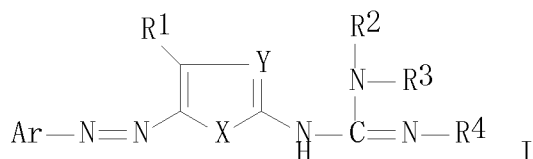
● HCl

REFERENCE COUNT: 1 (3 CITINGS)  
THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT



L7 ANSWER 8 OF 41 CAPLUS COPYRIGHT 2010 ACS on STN  
 ACCESSION NUMBER: 2003:131260 CAPLUS  
 DOCUMENT NUMBER: 138:172022  
 TITLE: Ink-jet printing and inks therefor forming lightfast  
 images with good hue  
 INVENTOR(S): Matsushita, Tetsunori  
 PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 49 pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2003049104	A	20030221	JP 2001-240547	20010808
PRIORITY APPLN. INFO.:			JP 2001-240547	20010808
OTHER SOURCE(S):	MARPAT	138:172022		
GRAPHIC IMAGE:				

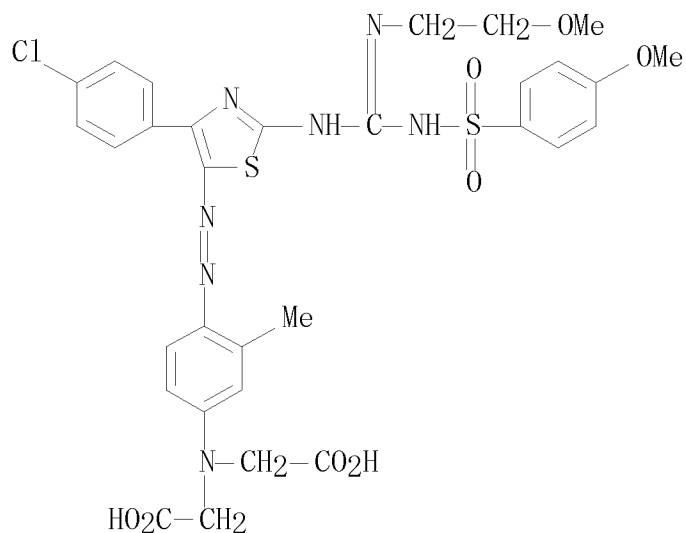


# ABSTRACT:

The inks contain sp. diazo dyes I [Ar = aryl, heterocycle; R1 = H, halo, nitrile, hydroxy, etc.; M = metal; n = 1-4; R2-R4 = H, alkyl, aryl, etc.; X = NR5 (R5 = H, alkyl, aryl, etc.), O, S; Y = CR6 (R6 = the same definition as R1), N]. Thus, an aqueous ink containing II formed images having excellent light and blotting resistance.

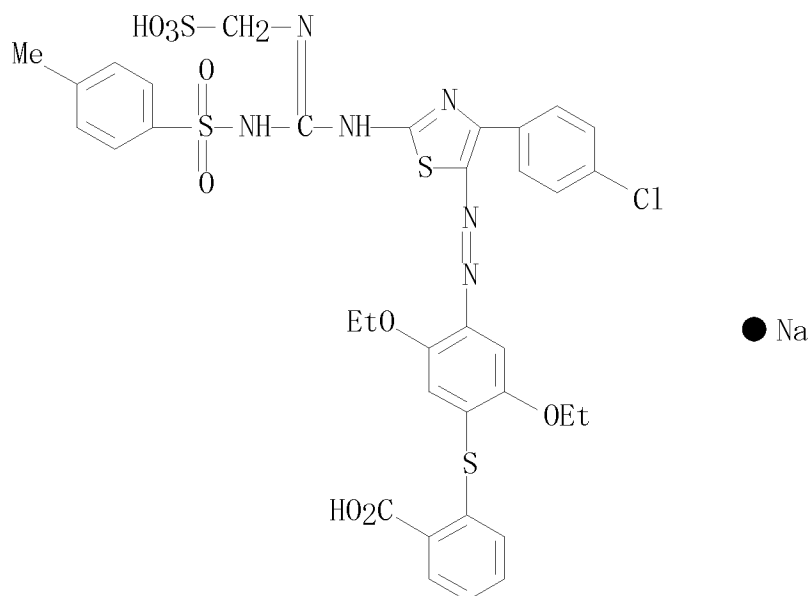
IT 497819-49-5 497819-54-2  
 RL: TEM (Technical or engineered material use); USES (Uses)  
 (ink-jet inks containing sp. azo dyes having guanidino group and forming light-resistant images with good hue)  
 RN 497819-49-5 CAPLUS  
 CN Glycine, N-(carboxymethyl)-N-[4-[[4-(4-chlorophenyl)-2-[[[(2-methoxyethyl)amino][[(4-methoxyphenyl)sulfonyl]amino]methylene]amino]-5-

thiazolyl]azo]-3-methylphenyl]- (9CI) (CA INDEX NAME)



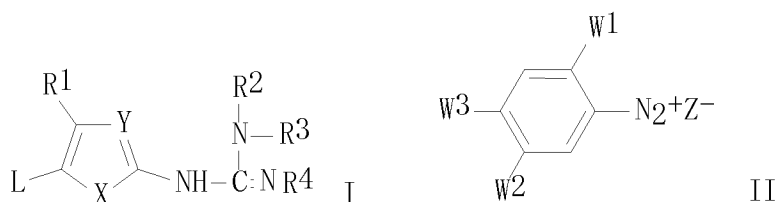
RN 497819-54-2 CAPLUS

CN Benzoic acid, 2-[[[4-[2-[4-(4-chlorophenyl)-2-[[[(4-methylphenyl)sulfonyl]amino][(sulfomethyl)imino]methyl]amino]-5-thiazolyl]diazenyl]-2,5-diethoxyphenyl]thio]-, sodium salt (1:1) (CA INDEX NAME)



L7 ANSWER 9 OF 41 CAPLUS COPYRIGHT 2010 ACS on STN  
 ACCESSION NUMBER: 2003:124148 CAPLUS  
 DOCUMENT NUMBER: 138:161139  
 TITLE: Heat-developable diazo recording material  
 INVENTOR(S): Matsushita, Tetsunori  
 PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 35 pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2003048376	A	20030218	JP 2001-240546	20010808
PRIORITY APPLN. INFO.:			JP 2001-240546	20010808
OTHER SOURCE(S):	MARPAT 138:161139			
GRAPHIC IMAGE:				



## ABSTRACT:

The material contains (1) a coupler I (R1 = H, halo, nitryl, OH, alkyl, aryl, alkoxy, aryloxy, alkylthio, arylthio, alkylcarbonyl, arylcarbonyl, alkylsulfonyl, arylsulfonyl, alkoxycarbonyl, aryloxycarbonyl, alkylaminocarbonyl, arylaminocarbonyl, alkylaminosulfonyl, arylaminosulfonyl, amino, acylamino, alkylsulfonylamino, arylsulfonylamino; R2-4 = H, alkyl, aryl, alkylcarbonyl, arylcarbonyl, alkylsulfonyl, arylsulfonyl, alkoxycarbonyl, aryloxycarbonyl, dialkylphosphoryl, diarylphosphoryl, dialkoxyphosphoryl, diaryloxyphosphoryl; R2 and R3 may form a ring; X = NR5, O, S; R5 = H, alkyl, aryl, alkylcarbonyl, arylcarbonyl, alkylsulfonyl, arylsulfonyl; Y = N, CR6; R6 = R1; L = H, releasing group) and (2) a diazonium salt II (W1, W2 = H, halo, nitryl, OH, alkyl, aryl, aralkyl, alkenyl, heterocycle, alkoxy, aryloxy, alkylthio, arylthio, heterocyclic thiol, alkylcarbonyl, arylcarbonyl, alkylsulfonyl, arylsulfonyl, alkoxycarbonyl, aryloxycarbonyl, alkylaminocarbonyl, arylaminocarbonyl, alkylaminosulfonyl, arylaminosulfonyl, acylamino, alkylsulfonylamino, arylsulfonylamino; W3 = H, OH, alkyl, aryl, aralkyl, alkenyl, heterocycle, alkoxy, aryloxy, alkylthio, arylthio, heterocyclic thiol, amino, acylamino, alkylsulfonylamino, arylsulfonylamino; Z<sup>-</sup> = anion). It shows improved color tint and background whiteness.

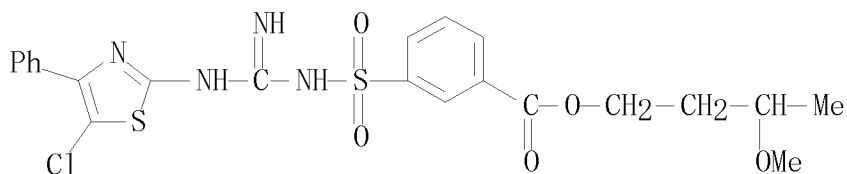
IT 496877-32-8 496877-33-9 496877-34-0  
496877-35-1

RL: TEM (Technical or engineered material use); USES (Uses)  
 (heat-developable diazo recording material using specific coupler)

RN 496877-32-8 CAPLUS

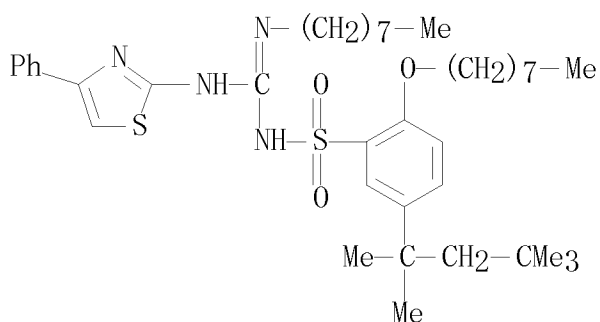
CN Benzoic acid, 3-[[[(5-chloro-4-phenyl-2-thiazolyl)amino]iminomethyl]amino]sulfonyl]-, 3-methoxybutyl ester (CA

INDEX NAME)



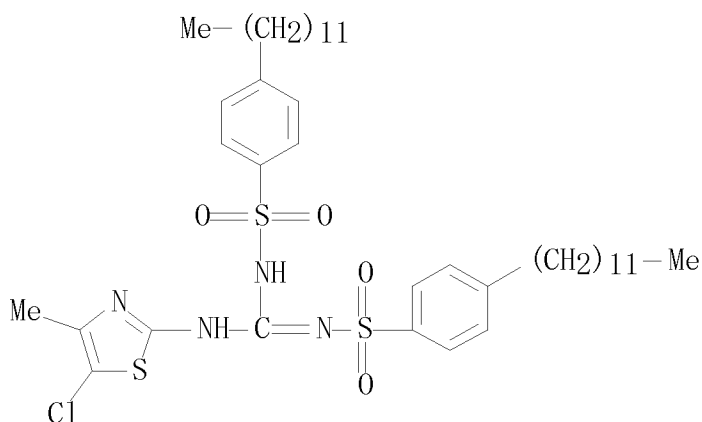
RN 496877-33-9 CAPLUS

CN Benzenesulfonamide, N-[(octylimino)[(4-phenyl-2-thiazolyl)amino]methyl]-2-(octyloxy)-5-(1,1,3,3-tetramethylbutyl)- (CA INDEX NAME)



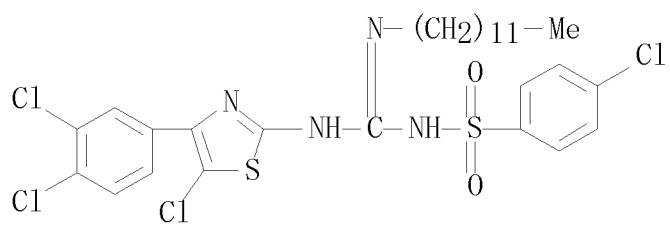
RN 496877-34-0 CAPLUS

CN Benzenesulfonamide, N,N'-[(5-chloro-4-methyl-2-thiazolyl)carbonimidoyl]bis[4-dodecyl- (9CI) (CA INDEX NAME)



RN 496877-35-1 CAPLUS

CN Benzenesulfonamide, 4-chloro-N-[[[5-chloro-4-(3,4-dichlorophenyl)-2-thiazolyl]amino](dodecylimino)methyl]- (CA INDEX NAME)



L7 ANSWER 10 OF 41 CAPLUS COPYRIGHT 2010 ACS on STN  
 ACCESSION NUMBER: 2001:836782 CAPLUS  
 DOCUMENT NUMBER: 136:118413  
 TITLE: Anti-Helicobacter pylori Agents. 5. 2-(Substituted  
 guanidino)-4-arylthiazoles and Aryloxazole Analogues  
 AUTHOR(S): Katsura, Yousuke; Nishino, Shigetaka; Inoue,  
 Yoshikazu; Sakane, Kazuo; Matsumoto, Yoshimi;  
 Morinaga, Chizu; Ishikawa, Hirohumi; Takasugi, Hisashi  
 CORPORATE SOURCE: Medicinal Chemistry Research Laboratories and  
 Medicinal Biology Research Laboratories, Fujisawa  
 Pharmaceutical Company Ltd., Yodogawa-ku, Osaka,  
 532-8514, Japan  
 SOURCE: Journal of Medicinal Chemistry (2002), 45(1), 143-150  
 CODEN: JMCMAR; ISSN: 0022-2623  
 PUBLISHER: American Chemical Society  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 136:118413  
 ABSTRACT:

To extend the SAR study of guanidinothiazoles as a structurally novel class of anti-H. pylori agents, a series of 2-(substituted guanidino)-4-arylthiazoles and some 4-aryloxazole analogs were synthesized and evaluated for antimicrobial activity against H. pylori. Some of them were also subjected to H2 antagonist and gastric antisecretory assays. Several arylthiazoles were identified as potent anti-H. pylori agents, and of these, a thienylthiazole derivative exhibited the strongest activity (MIC = 0.0065 µg/mL) among the compds. obtained in our guanidinothiazole studies. Although the thienylthiazole derivative was void of H2 antagonist activity, a pyridylthiazole derivative had both potent anti-H. pylori and H2 antagonist activities. On the other hand, no attractive activities were found in pyrimidyl, oxazolyl, isoxazolyl, imidazolyl, and oxadiazolylthiazole derivs. The anti-H. pylori activity of the aryloxazole analogs was weaker than those of the corresponding arylthiazole derivs., though they had potent H2 antagonist activity.

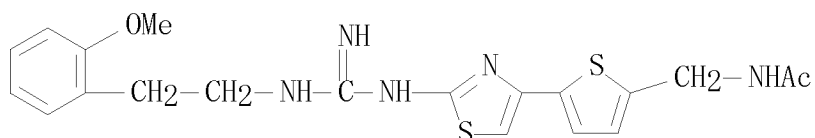
IT 184581-85-9P 390817-74-0P 390817-75-1P  
390817-76-2P 390817-78-4P 390817-79-5P  
390817-80-8P 390817-81-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation of guanidinoarylthiazoles and aryloxazoles and their antimicrobial activity against H. pylori., H2 antagonist activity, and gastric antisecretory assays)

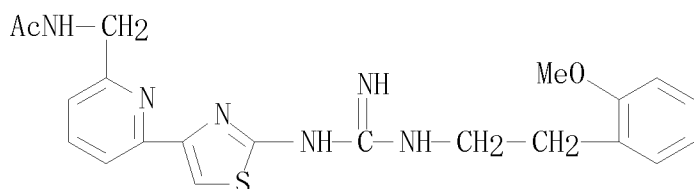
RN 184581-85-9 CAPLUS

CN Acetamide, N-[[5-[2-[[imino[[2-(2-methoxyphenyl)ethyl]amino]methyl]amino]-4-thiazolyl]-2-thienyl]methyl]- (CA INDEX NAME)



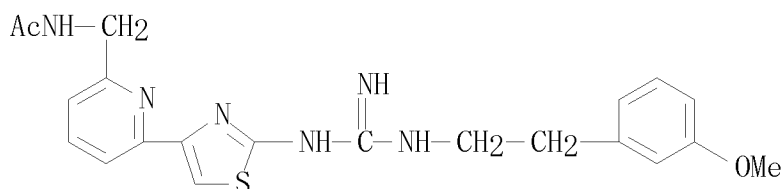
RN 390817-74-0 CAPLUS

CN Acetamide, N-[[6-[2-[[imino[[2-(2-methoxyphenyl)ethyl]amino]methyl]amino]-4-thiazolyl]-2-pyridinyl]methyl]- (CA INDEX NAME)



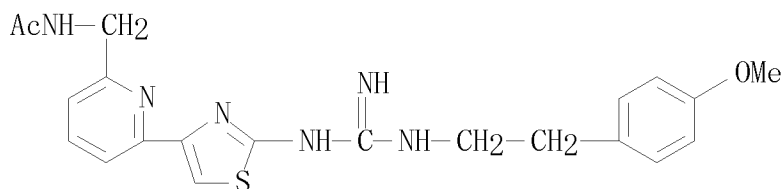
RN 390817-75-1 CAPLUS

CN Acetamide, N-[[6-[2-[[imino[[2-(3-methoxyphenyl)ethyl]amino]methyl]amino]-4-thiazolyl]-2-pyridinyl]methyl]- (CA INDEX NAME)



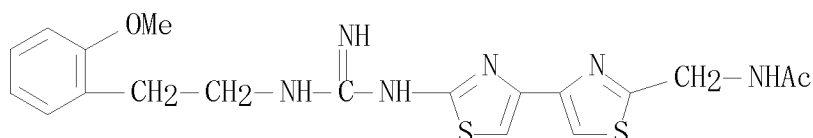
RN 390817-76-2 CAPLUS

CN Acetamide, N-[[6-[2-[[imino[[2-(4-methoxyphenyl)ethyl]amino]methyl]amino]-4-thiazolyl]-2-pyridinyl]methyl]- (CA INDEX NAME)



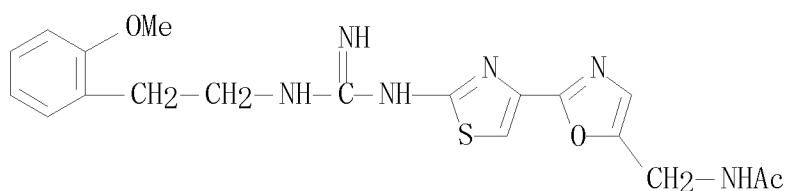
RN 390817-78-4 CAPLUS

CN Acetamide, N-[[2'-[[imino[[2-(2-methoxyphenyl)ethyl]amino]methyl]amino][4,4'-bithiazol]-2-yl]methyl]- (CA INDEX NAME)



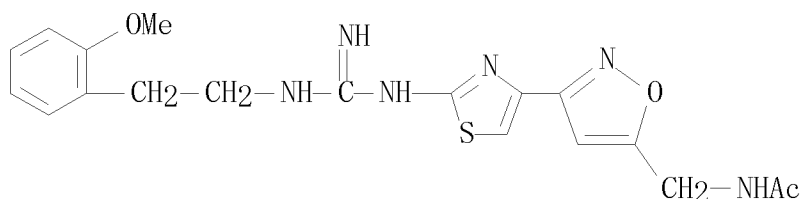
RN 390817-79-5 CAPLUS

CN Acetamide, N-[[2-[2-[[imino[[2-(2-methoxyphenyl)ethyl]amino]methyl]amino]-4-thiazolyl]-5-oxazolyl]methyl]- (CA INDEX NAME)



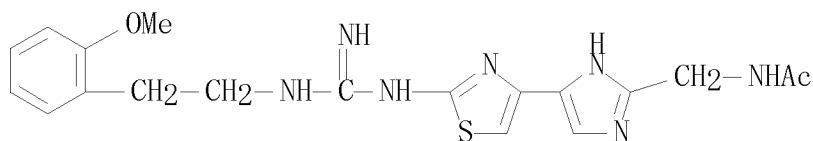
RN 390817-80-8 CAPLUS

CN Acetamide, N-[[3-[2-[[imino[[2-(2-methoxyphenyl)ethyl]amino]methyl]amino]-4-thiazolyl]-5-isoxazolyl]methyl]- (CA INDEX NAME)



RN 390817-81-9 CAPLUS

CN Acetamide, N-[[5-[2-[[imino[[2-(2-methoxyphenyl)ethyl]amino]methyl]amino]-4-thiazolyl]-1H-imidazol-2-yl]methyl]-, hydrochloride (1:?) (CA INDEX NAME)



●x HCl

OS. CITING REF COUNT: 9 THERE ARE 9 CAPLUS RECORDS THAT CITE THIS RECORD (9 CITINGS)

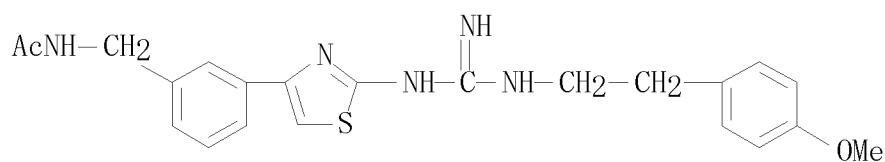
REFERENCE COUNT: 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT



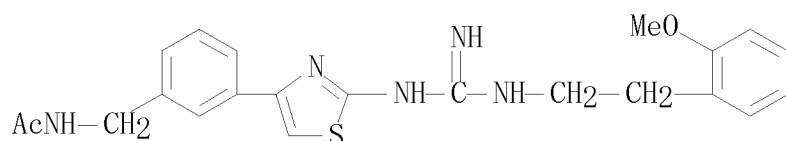
L7 ANSWER 11 OF 41 CAPLUS COPYRIGHT 2010 ACS on STN  
ACCESSION NUMBER: 2000:523449 CAPLUS  
DOCUMENT NUMBER: 133:281719  
TITLE: Anti-Helicobacter pylori Agents. 4. 2-(Substituted  
guanidino)-4-phenylthiazoles and Some Structurally  
Rigid Derivatives  
AUTHOR(S): Katsura, Yousuke; Tomishi, Tetsuo; Inoue, Yoshikazu;  
Sakane, Kazuo; Matsumoto, Yoshimi; Morinaga, Chizu;  
Ishikawa, Hirohumi; Takasugi, Hisashi  
CORPORATE SOURCE: Medicinal Chemistry Research Laboratories and  
Medicinal Biology Research Laboratories, Fujisawa  
Pharmaceutical Company Ltd., Osaka, 532-8514, Japan  
SOURCE: Journal of Medicinal Chemistry (2000), 43(17),  
3315-3321  
CODEN: JMCMAR; ISSN: 0022-2623  
PUBLISHER: American Chemical Society  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
OTHER SOURCE(S): CASREACT 133:281719  
ABSTRACT:

In order to find a new class of anti-Helicobacter pylori (H. pylori) agents, a series of 4-[(3-acetamido)phenyl]-2-(substituted guanidino)thiazoles and some structurally rigid analogs were synthesized and evaluated for antimicrobial activity against H. pylori. Among the compds. obtained, high anti-H. pylori activities were observed in N-[[3-[2-[[imino[(phenylmethyl)amino]methyl]amino]-4-thiazolyl]phenyl]methyl]acetamide (MIC = 0.025 µg/mL) and N-[[3-[2-[[imino[(2-phenylethyl)amino]methyl]amino]-4-thiazolyl]phenyl]methyl]acetamide (MIC = 0.037 µg/mL) and N-[[3-[2-[[imino[[2-(2-methoxyphenyl)ethyl]amino]methyl]amino]-4-thiazolyl]phenyl]methyl]acetamide (MIC = 0.017 µg/mL). Though alkyl derivs. generally showed lower activity, N-[[3-[2-[[imino[(2-methoxyethyl)amino]methyl]amino]-4-thiazolyl]phenyl]methyl]acetamide preserved significant activity (MIC = 0.32 µg/mL) and also exhibited more potent gastric antisecretory activity than ranitidine. Structural restriction by bridging between the thiazole and the Ph rings with an alkyl chain did not improve the activity in this series.

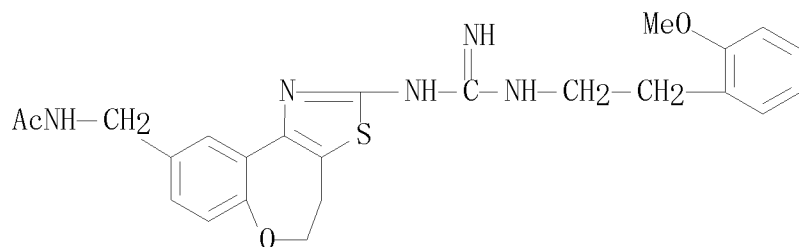
IT 149917-20-4P, N-[[3-[2-[[Imino[[2-(4-methoxyphenyl)ethyl]amino]methyl]amino]-4-thiazolyl]phenyl]methyl]acetamide 178105-05-0P,  
N-[[3-[2-[[Imino[[2-(2-methoxyphenyl)ethyl]amino]methyl]amino]-4-thiazolyl]phenyl]methyl]acetamide 299402-94-1P  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
(preparation of (guanidino)phenylthiazoles and structurally rigid derivs. for inhibition of Helicobacter pylori)  
RN 149917-20-4 CAPLUS  
CN Acetamide, N-[[3-[2-[[imino[[2-(4-methoxyphenyl)ethyl]amino]methyl]amino]-4-thiazolyl]phenyl]methyl]- (CA INDEX NAME)



RN 178105-05-0 CAPLUS  
 CN Acetamide, N-[[3-[2-[[imino[2-(2-methoxyphenyl)ethyl]amino]methyl]amino]-4-thiazolyl]phenyl]methyl]- (CA INDEX NAME)



RN 299402-94-1 CAPLUS  
 CN Acetamide, N-[[4,5-dihydro-2-[[imino[2-(2-methoxyphenyl)ethyl]amino]methyl]amino][1]benzoxepino[5,4-d]thiazol-9-yl]methyl]- (CA INDEX NAME)

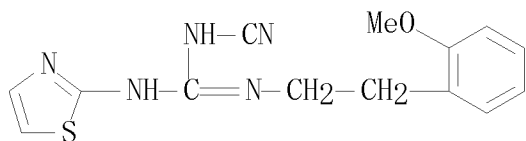


OS. CITING REF COUNT: 17 THERE ARE 17 CAPLUS RECORDS THAT CITE THIS RECORD (17 CITINGS)  
 REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 12 OF 41 CAPLUS COPYRIGHT 2010 ACS on STN  
ACCESSION NUMBER: 2000:146887 CAPLUS  
DOCUMENT NUMBER: 132:293646  
TITLE: Bioisosteric modification of PETT-HIV-1 RT-inhibitors:  
synthesis and biological evaluation  
AUTHOR(S): Hogberg, Marita; Engelhardt, Per; Vrang, Lotta; Zhang,  
Hong  
CORPORATE SOURCE: Medivir AB, Huddinge, S-141 44, Swed.  
SOURCE: Bioorganic & Medicinal Chemistry Letters (2000),  
10(3), 265-268  
CODEN: BMCLE8; ISSN: 0960-894X  
PUBLISHER: Elsevier Science Ltd.  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
ABSTRACT:

Bioisosteric substitution of the thiourea and urea moiety of PETT [i.e., phenylethyl thiazolyl thiourea] compds. with a sulfamide, cyanoguanidine and guanidine functionalities, and replacement of the phenethyl group with benzoylethyl group were studied. Synthesis and antiviral activities are described. Example compds. are N-(5-chloro-2-pyridinyl)-N'-(2-phenylethyl)sulfamide, N-(5-chloro-2-pyridinyl)-N'-(2-phenylethyl)thiourea, N-[2-(2-methoxyphenyl)ethyl]-N'-(2-thiazolyl)thiourea, or N-cyano-N'-[2-(2-methoxyphenyl)ethyl]-N''-(2-thiazolyl)guanidine.

IT 264601-96-9P, N-Cyano-N'-[2-(2-methoxyphenyl)ethyl]-N''-(2-thiazolyl)guanidine  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
(preparation, and bioisosteric modification of phenylethyl thiazolyl thiourea-type HIV-1 reverse transcriptase inhibitors)  
RN 264601-96-9 CAPLUS  
CN Guanidine, N-cyano-N'-[2-(2-methoxyphenyl)ethyl]-N''-2-thiazolyl- (CA INDEX NAME)

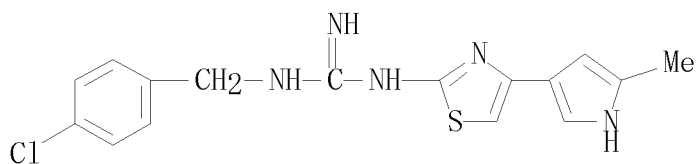


OS. CITING REF COUNT: 23 THERE ARE 23 CAPLUS RECORDS THAT CITE THIS RECORD (23 CITINGS)  
REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

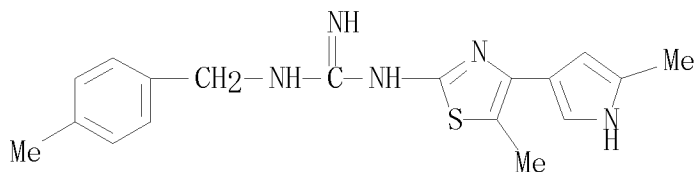
L7 ANSWER 13 OF 41 CAPLUS COPYRIGHT 2010 ACS on STN  
ACCESSION NUMBER: 1999:683956 CAPLUS  
DOCUMENT NUMBER: 132:117084  
TITLE: Superpendentic Index: a novel topological descriptor  
for predicting biological activity. [Erratum to  
document cited in CA131:179]  
AUTHOR(S): Gupta, S.; Singh, M.; Madan, A. K.  
CORPORATE SOURCE: Dep. Pharmaceutical Sciences and Drug Research,  
Punjabi Univ., Patiala, 147 002, India  
SOURCE: Journal of Chemical Information and Computer Sciences  
(1999), 39(6), 1230  
CODEN: JCISD8; ISSN: 0095-2338  
PUBLISHER: American Chemical Society  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
ABSTRACT:  
The corrected equation for page 272 is given.

IT 123309-54-6 123309-67-1  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological  
study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL  
(Biological study); USES (Uses)  
(superpendentic index as a novel topol. descriptor for predicting biol.  
activity (Erratum))

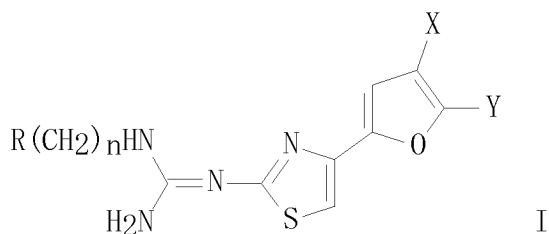
RN 123309-54-6 CAPLUS  
CN Guanidine, N-[ (4-chlorophenyl)methyl]-N'-[4-(5-methyl-1H-pyrrol-3-yl)-2-  
thiazolyl]- (CA INDEX NAME)



RN 123309-67-1 CAPLUS  
CN Guanidine, N-[5-methyl-4-(5-methyl-1H-pyrrol-3-yl)-2-thiazolyl]-N'-[ (4-  
methylphenyl)methyl]- (CA INDEX NAME)



L7 ANSWER 14 OF 41 CAPLUS COPYRIGHT 2010 ACS on STN  
 ACCESSION NUMBER: 1999:431080 CAPLUS  
 DOCUMENT NUMBER: 131:170292  
 TITLE: Anti-Helicobacter pylori agents. 3.  
 2-[(Arylalkyl)guanidino]-4-furylthiazoles  
 AUTHOR(S): Katsura, Yousuke; Nishino, Shigetaka; Ohno, Mitsuko;  
 Sakane, Kazuo; Matsumoto, Yoshimi; Morinaga, Chizu;  
 Ishikawa, Hirohumi; Takasugi, Hisashi  
 CORPORATE SOURCE: Medicinal Chemistry Research Laboratories and  
 Medicinal Biology Research Laboratories, Fujisawa  
 Pharmaceutical Company Ltd., Yodogawa-ku Osaka,  
 532-8514, Japan  
 SOURCE: Journal of Medicinal Chemistry (1999), 42(15),  
 2920-2926  
 CODEN: JMCMAR; ISSN: 0022-2623  
 PUBLISHER: American Chemical Society  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 GRAPHIC IMAGE:



## ABSTRACT:

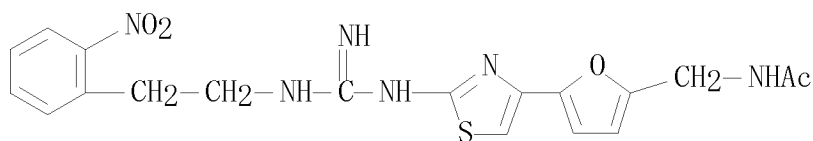
A series of 2-[(aryalkyl)guanidino]-4-[(5-acetamidomethyl)furan-2-yl]thiazoles and some 4-acetamidomethyl positional isomers, I (R = 2-MeOC6H4, 2-furyl, 4-pyridinyl, etc., X = H, Me, CH2NHAc, Y = CH2NHAc, H, Me, n = 0-3), were synthesized and evaluated for antimicrobial activity against Helicobacter pylori. Though I (R = 2-MeOC6H4, X = Me, Y = CH2NHAc, n = 2) (II), an analog incorporating a Me group onto the furan nucleus of I (R = 2-MeOC6H4, X = H, Y = CH2NHAc, n = 2), and I (R = 2-MeOC6H4, X = CH2NHAc, Y = Me, n = 2), a positional isomer of II, also showed potent anti-H. pylori activity, the H2 antagonism profile was eliminated from these compds. Thus, two types of potent anti-H. pylori agents could be derived from the same scaffold.

IT 168970-67-0P 168971-00-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation and bactericidal activity of  
 [(aryalkyl)guanidino]furylthiazoles)

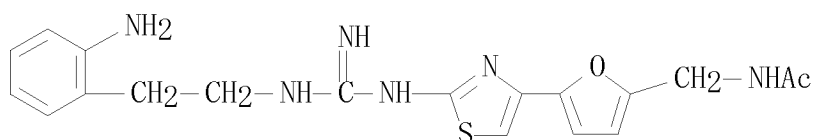
RN 168970-67-0 CAPLUS

CN Acetamide, N-[[5-[2-[[imino[[2-(2-nitrophenyl)ethyl]amino]methyl]amino]-4-thiazolyl]-2-furanyl]methyl]- (CA INDEX NAME)



RN 168971-00-4 CAPLUS

CN Acetamide, N-[[5-[2-[[[2-(2-aminophenyl)ethyl]amino]iminomethyl]amino]-4-thiazolyl]-2-furanyl]methyl]- (CA INDEX NAME)



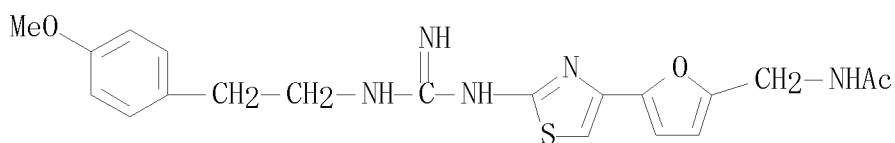
IT	<u>168969-99-1P</u>	<u>168970-27-2P</u>	<u>168970-32-9P</u>
	<u>168970-40-9P</u>	<u>168970-43-2P</u>	<u>168970-48-7P</u>
	<u>168970-77-2P</u>	<u>168970-80-7P</u>	<u>168970-81-8P</u>
	<u>168971-01-5P</u>	<u>168971-45-7P</u>	<u>168971-46-8P</u>
	<u>239123-72-9P</u>	<u>239123-73-0P</u>	<u>239123-74-1P</u>
	<u>239123-75-2P</u>		

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation and bactericidal activity of  
[(arylalkyl)guanidino]furylthiazoles)

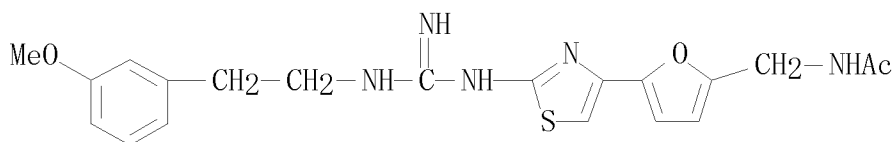
RN 168969-99-1 CAPLUS

CN Acetamide, N-[[5-[2-[[[imino[[2-(4-methoxyphenyl)ethyl]amino]methyl]amino]-4-thiazolyl]-2-furanyl]methyl]- (CA INDEX NAME)



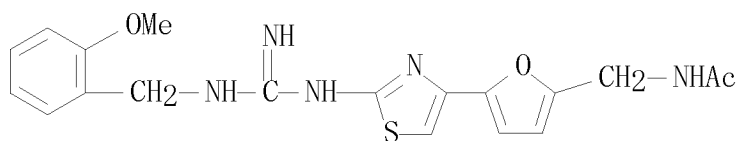
RN 168970-27-2 CAPLUS

CN Acetamide, N-[[5-[2-[[[imino[[2-(3-methoxyphenyl)ethyl]amino]methyl]amino]-4-thiazolyl]-2-furanyl]methyl]- (CA INDEX NAME)



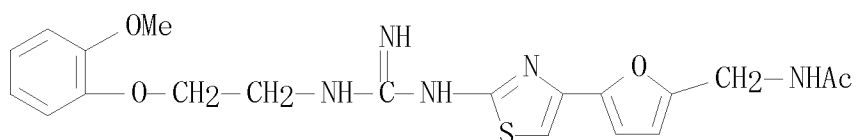
RN 168970-32-9 CAPLUS

CN Acetamide, N-[[5-[2-[[[imino[[2-(2-methoxyphenyl)methyl]amino]methyl]amino]-4-thiazolyl]-2-furanyl]methyl]- (CA INDEX NAME)



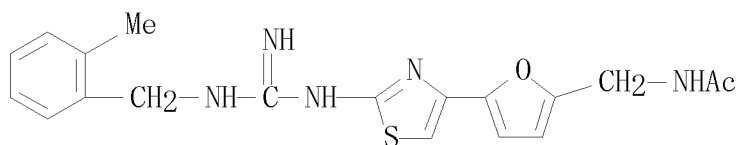
RN 168970-40-9 CAPLUS

CN Acetamide, N-[[5-[2-[[imino[[2-(2-methoxyphenoxy)ethyl]amino]methyl]amino]-4-thiazolyl]-2-furanyl]methyl]- (CA INDEX NAME)



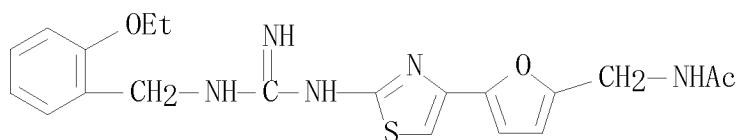
RN 168970-43-2 CAPLUS

CN Acetamide, N-[[5-[2-[[imino[[2-(2-methylphenyl)methyl]amino]methyl]amino]-4-thiazolyl]-2-furanyl]methyl]- (CA INDEX NAME)



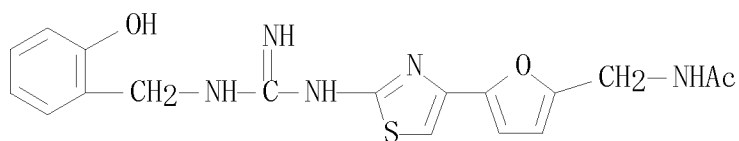
RN 168970-48-7 CAPLUS

CN Acetamide, N-[[5-[2-[[imino[[2-(2-ethoxyphenyl)methyl]amino]iminomethyl]amino]-4-thiazolyl]-2-furanyl]methyl]- (CA INDEX NAME)



RN 168970-77-2 CAPLUS

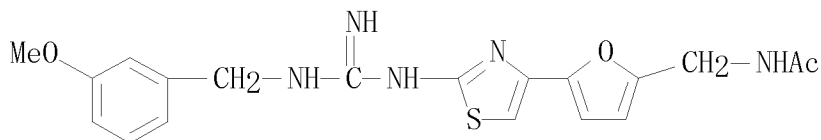
CN Acetamide, N-[[5-[2-[[imino[[2-(2-hydroxyphenyl)methyl]amino]iminomethyl]amino]-4-thiazolyl]-2-furanyl]methyl]- (CA INDEX NAME)



RN 168970-80-7 CAPLUS

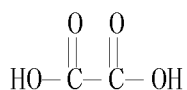
CN Acetamide, N-[[5-[2-[[imino[[2-(3-methoxyphenyl)methyl]amino]methyl]amino]-4-thiazolyl]-2-furanyl]methyl]-, ethanedioate (1:1) (CA INDEX NAME)

CRN 168970-79-4  
CMF C19 H21 N5 O3 S

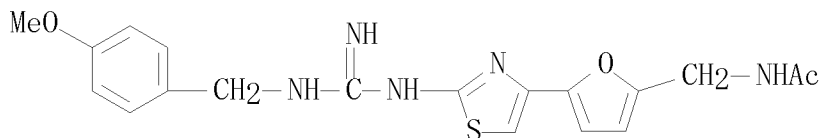


CM 2

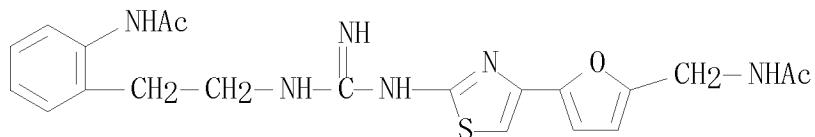
CRN 144-62-7  
CMF C2 H2 O4



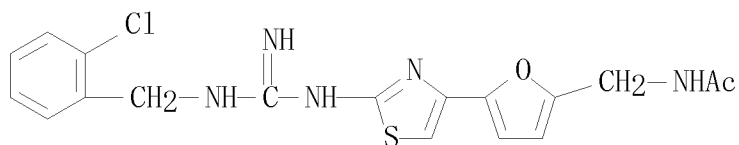
RN 168970-81-8 CAPLUS  
CN Acetamide, N-[[5-[2-[[imino[(4-methoxyphenyl)methyl]amino]methyl]amino]-4-thiazolyl]-2-furanyl]methyl]- (CA INDEX NAME)



RN 168971-01-5 CAPLUS  
CN Acetamide, N-[2-[2-[[[4-[5-[(acetylamino)methyl]-2-furanyl]-2-thiazolyl]amino]iminomethyl]amino]ethyl]phenyl]- (CA INDEX NAME)



RN 168971-45-7 CAPLUS  
CN Acetamide, N-[[5-[2-[[[[(2-chlorophenyl)methyl]amino]iminomethyl]amino]-4-thiazolyl]-2-furanyl]methyl]- (CA INDEX NAME)

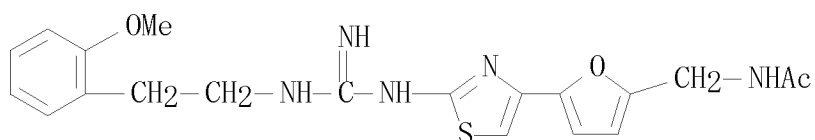




RN 168971-46-8 CAPLUS  
 CN Acetamide, N-[[5-[2-[[imino[[2-(2-methoxyphenyl)ethyl]amino]methyl]amino]-4-thiazolyl]-2-furanyl]methyl]-, ethanedioate (1:1) (CA INDEX NAME)

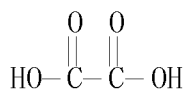
CM 1

CRN 168970-03-4  
 CMF C20 H23 N5 O3 S

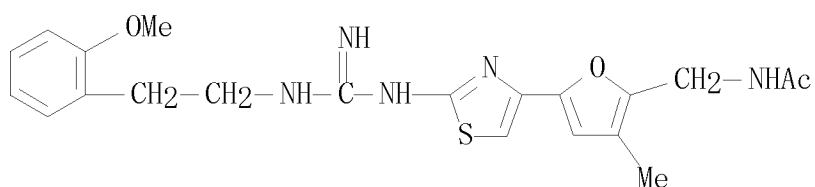


CM 2

CRN 144-62-7  
 CMF C2 H2 O4

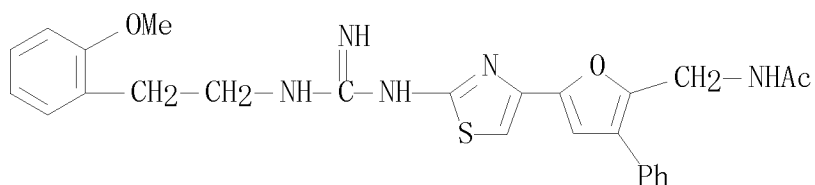


RN 239123-72-9 CAPLUS  
 CN Acetamide, N-[[5-[2-[[imino[[2-(2-methoxyphenyl)ethyl]amino]methyl]amino]-4-thiazolyl]-3-methyl-2-furanyl]methyl]-, hydrochloride (1:1) (CA INDEX NAME)



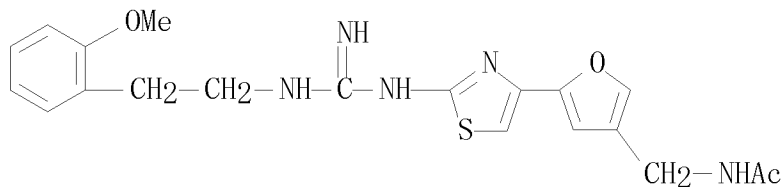
● HCl

RN 239123-73-0 CAPLUS  
 CN Acetamide, N-[[5-[2-[[imino[[2-(2-methoxyphenyl)ethyl]amino]methyl]amino]-4-thiazolyl]-3-phenyl-2-furanyl]methyl]- (CA INDEX NAME)



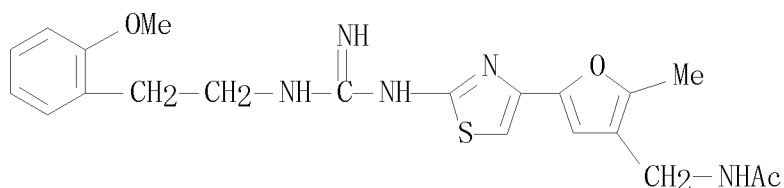
RN 239123-74-1 CAPLUS

CN Acetamide, N-[[5-[2-[[imino[[2-(2-methoxyphenyl)ethyl]amino]methyl]amino]-4-thiazolyl]-3-furanyl]methyl]- (CA INDEX NAME)



RN 239123-75-2 CAPLUS

CN Acetamide, N-[[5-[2-[[imino[[2-(2-methoxyphenyl)ethyl]amino]methyl]amino]-4-thiazolyl]-2-methyl-3-furanyl]methyl]-, hydrochloride (1:1) (CA INDEX NAME)



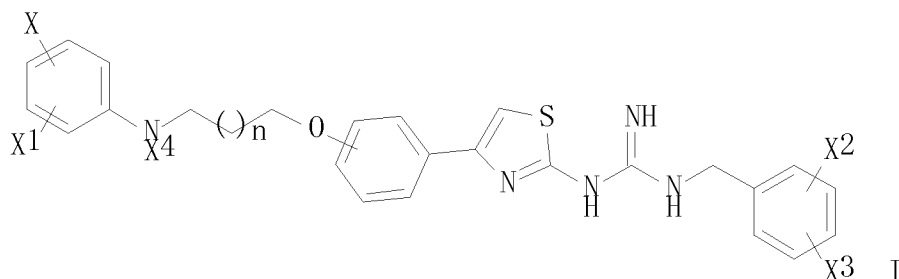
● HCl

OS. CITING REF COUNT: 20 THERE ARE 20 CAPLUS RECORDS THAT CITE THIS RECORD (20 CITINGS)

REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 15 OF 41 CAPLUS COPYRIGHT 2010 ACS on STN  
 ACCESSION NUMBER: 1999:184249 CAPLUS  
 DOCUMENT NUMBER: 130:237560  
 TITLE: Preparation of thiazolylguanidines as protease inhibitors.  
 INVENTOR(S): Christensen, Siegfried Benjamin, IV; Desjarlais, Renee Louise; Forster, Cornelia Jutta  
 PATENT ASSIGNEE(S): SmithKline Beecham Corporation, USA  
 SOURCE: PCT Int. Appl., 44 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9911637	A1	19990311	WO 1998-US18289	19980903
W: AL, AU, BA, BB, BG, BR, CA, CN, CZ, EE, GE, HU, ID, IL, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2302361	A1	19990311	CA 1998-2302361	19980903
AU 9893002	A	19990322	AU 1998-93002	19980903
ZA 9808064	A	19990528	ZA 1998-8064	19980903
EP 1015438	A1	20000705	EP 1998-945850	19980903
R: BE, CH, DE, ES, FR, GB, IT, LI, NL				
JP 2001514257	T	20010911	JP 2000-508676	19980903
PRIORITY APPLN. INFO.:			US 1997-57527P	P 19970904
			WO 1998-US18289	W 19980903
OTHER SOURCE(S):	MARPAT	130:237560		
GRAPHIC IMAGE:				



# ABSTRACT:

Title compds. [I; X, X1, X2, X3 = H, alkyl, fluoroalkyl, C3-7 cycloalkyl, cyano, COR1, CO2R1, CONR1R2, C(NR1)NR1R2, C(NCN)NR1R2, C(NCN)SR3, NO2, NR1SO2R3, NR1COR1, NR1R2, NR1(C:NR1)NR1R2, NR1C(O)NR1R2, NR1COR1, NR1COOR3, NR1C(NCN)SR3, NR1C(NCN)NR1R2, NR1COCONR1R2, NR1COCOR2, Cl, Br, iodo, F, OR1, O(CH2)qOR3, OCH2CH2OH, OC(O)R1, O(CH2)qCONR1R2, O(CH2)qCOR1, SR1, SO2NR1R2,

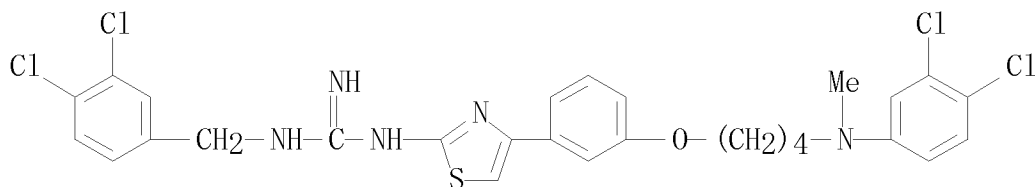
S(0)mR3; m, n = 0-2; q = 1, 2; R1 = H, alkyl, CF3, CH2CF3; NR1R2 = 5-7 membered (heterocyclic) ring; R2 = H, alkyl, CF3, CH2CF3; R3 = alkyl, CF3, CH2CF3; X4 = H, alkyl, C3-7 cycloalkyl, COAr, alkoxy carbonyl, CO2Ar; Ar undefined], were prepared as inhibitors of proteases including cathepsin K for treatment of excessive bone loss, cartilage or matrix degradation including osteoporosis, gingivitis, periodontitis, arthritis, Paget's disease, hypercalcemia of malignancy, and metabolic bone disease (no data). Thus, 3-(4-chlorobutoxy)acetophenone (preparation given) in CH2Cl2 was treated with Br2 in CH2Cl2 over 5 min. followed by 15 min. stirring to give a residue which in EtOH was treated with iminothiobiuret followed by 24 h reflux to give 80% N-[4-[3-(4-chlorobutoxy)phenyl]thiazol-2-yl]guanidine. This was N-BOC protected and N-benzylated to give N-benzyl-N-tert-butoxycarbonyl-N'-[4-[3-(4-chlorobutoxy)phenyl]thiazol-2-yl]guanidine, which was heated 4 days with N-methylaniline and NaI in DMF at 135° to give 36% N-benzyl-N'-[4-[3-[4-(N-methyl-N-phenyl)aminobutoxy]phenyl]thiazol-2-yl]guanidine.

IT 221242-11-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of thiazolylguanidines as protease inhibitors)

RN 221242-11-1 CAPLUS

CN Guanidine, N-[(3,4-dichlorophenyl)methyl]-N'-[4-[3-[4-[(3,4-dichlorophenyl)methylamino]butoxy]phenyl]-2-thiazolyl]- (CA INDEX NAME)



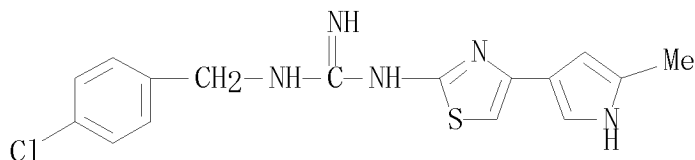
OS. CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)  
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 16 OF 41 CAPLUS COPYRIGHT 2010 ACS on STN  
 ACCESSION NUMBER: 1999:142811 CAPLUS  
 DOCUMENT NUMBER: 131:179  
 TITLE: Superpendentic Index: A novel topological descriptor  
 for predicting biological activity  
 AUTHOR(S): Gupta, S.; Singh, M.; Madan, A. K.  
 CORPORATE SOURCE: Department of Pharmaceutical Sciences and Drug  
 Research, Punjabi University, Patiala, 147 002, India  
 SOURCE: Journal of Chemical Information and Computer Sciences  
 (1999), 39(2), 272-277  
 CODEN: JCISD8; ISSN: 0095-2338  
 PUBLISHER: American Chemical Society  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 ABSTRACT:

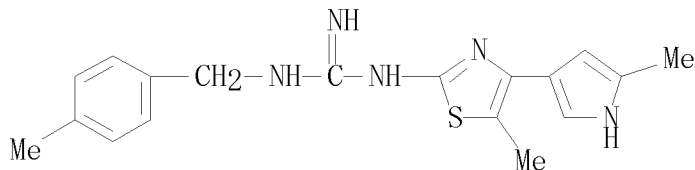
A simple highly degenerating, pendenticity based, topol. descriptor termed as superpendentic index has been conceptualized and its discriminating power investigated with regard to antiulcer activity. A data set consisting of 128 analogs of 4-substituted-2-guanidino thiazoles was selected for the present study. These analogs are reversible, competitive, and selective inhibitors of gastric H<sup>+</sup>, K<sup>+</sup>-ATPase enzyme. The value of superpendentic index of each analog in the data set was computed and active range was identified. The biol. activity assigned to each analog using superpendentic index was subsequently compared with the reported in vitro and in vivo inhibitory activities. The accuracy of classification of analogs based on in vivo activity was found to be 82% in the active range using superpendentic index.

IT 123309-54-6 123309-67-1  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (superpendentic index as novel topol. descriptor for predicting biol. activity)

RN 123309-54-6 CAPLUS  
 CN Guanidine, N-[4-chlorophenyl)methyl]-N'-[4-(5-methyl-1H-pyrrol-3-yl)-2-thiazolyl]- (CA INDEX NAME)



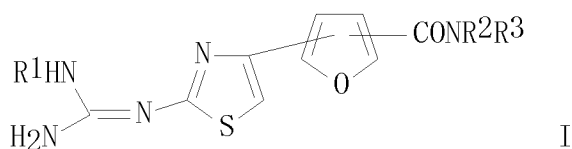
RN 123309-67-1 CAPLUS  
 CN Guanidine, N-[5-methyl-4-(5-methyl-1H-pyrrol-3-yl)-2-thiazolyl]-N'-[(4-methylphenyl)methyl]- (CA INDEX NAME)



OS. CITING REF COUNT: 46 THERE ARE 46 CAPLUS RECORDS THAT CITE THIS  
RECORD (46 CITINGS)  
REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 17 OF 41 CAPLUS COPYRIGHT 2010 ACS on STN  
 ACCESSION NUMBER: 1997:195723 CAPLUS  
 DOCUMENT NUMBER: 126:212142  
 ORIGINAL REFERENCE NO.: 126:41027a, 41030a  
 TITLE: Preparation of furylthiazoles as ulcer inhibitors  
 INVENTOR(S): Katsura, Yosuke; Oono, Mitsuko; Nishino, Shigetaka;  
 Fuji, Tetsuo  
 PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co, Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 9 pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

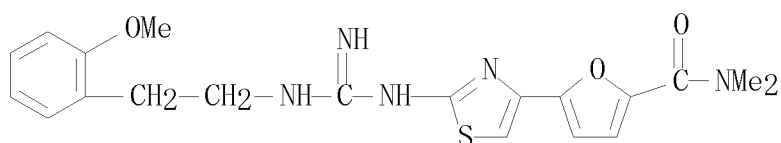
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 09040671	A	19970210	JP 1995-193751	19950728
PRIORITY APPLN. INFO.:			JP 1995-193751	19950728
OTHER SOURCE(S):	MARPAT	126:212142		
GRAPHIC IMAGE:				



## ABSTRACT:

The title compds. I [R1 = aryl, etc.; R2, R3 = alkyl] are prepared I are antibacteria agents and also are H2 antagonists.  
 4-[5-(N-Ethylcarbamoyl)furan-2-yl]-2-[(amino)[2-(2-methoxyphenyl)amino]methyleneamino]thiazole in vitro showed MIC of 0.1 µg/mL against Helicobacter pylori.

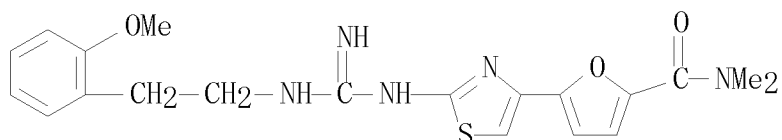
IT 187592-36-5P 187592-37-6P 187592-38-7P  
187592-39-8P 187592-40-1P 187592-41-2P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of furylthiazoles as ulcer inhibitors)  
 RN 187592-36-5 CAPLUS  
 CN 2-Furancarboxamide, 5-[2-[[imino[[2-(2-methoxyphenyl)ethyl]amino]methyl]amino]-4-thiazolyl]-N,N-dimethyl- (CA INDEX NAME)



RN 187592-37-6 CAPLUS  
 CN 2-Furancarboxamide, 5-[2-[[imino[[2-(2-methoxyphenyl)ethyl]amino]methyl]amino]-4-thiazolyl]-N,N-dimethyl-, ethanedioate (1:1) (CA INDEX NAME)

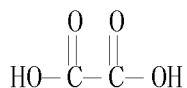
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CRN 187592-36-5  
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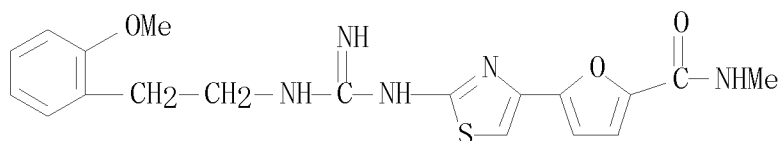


CM 2

CRN 144-62-7  
 CMF C2 H2 O4



RN 187592-38-7 CAPLUS  
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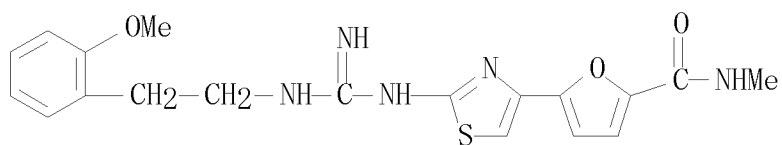


RN 187592-39-8 CAPLUS  
 CN 2-Furancarboxamide, 5-[2-[[imino[[2-(2-methoxyphenyl)ethyl]amino]methyl]amino]-4-thiazolyl]-N-methyl-, ethanedioate (1:1) (CA INDEX NAME)

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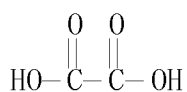




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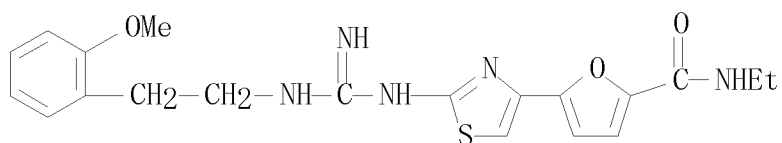
CRN 144-62-7

CMF C2 H2 O4



RN 187592-40-1 CAPLUS

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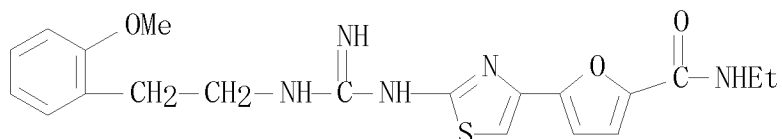
RN 187592-41-2 CAPLUS

CN 2-Furancarboxamide, N-ethyl-5-[2-[[imino[[2-(2-methoxyphenyl)ethyl]amino]methyl]amino]-4-thiazolyl]-, ethanedioate (1:1)  
(CA INDEX NAME)

CM 1

CRN 187592-40-1

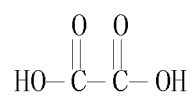
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CM 2

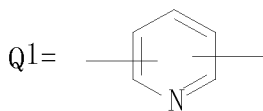
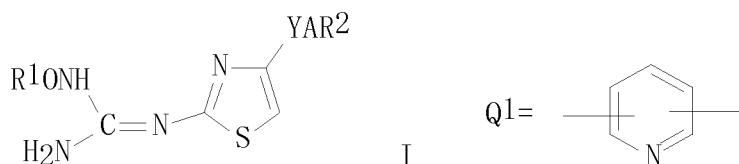
CRN 144-62-7

CMF C2 H2 O4



L7 ANSWER 18 OF 41 CAPLUS COPYRIGHT 2010 ACS on STN  
 ACCESSION NUMBER: 1997:195722 CAPLUS  
 DOCUMENT NUMBER: 126:212141  
 ORIGINAL REFERENCE NO.: 126:41027a, 41030a  
 TITLE: Preparation of thiazole derivatives as ulcer inhibitors  
 INVENTOR(S): Katsura, Yosuke; Fuji, Tetsuo; Nishino, Shigetaka; Oono, Mitsuko  
 PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co, Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 7 pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 09040670	A	19970210	JP 1995-190694	19950726
PRIORITY APPLN. INFO.:			JP 1995-190694	19950726
OTHER SOURCE(S):	MARPAT	126:212141		
GRAPHIC IMAGE:				



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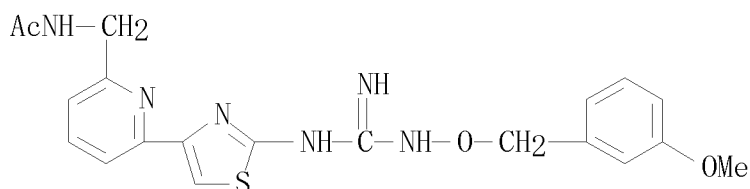
The title compds. I [R1 = alkyl, etc.; R2 = amino, etc.; A = alkylene; Y = Q1, etc.] are prepared 4-(6-Acetylaminomethyl-2-pyridyl)-2-[(amino)(isopropoxyamino)methyleneamino]thiazole at 1 mg/kg i. v. gave 93% inhibition of histamine-induced gastric secretion in rats.

IT 187590-18-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of thiazole derivs. as ulcer inhibitors)

RN 187590-18-7 CAPLUS

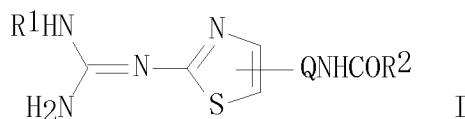
CN Acetamide, N-[[6-[2-[[imino[[ (3-methoxyphenyl)methoxy]amino]methyl]amino]-4-thiazolyl]-2-pyridinyl]methyl]- (CA INDEX NAME)





L7 ANSWER 19 OF 41 CAPLUS COPYRIGHT 2010 ACS on STN  
 ACCESSION NUMBER: 1997:140933 CAPLUS  
 DOCUMENT NUMBER: 126:157500  
 ORIGINAL REFERENCE NO.: 126:30459a, 30462a  
 TITLE: Preparation of guanidinothiazole derivatives as histamine H2 antagonists  
 INVENTOR(S): Katsura, Yosuke; Oono, Mitsuko; Nishino, Shigetaka; Fuji, Tetsuo  
 PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co, Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 18 pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 08337579	A	19961224	JP 1995-147529	19950614
PRIORITY APPLN. INFO.:			JP 1995-147529	19950614
OTHER SOURCE(S):	MARPAT	126:157500		
GRAPHIC IMAGE:				



## ABSTRACT:

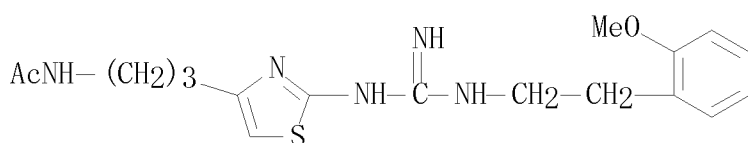
The title compds. I [R1 = alkyl, etc.; R2 = alkyl, amino; Q = alkylene, etc.] are prepared 2-[(Amino) (butylamino) methyleneamino]-4-(3-acetylaminopropyl)thiazole oxalic acid salt at 1 mg/kg i. v. gave 100% inhibition of histamine-induced gastric acid secretion in rats.

IT 186686-50-0P 186686-62-4P 186686-70-4P  
186686-76-0P 186686-87-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of guanidinothiazole derivs. as histamine H2 antagonists)

RN 186686-50-0 CAPLUS

CN Acetamide, N-[3-[2-[[imino[[2-(2-methoxyphenyl)ethyl]amino]methyl]amino]-4-thiazolyl]propyl]- (CA INDEX NAME)



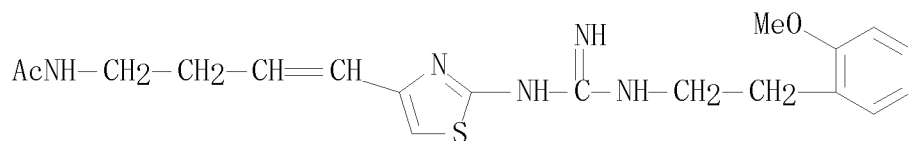
RN 186686-62-4 CAPLUS

CN Acetamide, N-[4-[2-[[imino[[2-(2-methoxyphenyl)ethyl]amino]methyl]amino]-4-thiazolyl]-3-buten-1-yl]-, ethanedioate (1:1) (CA INDEX NAME)

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CRN 186686-61-3

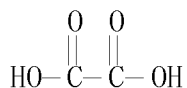
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CM 2

CRN 144-62-7

CMF C2 H2 O4



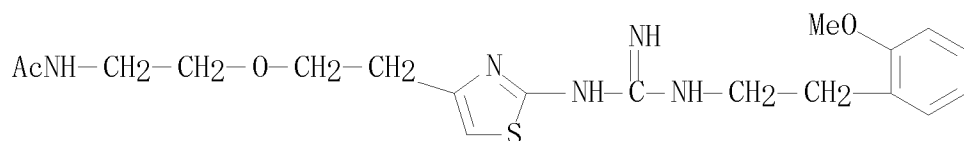
RN 186686-70-4 CAPLUS

CN Acetamide, N-[2-[2-[2-[[imino[[2-(2-methoxyphenyl)ethyl]amino]methyl]amino]-4-thiazolyl]ethoxy]ethyl]-, ethanedioate (1:1) (CA INDEX NAME)

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CRN 186686-69-1

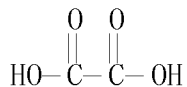
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CM 2

CRN 144-62-7

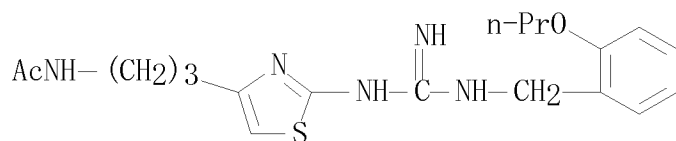
CMF C2 H2 O4



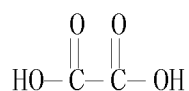
RN 186686-76-0 CAPLUS

CN Acetamide, N-[3-[2-[[imino[[2-(2-propoxyphenyl)methyl]amino]methyl]amino]-4-thiazolyl]propyl]-, ethanedioate (1:1) (CA INDEX NAME)

CRN 186686-75-9  
CMF C19 H27 N5 O2 S

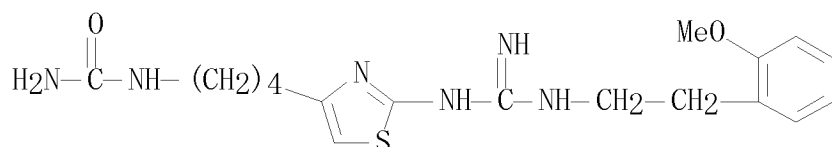


CRN 144-62-7  
CMF C2 H2 04

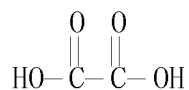


CM 1

CRN 186686-86-2  
CMF C18 H26 N6 O2 S



CRN 144-62-7  
CMF C2 H2 04



IT	<u>186686-90-8P</u>	<u>186686-96-4P</u>	<u>186686-99-7P</u>
	<u>186687-00-3P</u>	<u>186687-01-4P</u>	

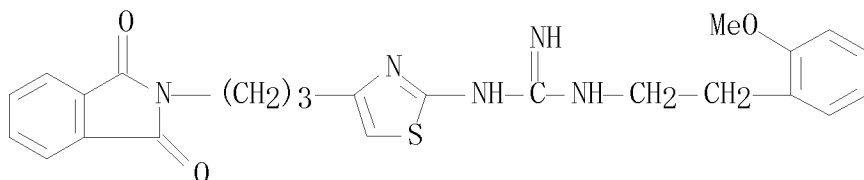
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent)

(preparation of guanidinothiazole derivs. as histamine H2 antagonists)

RN 186686-90-8 CAPLUS

CN Guanidine, N-[4-[3-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)propyl]-2-thiazolyl]-N'-[2-(2-methoxyphenyl)ethyl]- (CA INDEX NAME)

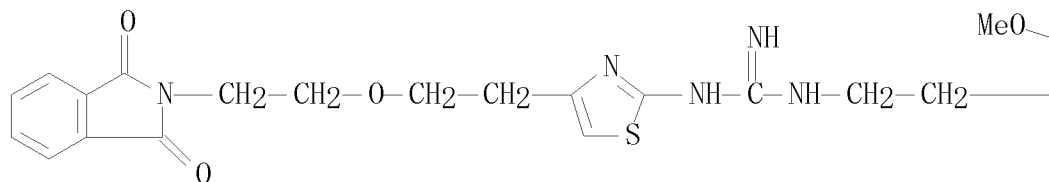


RN 186686-96-4 CAPLUS

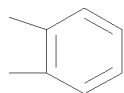
CN Guanidine, N-[4-[2-[2-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)ethoxy]ethyl]-2-thiazolyl]-N'-[2-(2-methoxyphenyl)ethyl]- (CA INDEX NAME)

PAGE 1-A

MeO

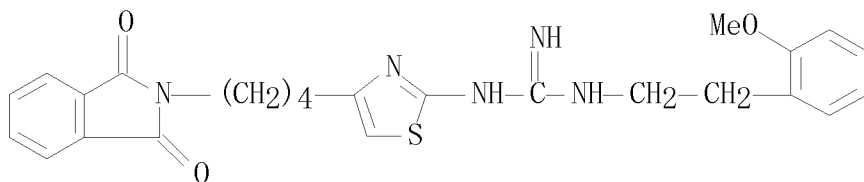


PAGE 1-B



RN 186686-99-7 CAPLUS

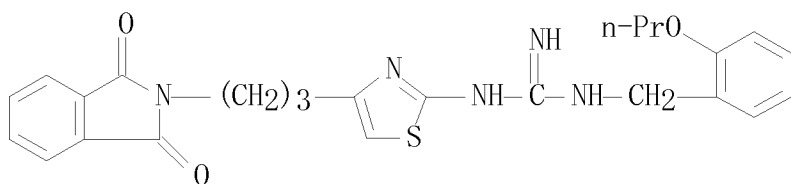
CN Guanidine, N-[4-[4-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)butyl]-2-thiazolyl]-N'-[2-(2-methoxyphenyl)ethyl]- (CA INDEX NAME)



RN 186687-00-3 CAPLUS

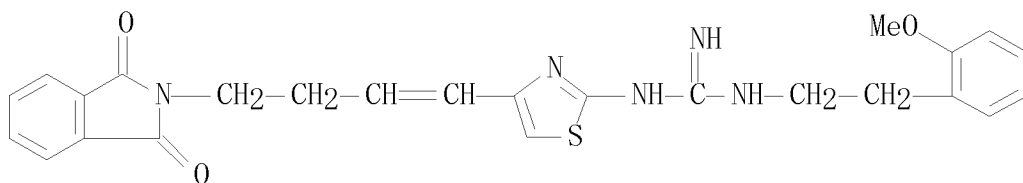
CN Guanidine, N-[4-[3-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)propyl]-2-thiazolyl]-N'-[(2-propoxyphenyl)methyl]- (CA INDEX NAME)





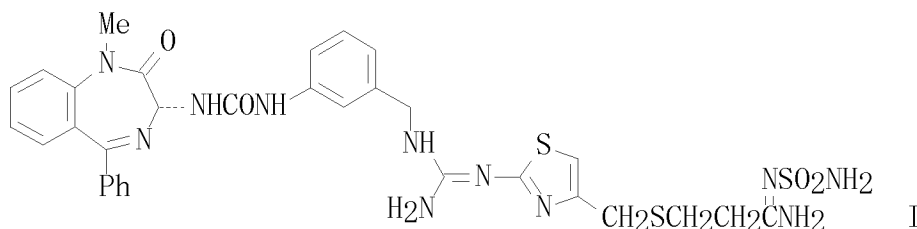
RN 186687-01-4 CAPLUS

CN Guanidine, N-[4-[4-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)-1-buten-1-yl]-2-thiazolyl]-N'-[2-(2-methoxyphenyl)ethyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L7 ANSWER 20 OF 41 CAPLUS COPYRIGHT 2010 ACS on STN  
 ACCESSION NUMBER: 1997:81087 CAPLUS  
 DOCUMENT NUMBER: 126:199545  
 ORIGINAL REFERENCE NO.: 126:38578h, 38579a  
 TITLE: Synthesis and biological evaluation of a new reversely  
 linked type of dual histamine H2 and gastrin receptor  
 antagonist  
 AUTHOR(S): Kawanishi, Yasuyuki; Ishihara, Shoichi; Takahashi,  
 Kimio; Tsushima, Tadahiko; Hagishita, Sanji; Ishikawa,  
 Michio; Ishihara, Yasunobu  
 CORPORATE SOURCE: Discovery Res. Lab. II, Shionogi & Co., Ltd., Osaka,  
 553, Japan  
 SOURCE: Chemical & Pharmaceutical Bulletin (1997), 45(1),  
 116-124  
 CODEN: CPBTAL; ISSN: 0009-2363  
 PUBLISHER: Pharmaceutical Society of Japan  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 126:199545  
 GRAPHIC IMAGE:



# ABSTRACT:

In an attempt to improve the low oral absorbability of previously reported dual histamine H2 and gastrin receptor antagonists, compds. of a different type were synthesized and evaluated for biol. activity. These new compds. bear a histamine H2 receptor antagonist (H2A) pharmacophore moiety attached to a gastrin receptor antagonist (GA) pharmacophore moiety in a reverse manner, namely the head-to-head manner, different from the previously reported head-to-tail manner. These new hybrid compds. were classified into three types: type I, the regular amide type bearing a roxatidine moiety; type II, the reversed amide type bearing a roxatidine moiety; and type III, hybrid compds. bearing a famotidine moiety connected to a GA moiety without a spacer. Among them, only (R)-1-[3-(N'-[4-[2-(N-aminosulfonylamidino)ethylthiomethyl]thiazol-2-yl]guanidinomethyl)phenyl]-3-(1-methyl-2-oxo-5-phenyl-2,3-dihydro-1H-1,4-benzodiazepin-3-yl)urea (I) belonging to type III, showed a weak but distinct histamine H2 receptor-antagonistic activity as well as a modest gastrin receptor-antagonistic activity. Of most importance was the finding that I showed a weak but clearly improved in vivo oral antigastric acid secretory activity as a result of the structural changes, including the decreased mol. weight

IT 187817-00-1P 187817-01-2P 187817-02-3P  
187817-03-4P 187817-04-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

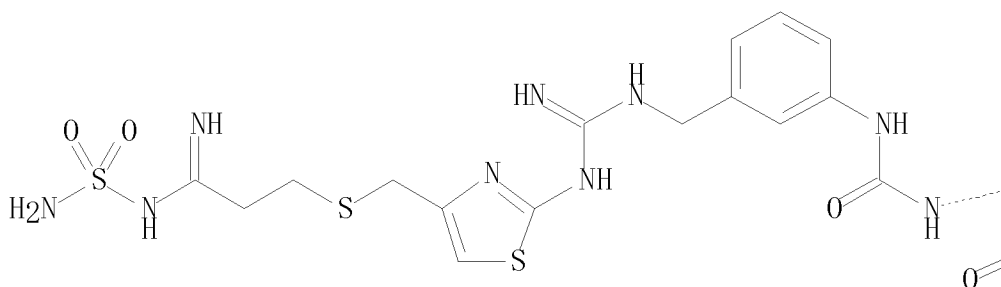
(preparation and pharmacol. activity of reversely linked histamine H2 and gastrin receptor antagonists)

RN 187817-00-1 CAPLUS

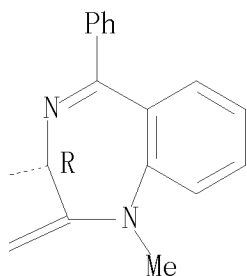
CN Propanimidamide, N-(aminosulfonyl)-3-[[[2-[[[[[3-[[[(3R)-2,3-dihydro-1-methyl-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl]amino]carbonyl]amino]phenyl]methyl]amino]iminomethyl]amino]-4-thiazolyl]methyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

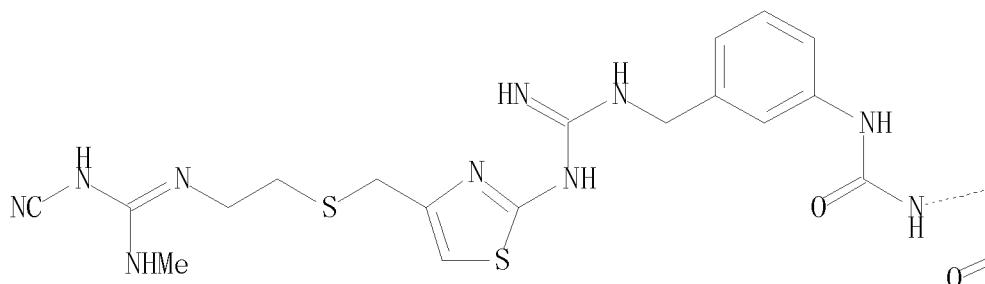


RN 187817-01-2 CAPLUS

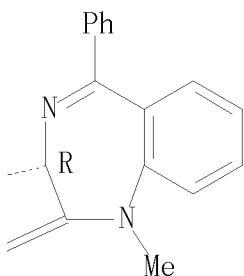
CN Urea, N-[3-[[[[[4-[[[2-[[ (cyanoamino) (methylimino) methyl]amino]ethyl]thio]methyl]-2-thiazolyl]amino]iminomethyl]amino]methyl]phenyl]-N'-[(3R)-2,3-dihydro-1-methyl-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl]- (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

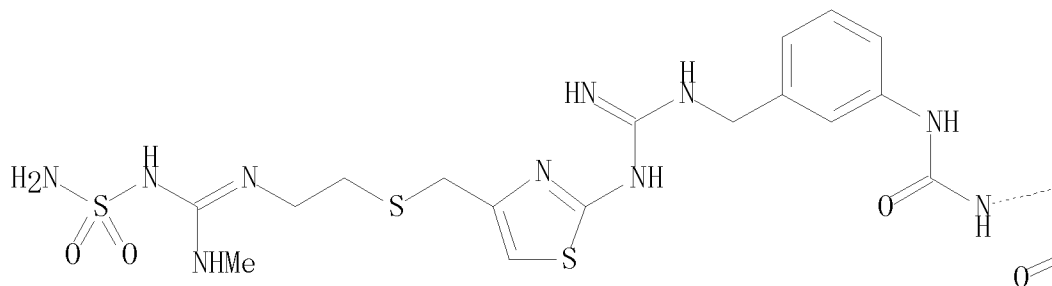


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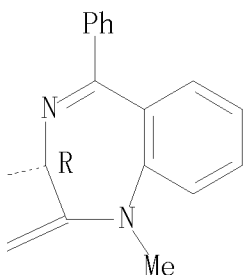
CN Urea, N-[3-[[[[[4-[[[2-[[[(aminosulfonyl)amino](methylimino)methyl]amino]ethyl]thio]methyl]-2-thiazolyl]amino]iminomethyl]amino]methyl]phenyl]-N'-(3R)-2,3-dihydro-1-methyl-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl]- (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



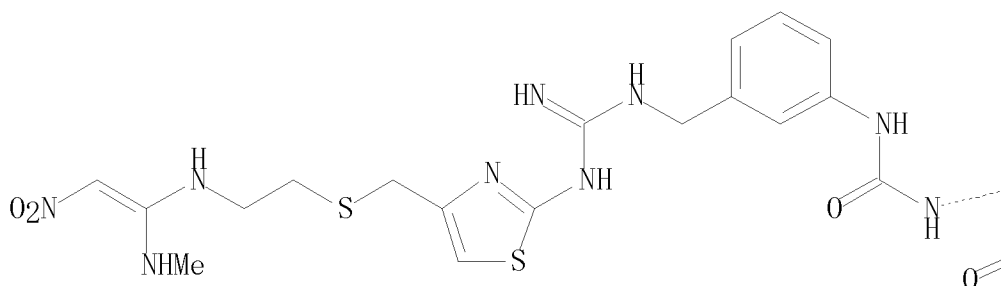
RN 187817-03-4 CAPLUS

CN Urea, N-[(3R)-2,3-dihydro-1-methyl-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl]-N'-[3-[[[imino[[4-[[[2-[[1-(methylamino)-2-nitroethenyl]amino]ethyl]thio]methyl]-2-thiazolyl]amino]methyl]amino]methyl]phenyl]- (CA INDEX NAME)

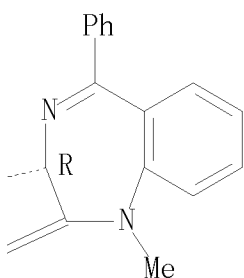
Absolute stereochemistry.

Double bond geometry unknown.

PAGE 1-A



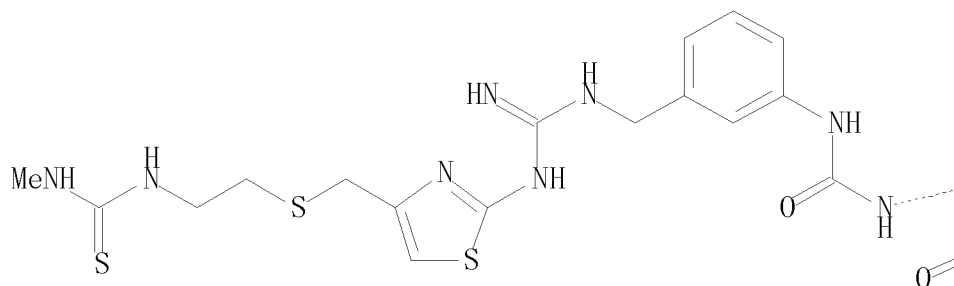
PAGE 1-B



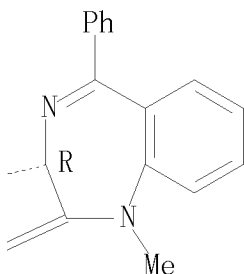
RN 187817-04-5 CAPLUS  
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Absolute stereochemistry.

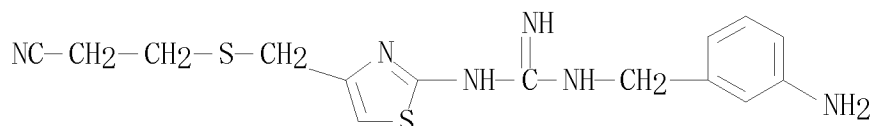
PAGE 1-A



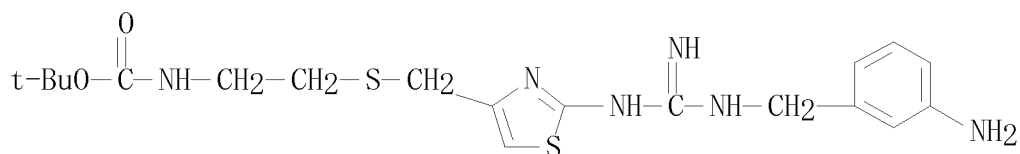
PAGE 1-B



IT 187816-96-2P 187816-97-3P 187816-98-4P  
187816-99-5P 187817-05-6P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (preparation and pharmacol. activity of reversely linked histamine H2 and  
 gastrin receptor antagonists)  
 RN 187816-96-2 CAPLUS  
 CN Guanidine, N-[(3-aminophenyl)methyl]-N'-[4-[(2-cyanoethyl)thio]methyl]-2-  
 thiazolyl]- (CA INDEX NAME)



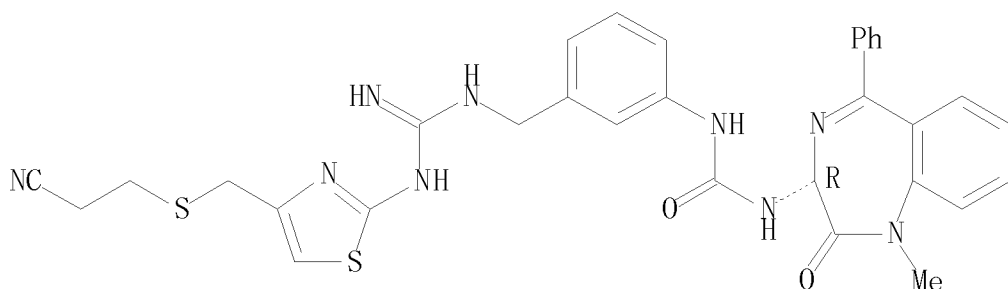
RN 187816-97-3 CAPLUS  
 CN Carbamic acid, [2-[[[2-[[[(3-aminophenyl)methyl]amino]iminomethyl]amino]-  
 4-thiazolyl]methyl]thio]ethyl]-, 1,1-dimethylethyl ester,  
 monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 187816-98-4 CAPLUS  
 CN Urea, N-[3-[[[[4-[(2-cyanoethyl)thio]methyl]-2-  
 thiazolyl]amino]iminomethyl]amino]methyl]phenyl]-N'-[(3R)-2,3-dihydro-1-  
 methyl-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl]- (CA INDEX NAME)

Absolute stereochemistry.

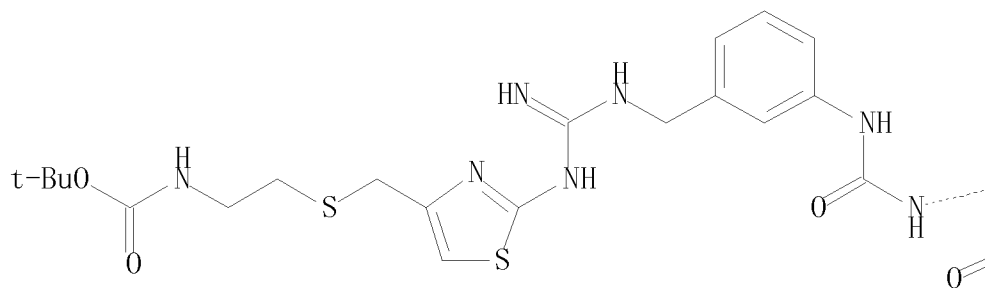


RN 187816-99-5 CAPLUS

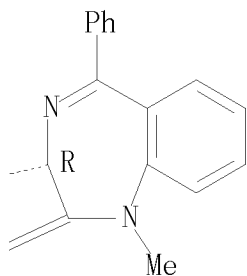
CN Carbamic acid, [2-[[[2-[[[[[3-[[[(2,3-dihydro-1-methyl-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl)amino]carbonyl]amino]phenyl]methyl]amino]iminomethyl]amino]-4-thiazolyl]methyl]thio]ethyl]-, 1,1-dimethylethyl ester, (R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

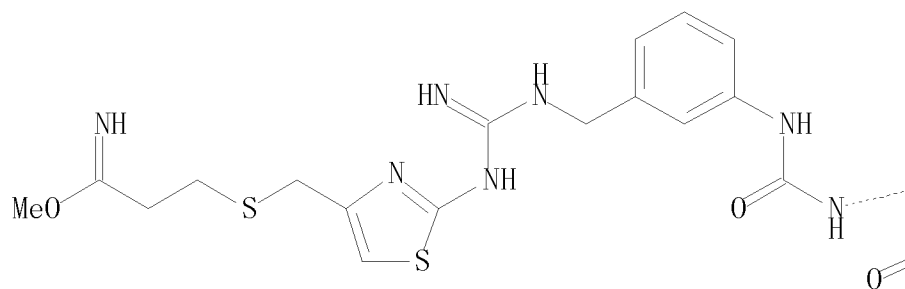


RN 187817-05-6 CAPLUS

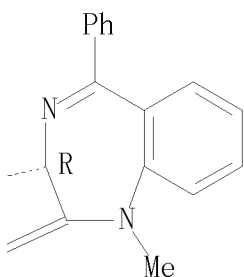
CN Propanimidic acid, 3-[[[2-[[[[[3-[[[(3R)-2,3-dihydro-1-methyl-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl]amino]carbonyl]amino]phenyl]methyl]amino]iminomethyl]amino]-4-thiazolyl]methyl]thio]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



OS. CITING REF COUNT:

11

THERE ARE 11 CAPLUS RECORDS THAT CITE THIS  
RECORD (11 CITINGS)

REFERENCE COUNT:

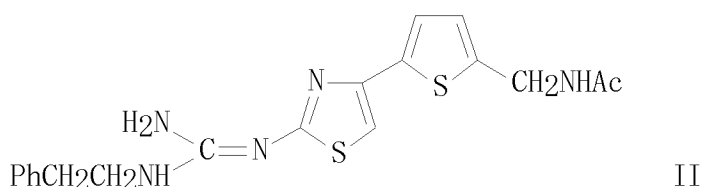
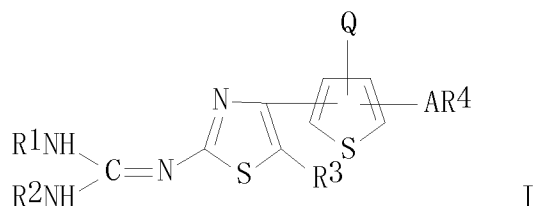
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THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT



L7 ANSWER 21 OF 41 CAPLUS COPYRIGHT 2010 ACS on STN  
 ACCESSION NUMBER: 1997:42 CAPLUS  
 DOCUMENT NUMBER: 126:47211  
 ORIGINAL REFERENCE NO.: 126:9313a  
 TITLE: Preparation of 4-thienylthiazole derivatives as  
 antiulcer and antibacterial agents  
 PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 16 pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 08245621	A	19960924	JP 1996-35931	19960223
PRIORITY APPLN. INFO.:			GB 1995-4689	A 19950308
OTHER SOURCE(S):	MARPAT	126:47211		
GRAPHIC IMAGE:				



# ABSTRACT:

The title compds. [I; R1 = (halo or alkoxy)aryl, cycloalkyl, alkenyl, (un)substituted aralkyl, R2, R3, Q = H, alkyl; R4 = acyl, acylamino; A = single bond, alkylene], which show excellent antibacterial activity against *Helicobacter pylori*, are prepared Thus, a suspension of 5-acetamidomethyl-2-chloroacetylthiophene 1.5, N-(2-phenylethyl)amidinothiourea, and NaHCO<sub>3</sub> in ethanol was heated at 55° for 3.5 h to give 1.30 g the title compound [(diaminomethylene)amino]thienylthiazole derivative (II). II showed min. inhibitory concentration of <0.1 µg/mL against *H. pylori*.

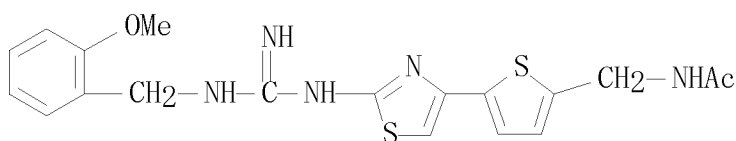
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	<u>184581-64-4P</u>	<u>184581-66-6P</u>	<u>184581-68-8P</u>
	<u>184581-70-2P</u>	<u>184581-72-4P</u>	<u>184581-76-8P</u>
	<u>184581-80-4P</u>	<u>184581-81-5P</u>	<u>184581-82-6P</u>
	<u>184581-83-7P</u>	<u>184581-84-8P</u>	<u>184581-85-9P</u>

184581-91-7P      184581-96-2P      184581-99-5P  
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184582-07-8P      184582-08-9P      184582-09-0P  
184582-10-3P      184582-11-4P      184582-12-5P  
184582-13-6P      184582-14-7P      184582-15-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of thienylthiazole derivs. as antiulcer and antibacterial agents)

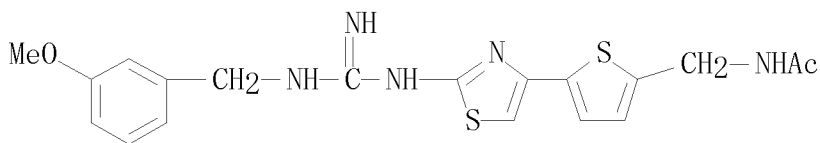
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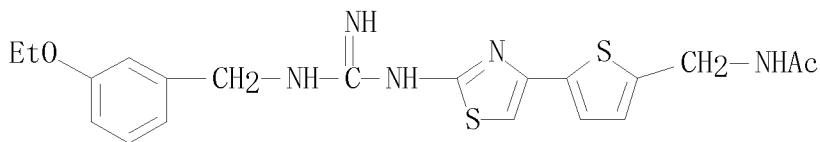
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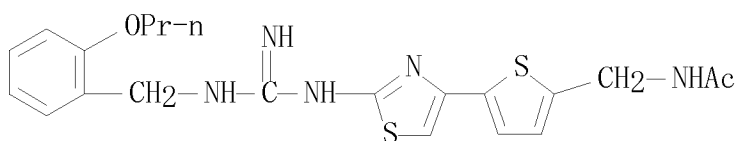
RN 184581-60-0 CAPLUS

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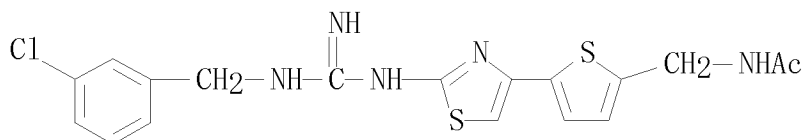
RN 184581-61-1 CAPLUS

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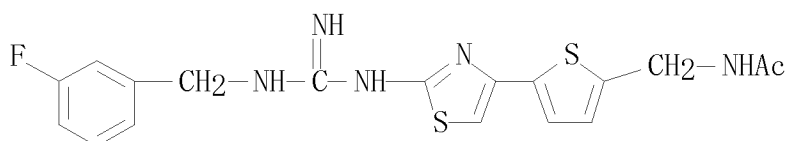
RN 184581-62-2 CAPLUS

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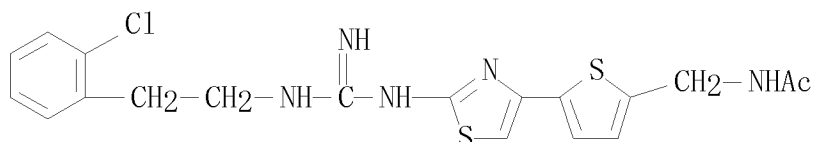
RN 184581-63-3 CAPLUS

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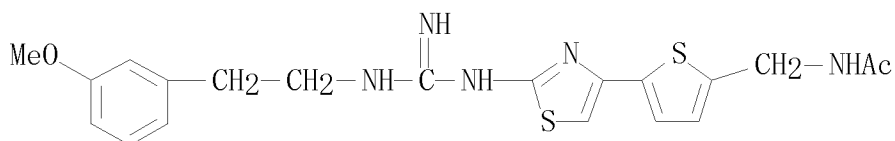
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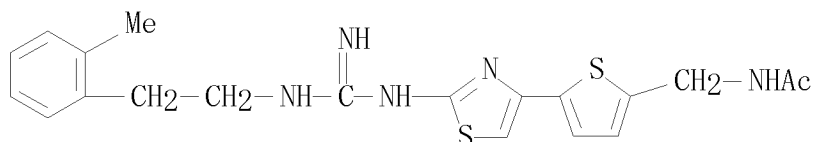
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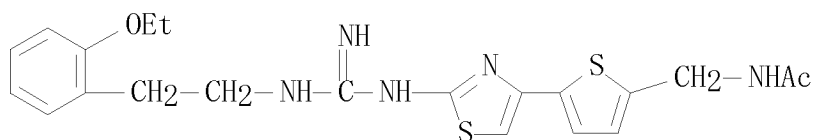
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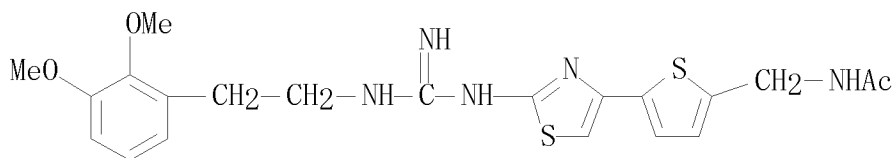


RN 184581-70-2 CAPLUS

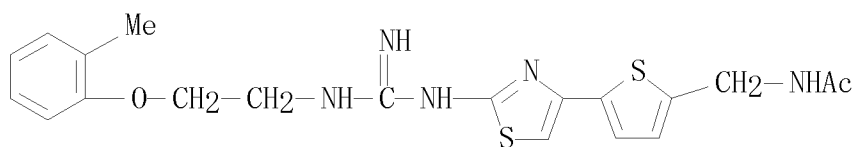
CN Acetamide, N-[[5-[2-[[[2-(2-ethoxyphenyl)ethyl]amino]iminomethyl]amino]-4-thiazolyl]-2-thienyl]methyl]- (CA INDEX NAME)



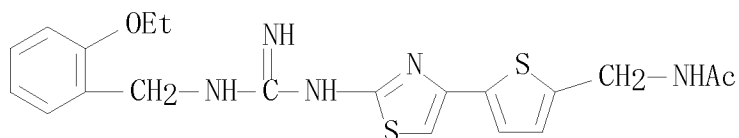
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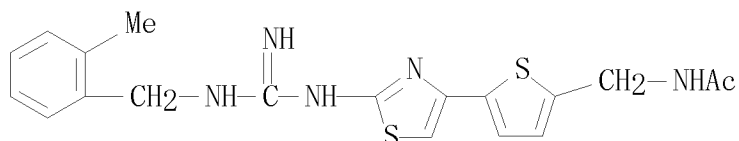
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RN 184581-80-4 CAPLUS  
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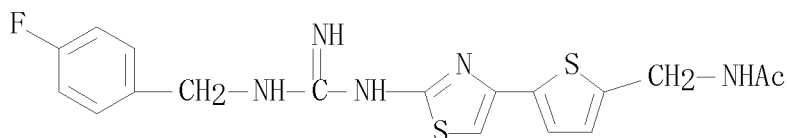


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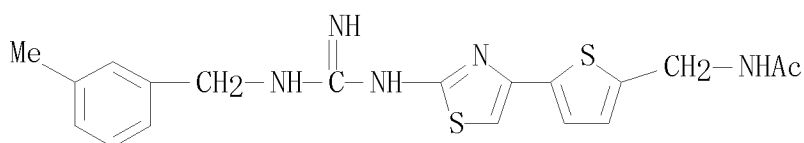
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CN Acetamide, N-[[5-[2-[[[(4-fluorophenyl)methyl]amino]iminomethyl]amino]-4-thiazolyl]-2-thienyl]methyl]- (CA INDEX NAME)



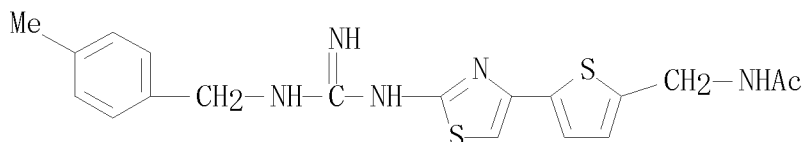
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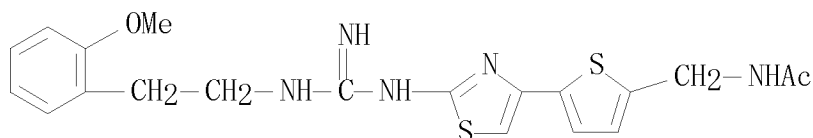
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CN Acetamide, N-[[5-[2-[[imino[[ (4-methylphenyl)methyl]amino]methyl]amino]-4-thiazolyl]-2-thienyl]methyl]- (CA INDEX NAME)



RN 184581-85-9 CAPLUS

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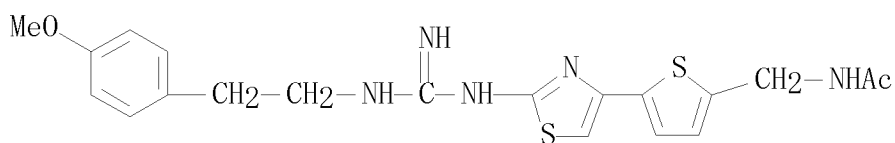
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CN Acetamide, N-[[5-[2-[[imino[[2-(4-methoxyphenyl)ethyl]amino]methyl]amino]-4-thiazolyl]-2-thienyl]methyl]-, ethanedioate (1:1) (CA INDEX NAME)

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CRN 184581-90-6

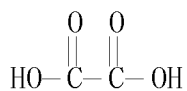
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CM 2

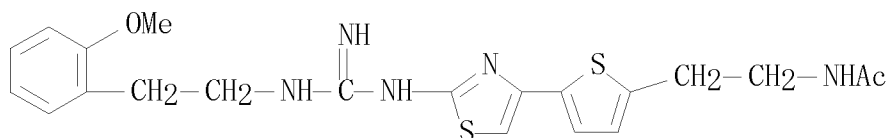
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CMF C2 H2 O4



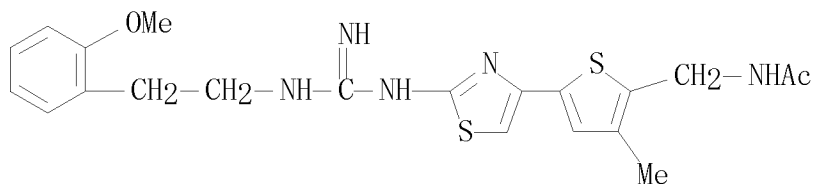
RN 184581-96-2 CAPLUS

CN Acetamide, N-[2-[5-[2-[[imino[2-(2-methoxyphenyl)ethyl]amino]methyl]amino]-4-thiazolyl]-2-thienyl]ethyl]-  
(CA INDEX NAME)



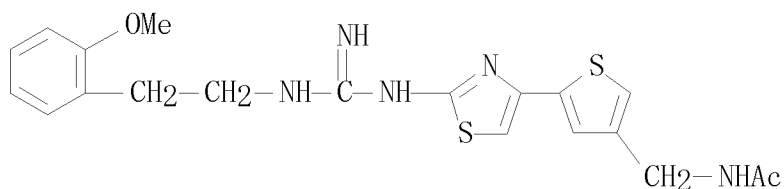
RN 184581-99-5 CAPLUS

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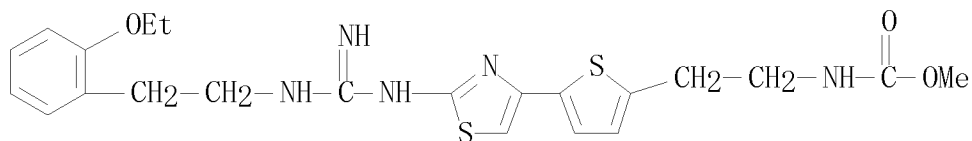
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CN Acetamide, N-[[5-[2-[[imino[2-(2-methoxyphenyl)ethyl]amino]methyl]amino]-4-thiazolyl]-3-thienyl]methyl]- (CA INDEX NAME)



RN 184582-03-4 CAPLUS

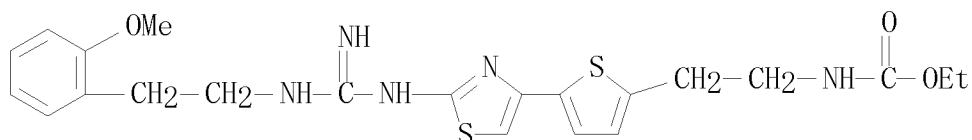
CN Carbamic acid, [2-[5-[2-[[[2-(2-ethoxyphenyl)ethyl]amino]iminomethyl]amino]-4-thiazolyl]-2-thienyl]ethyl]-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 184582-04-5 CAPLUS

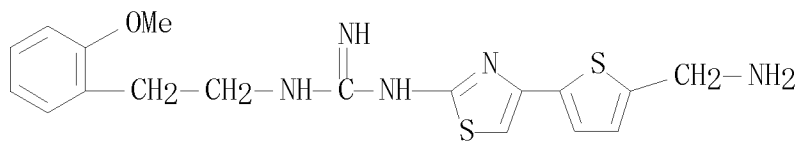
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● HCl

RN 184582-07-8 CAPLUS

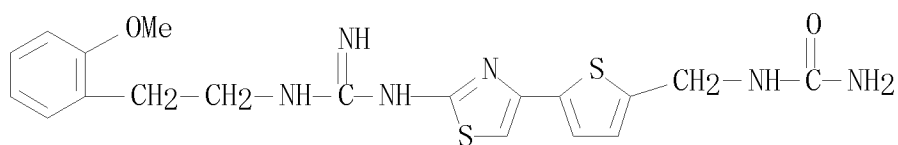
CN Guanidine, N-[4-[5-(aminomethyl)-2-thienyl]-2-thiazolyl]-N'-[2-(2-methoxyphenyl)ethyl]-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

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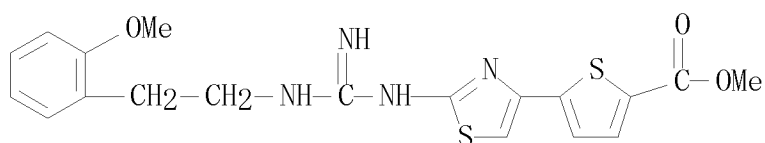
CN Urea, N-[[5-[2-[[imino[[2-(2-methoxyphenyl)ethyl]amino]methyl]amino]-4-thiazolyl]-2-thienyl]methyl]-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

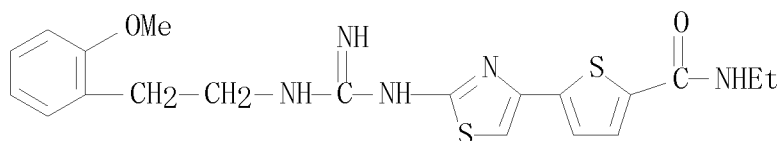
RN 184582-09-0 CAPLUS

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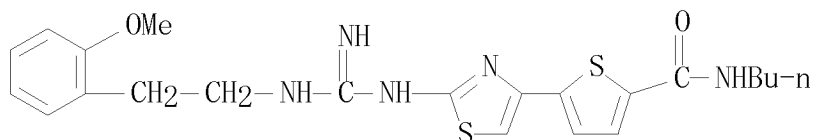
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CN 2-Thiophenecarboxamide, N-ethyl-5-[2-[[imino[[2-(2-methoxyphenyl)ethyl]amino]methyl]amino]-4-thiazolyl]- (CA INDEX NAME)



RN 184582-11-4 CAPLUS

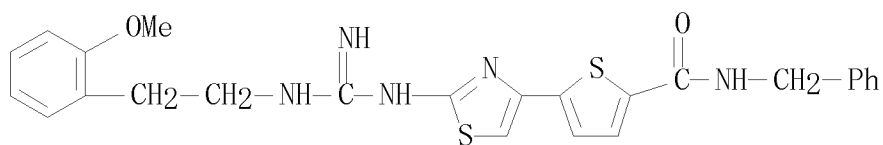
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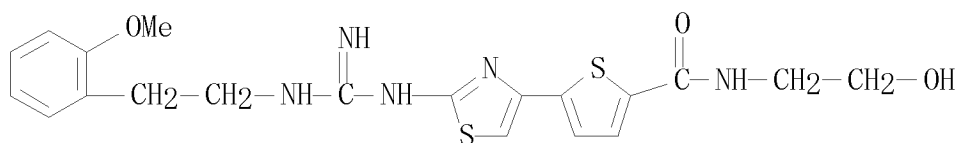
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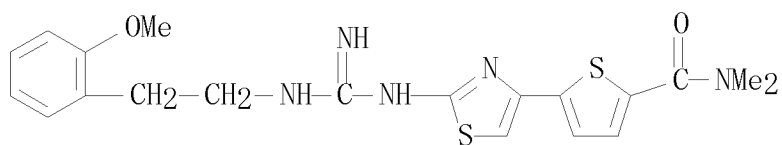
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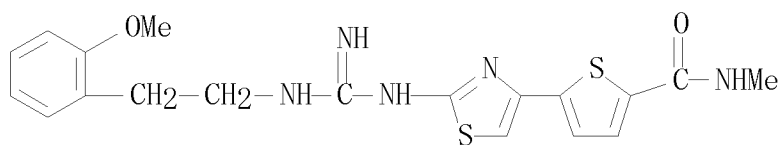
RN 184582-14-7 CAPLUS

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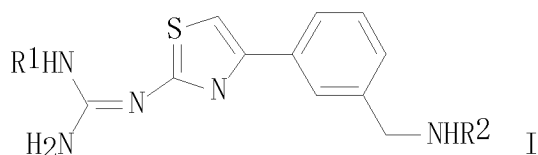
RN 184582-15-8 CAPLUS

CN 2-Thiophenecarboxamide, 5-[2-[[imino[[2-(2-methoxyphenyl)ethyl]amino]methyl]amino]-4-thiazolyl]-N-methyl- (CA INDEX NAME)



L7 ANSWER 22 OF 41 CAPLUS COPYRIGHT 2010 ACS on STN  
 ACCESSION NUMBER: 1996:385930 CAPLUS  
 DOCUMENT NUMBER: 125:58498  
 ORIGINAL REFERENCE NO.: 125:11249a,11252a  
 TITLE: Preparation of  
 4-(3-aminomethylphenyl)-2-thiazolylguanidines as  
 H2-receptor antagonists  
 INVENTOR(S): Katsura, Yousuke; Tomishi, Tetsuo; Nishino, Shigetaka;  
 Ohno, Mitsuko  
 PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan  
 SOURCE: PCT Int. Appl., 34 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

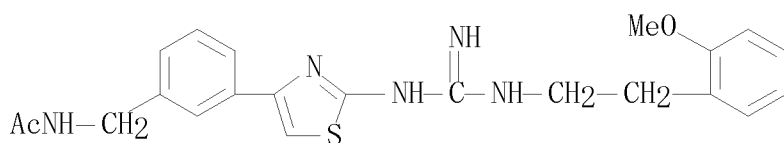
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9605187	A1	19960222	WO 1995-JP1596	19950809
W: AU, BR, CA, CN, FI, HU, JP, KR, MX, NO, NZ, RU, UA, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9531929	A	19960307	AU 1995-31929	19950809
JP 2000504305	T	20000411	JP 1995-507193	19950809
PRIORITY APPLN. INFO.:			GB 1994-16459	A 19940815
			WO 1995-JP1596	W 19950809
OTHER SOURCE(S):	MARPAT	125:58498		
GRAPHIC IMAGE:				



## ABSTRACT:

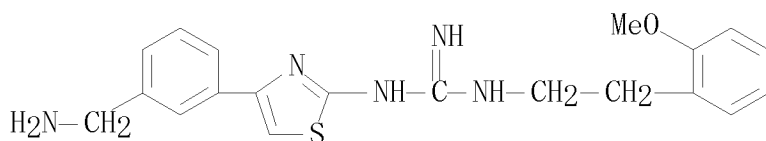
Title compds. [I; R1 = alkoxy(alkyl), cyanoalkyl, phenyl(oxy)(alkyl), etc.; R2 = H, alkanoyl, CONH2] were prepared Thus, I [R1 = 2-(1-cyclohexenyl)ethyl, R2 = Ac] gave 100% inhibition of histamine-induced increase of guinea pig atrial strip contraction at 10-6g/mL in vitro.

IT 178105-05-0P 178105-21-0P 178105-22-1P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of 4-(3-aminomethylphenyl)-2-thiazolylguanidines as H2-receptor antagonists)  
 RN 178105-05-0 CAPLUS  
 CN Acetamide, N-[[3-[2-[[imino[[2-(2-methoxyphenyl)ethyl]amino]methyl]amino]-4-thiazolyl]phenyl]methyl]- (CA INDEX NAME)



RN 178105-21-0 CAPLUS

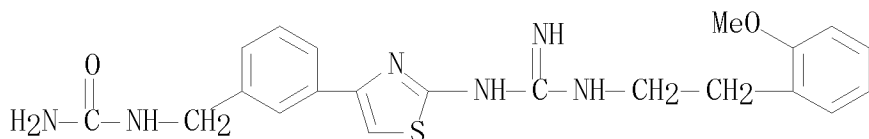
CN Guanidine, N-[4-[3-(aminomethyl)phenyl]-2-thiazolyl]-N'-[2-(2-methoxyphenyl)ethyl]-, hydrochloride (1:2) (CA INDEX NAME)



●2 HCl

RN 178105-22-1 CAPLUS

CN Urea, N-[[3-[2-[[imino[[2-(2-methoxyphenyl)ethyl]amino]methyl]amino]-4-thiazolyl]phenyl]methyl]- (CA INDEX NAME)



OS. CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 23 OF 41 CAPLUS COPYRIGHT 2010 ACS on STN  
 ACCESSION NUMBER: 1995:890470 CAPLUS  
 DOCUMENT NUMBER: 124:86605  
 ORIGINAL REFERENCE NO.: 124:16275a,16278a  
 TITLE: Preparation of biguanides with high purity  
 INVENTOR(S): Taniguchi, Hideki; Nakamura, Akihiro; Nishihara, Akira  
 PATENT ASSIGNEE(S): Mitsubishi Materials Corp, Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 5 pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 07206802	A	19950808	JP 1994-4993	19940121
PRIORITY APPLN. INFO.:			JP 1994-4993	19940121
OTHER SOURCE(S):	CASREACT	124:86605		

## ABSTRACT:

Biguanides, useful for microbicides, insecticides, disinfectants, etc., are prepared by fusion reactions of amines with dicyandiamides or bis(dicyandiamides) under atmospheric or inert gases at  $\geq$ m.p. of the amines and/or the dicyandiamides. Equimolar amts. of 2,5-diethoxyaniline and 4-chloro-1-dicyandiaminobenzene were treated under Ar at 150° for 6 h to give a biguanide with yield 70.2%.

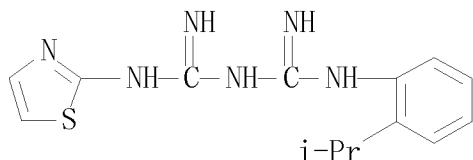
IT 172500-29-7P

RL: AGR (Agricultural use); BUU (Biological use, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of biguanides with high yield by fusion reactions of amines with dicyandiamides under inert gases)

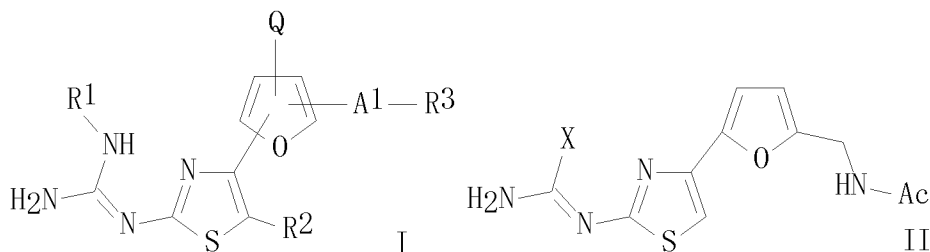
RN 172500-29-7 CAPLUS

CN Imidodicarbonimidic diamide, N-[2-(1-methylethyl)phenyl]-N'-2-thiazolyl-  
 (CA INDEX NAME)



L7 ANSWER 24 OF 41 CAPLUS COPYRIGHT 2010 ACS on STN  
 ACCESSION NUMBER: 1995:856070 CAPLUS  
 DOCUMENT NUMBER: 123:256700  
 ORIGINAL REFERENCE NO.: 123:45915a, 45918a  
 TITLE: Furylthiazoles and their use as H<sub>2</sub>-receptor antagonists and antimicrobials  
 INVENTOR(S): Katsura, Yousuke; Ohno, Mitsuko; Nishino, Shigetaka; Tomishi, Tetsuo; Takasugi, Hisashi  
 PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan  
 SOURCE: PCT Int. Appl., 111 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9518126	A1	19950706	WO 1994-JP2278	19941228
W: AU, CA, CN, HU, JP, KR, RU, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9512831	A	19950717	AU 1995-12831	19941228
JP 09507222	T	19970722	JP 1994-517925	19941228
PRIORITY APPLN. INFO.:			GB 1993-26611	A 19931231
			WO 1994-JP2278	W 19941228
OTHER SOURCE(S):		CASREACT 123:256700; MARPAT 123:256700		
GRAPHIC IMAGE:				



# ABSTRACT:

The invention relates to furylthiazole derivs. I [R<sub>1</sub> = pentyl, branched alkyl or alkenyl, certain alkoxyalkyl, aryl, aryloxy, etc.; R<sub>2</sub> = H, alkyl; R<sub>3</sub> = amino, acylamino; A<sub>1</sub> = alkylene; Q = H, alkyl] and pharmaceutically acceptable salts, which have antiulcer, H<sub>2</sub>-receptor antagonizing, and antimicrobial activity. Also disclosed are processes for their preparation, pharmaceutical compns. comprising them, and their use in treatment of ulcers and infections. For example, condensation of the isothioureia derivative II.HI (X = MeS) with R<sub>1</sub>NH<sub>2</sub> [R<sub>1</sub> = cyclohexylmethyl (Q)] in refluxing EtOH gave title compound II (X = QNH). The latter had an MIC of < 0.2 µg/mL against Helicobacter pylori 8008 in vitro, and the compound II (X = PhCH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>NH) gave 77.9% inhibition of ulcers at 32 mg/kg orally in mice in the HCl-aspirin ulcer test. Approx. 150 compds. I and salts are listed with characterizing phys. and spectral data.

IT	<u>168969-96-8P</u>	<u>168969-97-9P</u>	<u>168969-98-0P</u>
	<u>168969-99-1P</u>	<u>168970-00-1P</u>	<u>168970-01-2P</u>
	<u>168970-03-4P</u>	<u>168970-05-6P</u>	<u>168970-27-2P</u>

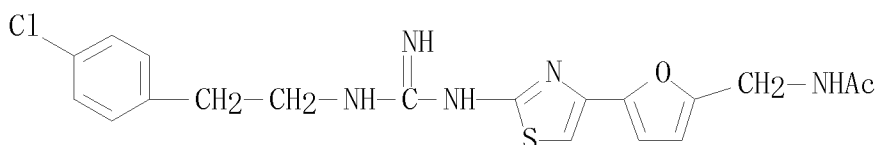
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<u>168971-18-4P</u>	<u>168971-32-2P</u>	<u>168971-39-9P</u>
<u>168971-40-2P</u>	<u>168971-45-7P</u>	<u>168971-46-8P</u>

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of furylthiazoles as antiulcer agents, H<sub>2</sub>-receptor antagonists, and antimicrobials)

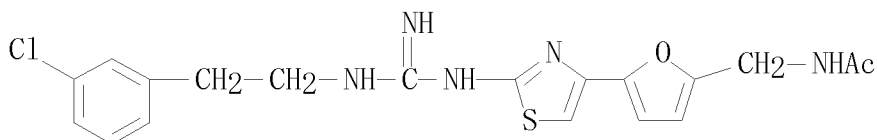
RN 168969-96-8 CAPLUS

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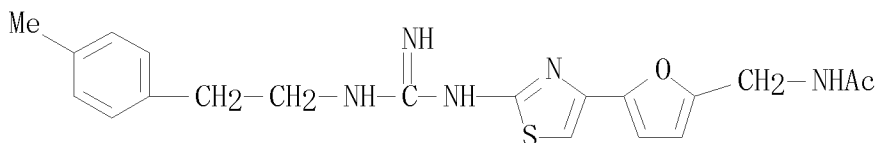
RN 168969-97-9 CAPLUS

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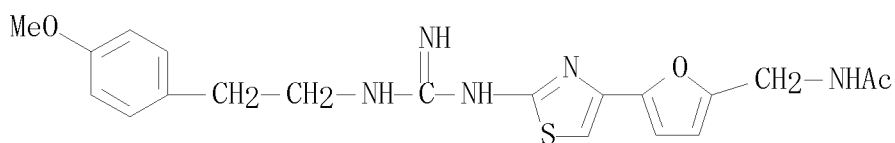
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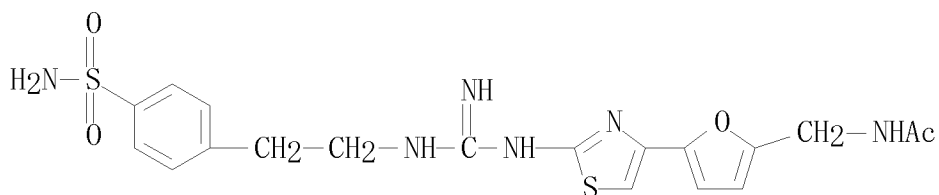
RN 168969-99-1 CAPLUS

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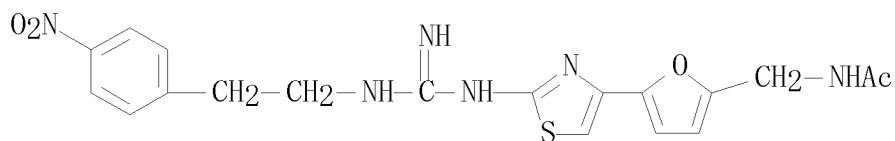
RN 168970-00-1 CAPLUS

CN Acetamide, N-[[5-[2-[[[2-[4-(aminosulfonyl)phenyl]ethyl]amino]iminomethyl]amino]-4-thiazolyl]-2-furanyl]methyl]- (CA INDEX NAME)



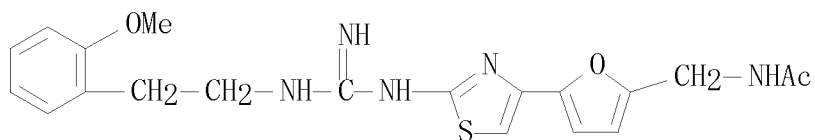
RN 168970-01-2 CAPLUS

CN Acetamide, N-[[5-[2-[[[2-[4-(4-nitrophenyl)ethyl]amino]iminomethyl]amino]-4-thiazolyl]-2-furanyl]methyl]- (CA INDEX NAME)



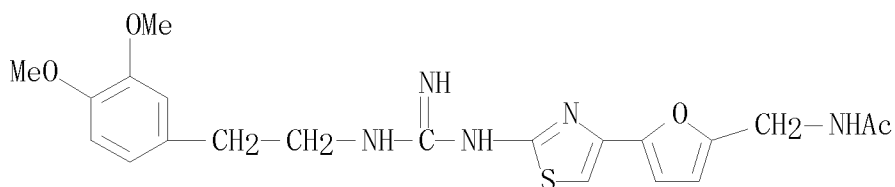
RN 168970-03-4 CAPLUS

CN Acetamide, N-[[5-[2-[[[2-[2-(2-methoxyphenyl)ethyl]amino]iminomethyl]amino]-4-thiazolyl]-2-furanyl]methyl]- (CA INDEX NAME)



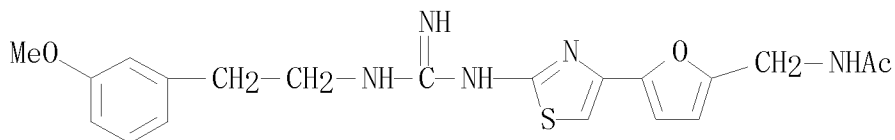
RN 168970-05-6 CAPLUS

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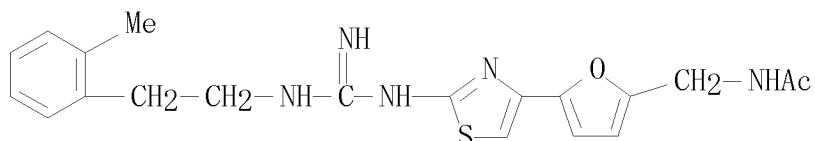
RN 168970-27-2 CAPLUS

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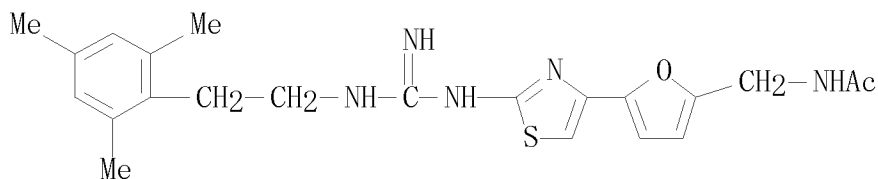
RN 168970-29-4 CAPLUS

CN Acetamide, N-[[5-[2-[[imino[[2-(2-methylphenyl)ethyl]amino]methyl]amino]-4-thiazolyl]-2-furanyl]methyl]- (CA INDEX NAME)



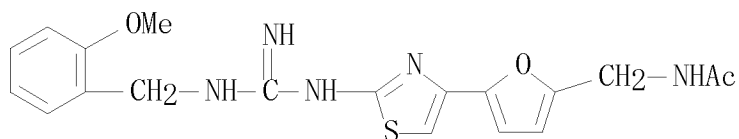
RN 168970-30-7 CAPLUS

CN Acetamide, N-[[5-[2-[[imino[[2-(2,4,6-trimethylphenyl)ethyl]amino]methyl]amino]-4-thiazolyl]-2-furanyl]methyl]- (CA INDEX NAME)



RN 168970-32-9 CAPLUS

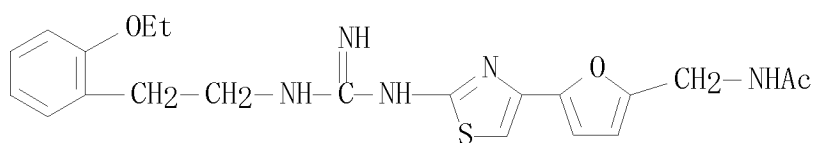
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RN 168970-34-1 CAPLUS

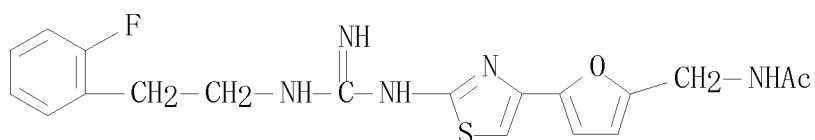
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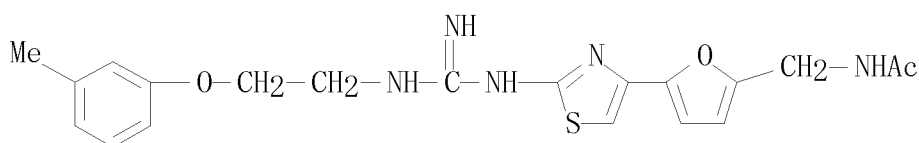
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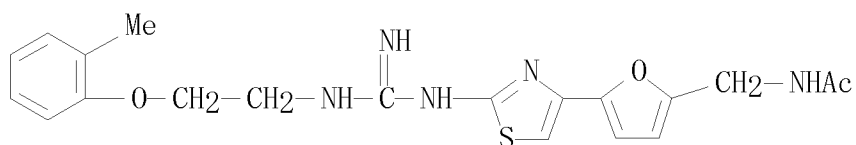
RN 168970-37-4 CAPLUS

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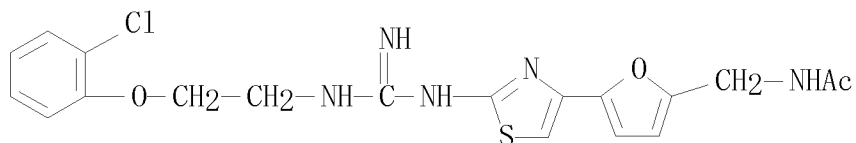
RN 168970-38-5 CAPLUS

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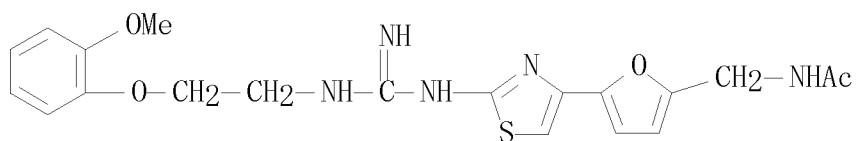
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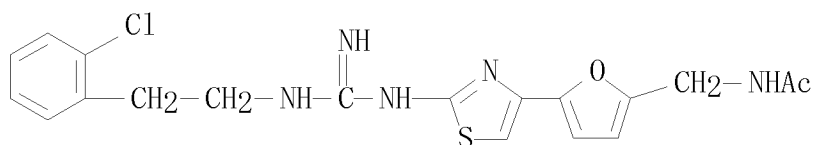
RN 168970-40-9 CAPLUS

CN Acetamide, N-[[5-[2-[[imino[[2-(2-methoxyphenoxy)ethyl]amino]methyl]amino]-4-thiazolyl]-2-furanyl]methyl]- (CA INDEX NAME)



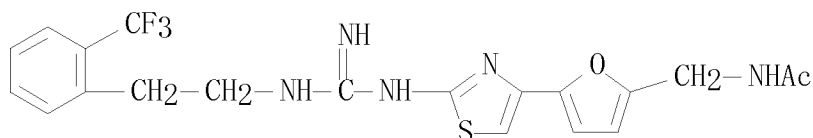
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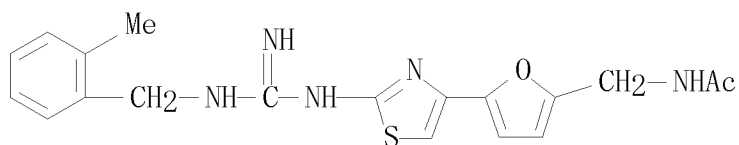
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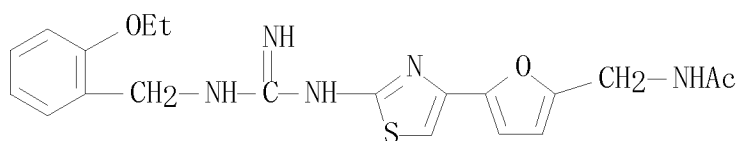
RN 168970-43-2 CAPLUS

CN Acetamide, N-[[5-[2-[[[imino[[2-(2-methylphenyl)methyl]amino]methyl]amino]-4-thiazolyl]-2-furanyl]methyl]- (CA INDEX NAME)



RN 168970-48-7 CAPLUS

CN Acetamide, N-[[5-[2-[[[2-(2-ethoxyphenyl)methyl]amino]iminomethyl]amino]-4-thiazolyl]-2-furanyl]methyl]- (CA INDEX NAME)



RN 168970-53-4 CAPLUS

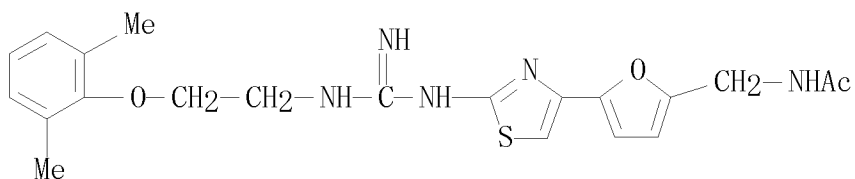
CN Acetamide, N-[[5-[2-[[[2-(2,6-dimethylphenoxy)ethyl]amino]iminomethyl]amino]-4-thiazolyl]-2-

furanyl]methyl]-, ethanedioate (1:1) (CA INDEX NAME)

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CRN 168970-52-3

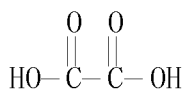
CMF C21 H25 N5 O3 S



CM 2

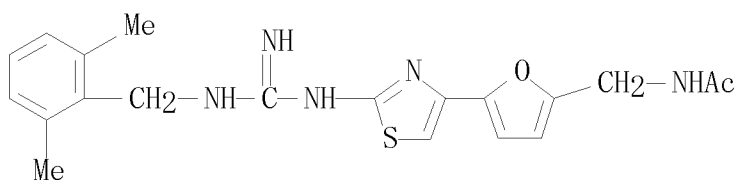
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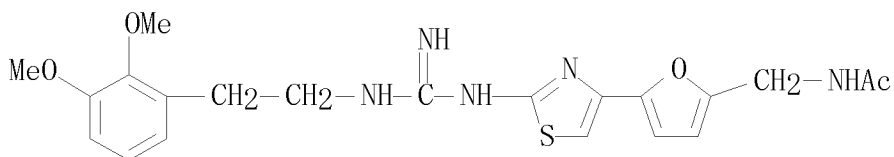
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CN Acetamide, N-[[5-[2-[[[(2,6-dimethylphenyl)methyl]amino]iminomethyl]amino]-4-thiazolyl]-2-furanyl]methyl]- (CA INDEX NAME)



RN 168970-59-0 CAPLUS

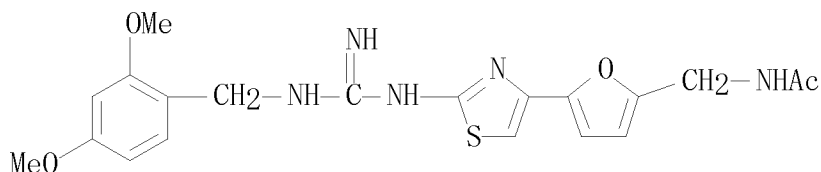
CN Acetamide, N-[[5-[2-[[[2-(2,3-dimethoxyphenyl)ethyl]amino]iminomethyl]amino]-4-thiazolyl]-2-furanyl]methyl]- (CA INDEX NAME)



RN 168970-66-9 CAPLUS

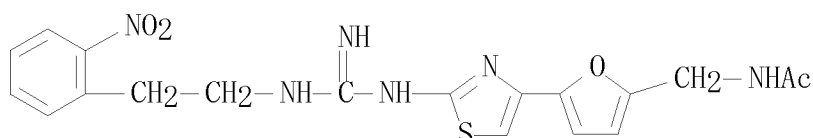
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RN 168970-67-0 CAPLUS

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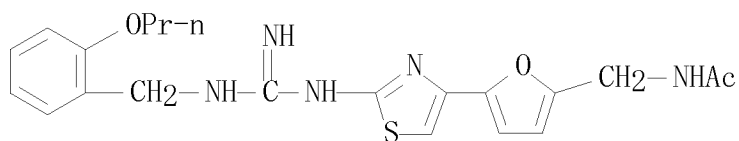
RN 168970-72-7 CAPLUS

CN Acetamide, N-[[5-[2-[[[2-(2-propoxyphenyl)methyl]amino]methyl]amino]-4-thiazolyl]-2-furanyl)methyl]-, ethanedioate (1:1) (CA INDEX NAME)

CM 1

CRN 168970-71-6

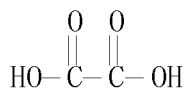
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CM 2

CRN 144-62-7

CMF C2 H2 O4

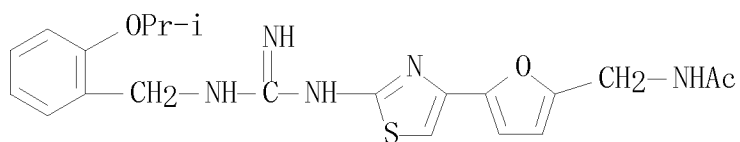


RN 168970-76-1 CAPLUS

CN Acetamide, N-[[5-[2-[[[2-(1-methylethoxy)phenyl)methyl]amino]methyl]amino]-4-thiazolyl]-2-furanyl)methyl]-, ethanedioate (1:1) (CA INDEX NAME)

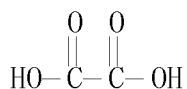
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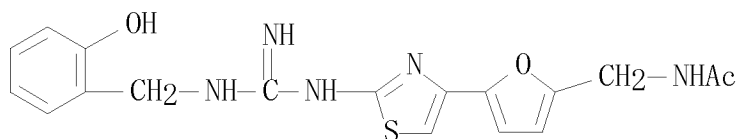


CM 2

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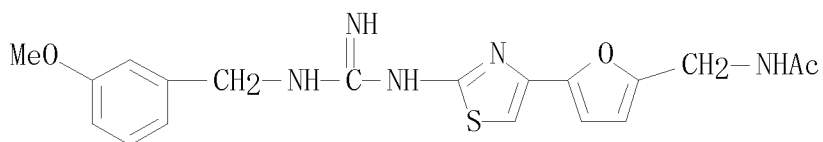
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CN Acetamide, N-[[5-[2-[[[(2-hydroxyphenyl)methyl]amino]iminomethyl]amino]-4-thiazolyl]-2-furanyl]methyl]- (CA INDEX NAME)



RN 168970-80-7 CAPLUS  
CN Acetamide, N-[[5-[2-[[[imino[[ (3-methoxyphenyl)methyl]amino]methyl]amino]-4-thiazolyl]-2-furanyl]methyl]-, ethanedioate (1:1) (CA INDEX NAME)

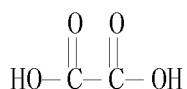
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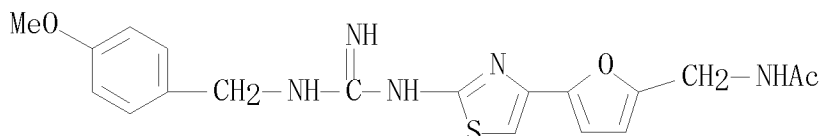
CM 2

CRN 144-62-7  
CMF C2 H2 O4



RN 168970-81-8 CAPLUS

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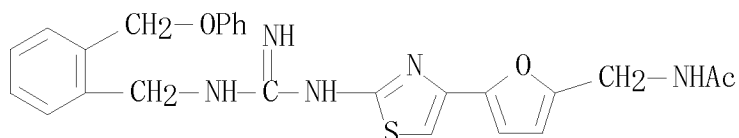
RN 168970-89-6 CAPLUS

CN Acetamide, N-[[5-[2-[[imino[[[2-(phoxymethyl)phenyl]methyl]amino]methyl]amino]-4-thiazolyl]-2-furanyl]methyl]-, ethanedioate (1:1) (CA INDEX NAME)

CM 1

CRN 168970-88-5

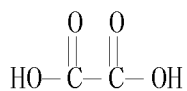
CMF C25 H25 N5 O3 S



CM 2

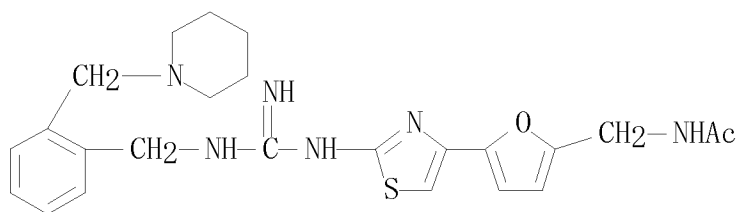
CRN 144-62-7

CMF C2 H2 O4



RN 168970-91-0 CAPLUS

CN Acetamide, N-[[5-[2-[[imino[[[2-(1-piperidinylmethyl)phenyl]methyl]amino]methyl]amino]-4-thiazolyl]-2-furanyl]methyl]-, hydrochloride (1:2) (CA INDEX NAME)

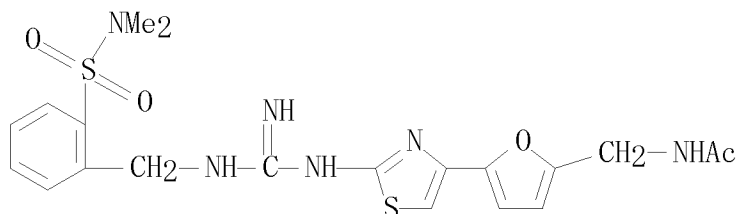


●2 HCl

RN 168970-93-2 CAPLUS  
 CN Acetamide, N-[[5-[2-[[[2-[(dimethylamino)sulfonyl]phenyl]methyl]amino]iminomethyl]amino]-4-thiazolyl]-2-furanyl]methyl]-, ethanedioate (1:1) (CA INDEX NAME)

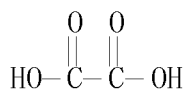
CM 1

CRN 168970-92-1  
 CMF C20 H24 N6 O4 S2



CM 2

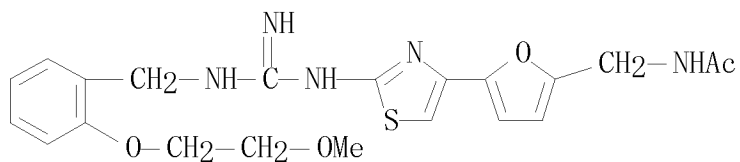
CRN 144-62-7  
 CMF C2 H2 O4



RN 168970-96-5 CAPLUS  
 CN Acetamide, N-[[5-[2-[[[2-[(2-methoxyethoxy)phenyl]methyl]amino]methoxymethyl]amino]-4-thiazolyl]-2-furanyl]methyl]-, ethanedioate (1:1) (CA INDEX NAME)

CM 1

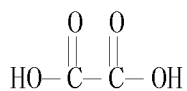
CRN 168970-95-4  
 CMF C21 H25 N5 O4 S



CM 2

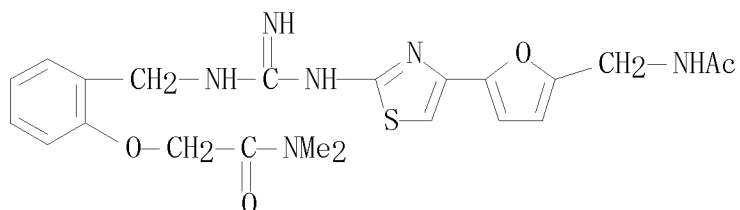
CRN 144-62-7

CMF C2 H2 04



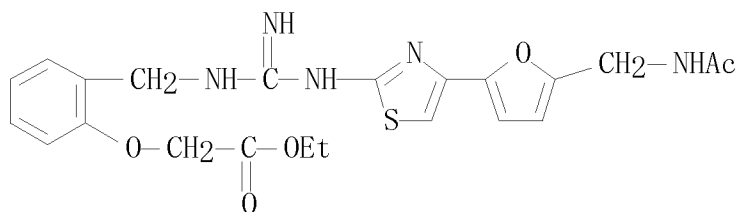
RN 168970-98-7 CAPLUS

CN Acetamide, 2-[2-[[[4-[5-[(acetylamino)methyl]-2-furanyl]-2-thiazolyl]amino]iminomethyl]amino]methyl]phenoxy]-N,N-dimethyl- (CA INDEX NAME)



RN 168970-99-8 CAPLUS

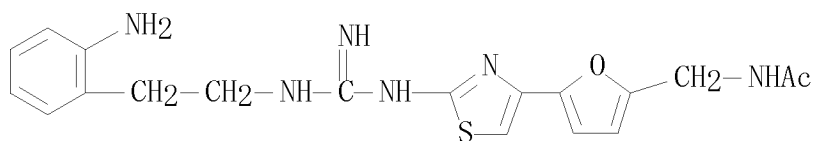
CN Acetic acid, 2-[2-[[[4-[5-[(acetylamino)methyl]-2-furanyl]-2-thiazolyl]amino]iminomethyl]amino]methyl]phenoxy]-, ethyl ester (CA INDEX NAME)



RN 168971-00-4 CAPLUS

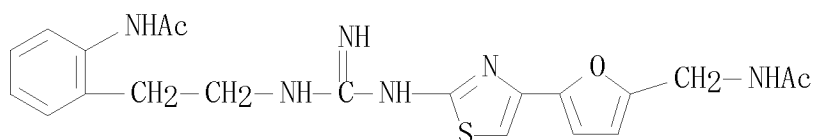
CN Acetamide, N-[[5-[2-[[[2-(2-aminophenyl)ethyl]amino]iminomethyl]amino]-4-thiazolyl]-2-furanyl]methyl]- (CA INDEX NAME)





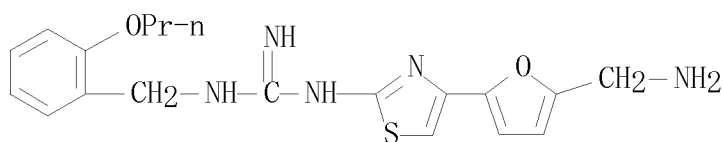
RN 168971-01-5 CAPLUS

CN Acetamide, N-[2-[2-[[[4-[5-[(acetylamino)methyl]-2-furanyl]-2-thiazolyl]amino]iminomethyl]amino]ethyl]phenyl]- (CA INDEX NAME)



RN 168971-10-6 CAPLUS

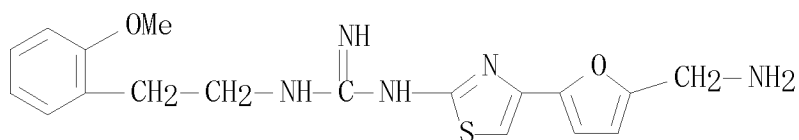
CN Guanidine, N-[4-[5-(aminomethyl)-2-furanyl]-2-thiazolyl]-N'-[(2-propoxyphenyl)methyl]-, hydrochloride (1:2) (CA INDEX NAME)



●2 HCl

RN 168971-17-3 CAPLUS

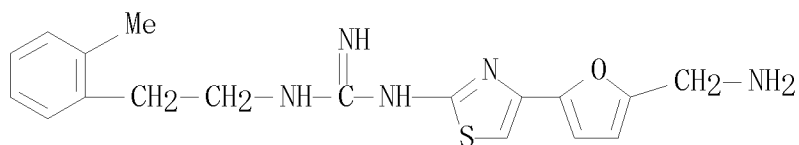
CN Guanidine, N-[4-[5-(aminomethyl)-2-furanyl]-2-thiazolyl]-N'-[2-(2-methoxyphenyl)ethyl]-, hydrochloride (1:2) (CA INDEX NAME)



●2 HCl

RN 168971-18-4 CAPLUS

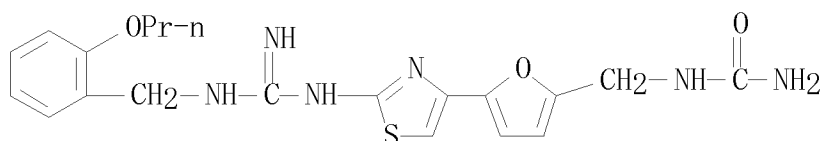
CN Guanidine, N-[4-[5-(aminomethyl)-2-furanyl]-2-thiazolyl]-N'-[2-(2-methylphenyl)ethyl]-, hydrochloride (1:2) (CA INDEX NAME)



●2 HCl

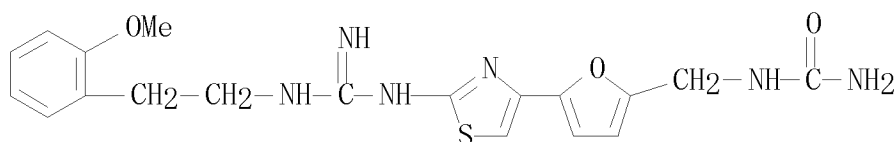
RN 168971-32-2 CAPLUS

CN Urea, N-[[5-[2-[[imino[(2-propoxyphenyl)methyl]amino]methyl]amino]-4-thiazolyl]-2-furanyl]methyl]- (CA INDEX NAME)



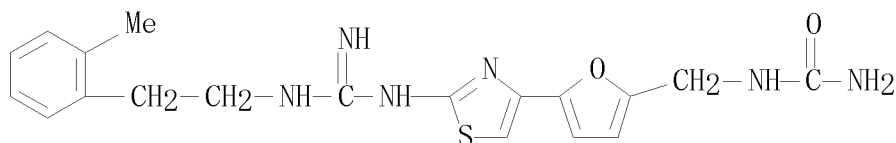
RN 168971-39-9 CAPLUS

CN Urea, N-[[5-[2-[[imino[[2-(2-methoxyphenyl)ethyl]amino]methyl]amino]-4-thiazolyl]-2-furanyl]methyl]- (CA INDEX NAME)



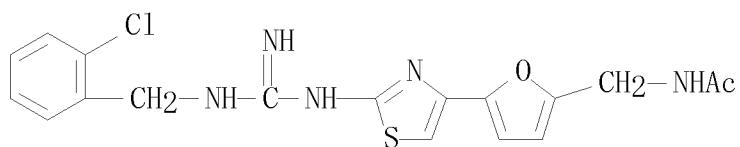
RN 168971-40-2 CAPLUS

CN Urea, N-[[5-[2-[[imino[[2-(2-methylphenyl)ethyl]amino]methyl]amino]-4-thiazolyl]-2-furanyl]methyl]- (CA INDEX NAME)



RN 168971-45-7 CAPLUS

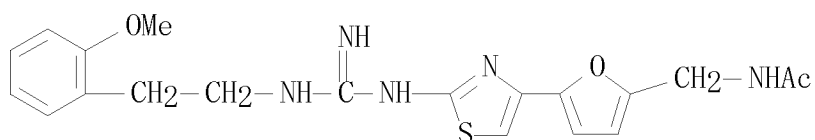
CN Acetamide, N-[[5-[2-[[[[(2-chlorophenyl)methyl]amino]iminomethyl]amino]-4-thiazolyl]-2-furanyl]methyl]- (CA INDEX NAME)



RN 168971-46-8 CAPLUS  
 CN Acetamide, N-[[5-[2-[[imino[[2-(2-methoxyphenyl)ethyl]amino]methyl]amino]-4-thiazolyl]-2-furanyl]methyl]-, ethanedioate (1:1) (CA INDEX NAME)

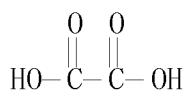
CM 1

CRN 168970-03-4  
 CMF C20 H23 N5 O3 S



CM 2

CRN 144-62-7  
 CMF C2 H2 O4

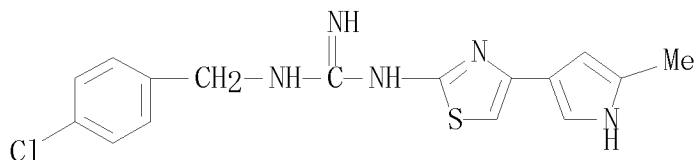


OS. CITING REF COUNT: 10 THERE ARE 10 CAPLUS RECORDS THAT CITE THIS RECORD (10 CITINGS)  
 REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

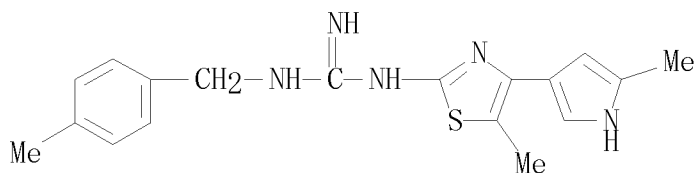
L7 ANSWER 25 OF 41 CAPLUS COPYRIGHT 2010 ACS on STN  
 ACCESSION NUMBER: 1995:568674 CAPLUS  
 DOCUMENT NUMBER: 122:281411  
 ORIGINAL REFERENCE NO.: 122:51019a,51022a  
 TITLE: Structure-Activity Study on Antiulcer Agents Using  
 Wiener's Topological Index and Molecular Connectivity  
 Index  
 AUTHOR(S): Goel, Anshu; Madan, A. K.  
 CORPORATE SOURCE: College of Pharmacy, Pushp Vihar, New Delhi, 110 017,  
 India  
 SOURCE: Journal of Chemical Information and Computer Sciences  
 (1995), 35(3), 504-9  
 CODEN: JCISD8; ISSN: 0095-2338  
 PUBLISHER: American Chemical Society  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 ABSTRACT:

The relation of Wiener's topol. index and mol. connectivity index with antiulcer activity of a series of 4-substituted-2-guanidino thiazole analogs has been investigated. The values of Wiener's topol. index and mol. connectivity index of 128 compds. were computed and active ranges were identified. The activity assigned to each analog using these topol. descriptors was subsequently compared with the reported in vitro and in vivo activities against gastric hydrogen-potassium stimulated ATPase (H+K+-ATPase) enzyme. Predictions with an accuracy of the order of .apprx.89% were observed with regard to in vivo activity using these topol. indexes.

IT 123309-54-6 123309-67-1  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (structure-activity study on antiulcer guanidinothiazoles using Wiener's topol. index and mol. connectivity index)  
 RN 123309-54-6 CAPLUS  
 CN Guanidine, N-[ (4-chlorophenyl)methyl]-N'-[4-(5-methyl-1H-pyrrol-3-yl)-2-thiazolyl]- (CA INDEX NAME)



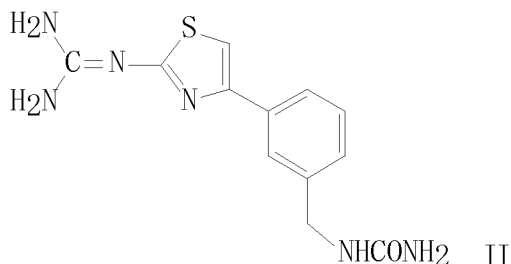
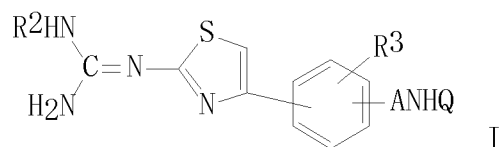
RN 123309-67-1 CAPLUS  
 CN Guanidine, N-[5-methyl-4-(5-methyl-1H-pyrrol-3-yl)-2-thiazolyl]-N'-[ (4-methylphenyl)methyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD  
(7 CITINGS)

L7 ANSWER 26 OF 41 CAPLUS COPYRIGHT 2010 ACS on STN  
 ACCESSION NUMBER: 1993:603405 CAPLUS  
 DOCUMENT NUMBER: 119:203405  
 ORIGINAL REFERENCE NO.: 119:36281a, 36284a  
 TITLE: Preparation of guanidinothiazoles and their use as histamine H<sub>2</sub>-receptor antagonists  
 INVENTOR(S): Katsura, Yousuke; Tomishi, Tetsuo; Inoue, Yoshikazu; Takasugi, Hisashi  
 PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan  
 SOURCE: Eur. Pat. Appl., 49 pp.  
 CODEN: EPXXDW  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 545376	A1	19930609	EP 1992-120533	19921202
EP 545376	B1	19980909		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
ZA 9208876	A	19930715	ZA 1992-8876	19921117
AU 9229837	A	19930610	AU 1992-29837	19921202
AU 666893	B2	19960229		
JP 06321921	A	19941122	JP 1992-323052	19921202
JP 2531329	B2	19960904		
AT 170851	T	19980915	AT 1992-120533	19921202
CA 2084640	A1	19930607	CA 1992-2084640	19921204
HU 65776	A2	19940728	HU 1992-3849	19921204
CN 1079469	A	19931215	CN 1992-114939	19921205
US 5532258	A	19960702	US 1994-356967	19941216
PRIORITY APPLN. INFO.:			GB 1991-25970	A 19911206
			US 1992-978477	B1 19921118
OTHER SOURCE(S):	MARPAT 119:203405			
GRAPHIC IMAGE:				



ABSTRACT:

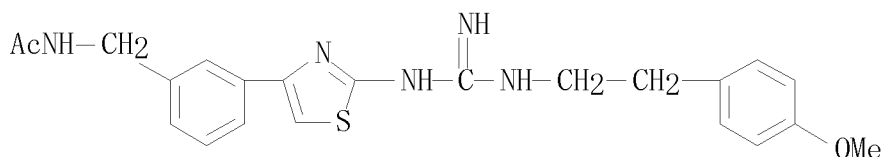
Title compds. [I; R2 = H, (substituted) alkyl; R3 = H, alkyl, alkoxy, halo; A = alkylene; Q = COR1, (substituted) carbamimidoyl; R1 = organic group], were prepared Thus, 4-(3-aminomethylphenyl)-2-(diaminomethyleneamino)thiazole dihydrochloride (preparation given) was stirred with potassium isocyanate in H2O at room temperature for 8.5 h to give title compound II. II at 1 mg/kg i.v. in rats inhibited 99% gastric acid secretion.

IT 149917-20-4P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of, as histamine H2 receptor antagonist)

RN 149917-20-4 CAPLUS

CN Acetamide, N-[[3-[2-[[imino[[2-(4-methoxyphenyl)ethyl]amino]methyl]amino]-4-thiazolyl]phenyl]methyl]- (CA INDEX NAME)



OS. CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD  
(4 CITINGS)

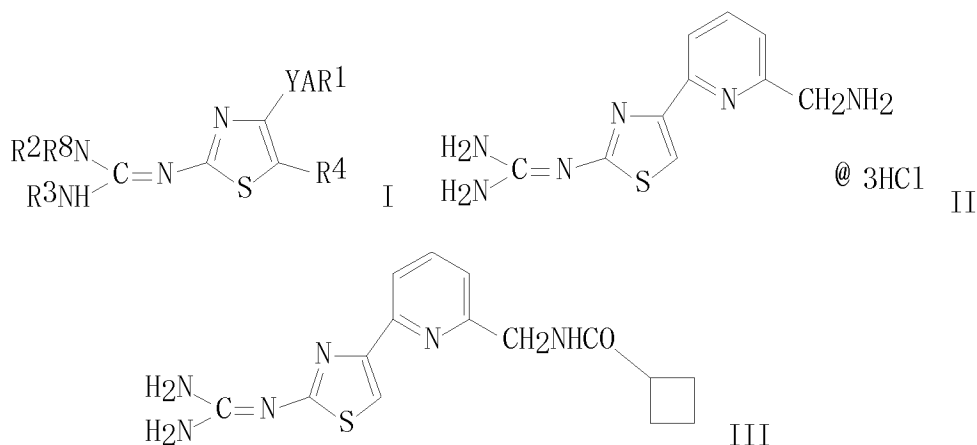
L7 ANSWER 27 OF 41 CAPLUS COPYRIGHT 2010 ACS on STN  
 ACCESSION NUMBER: 1993:234050 CAPLUS  
 DOCUMENT NUMBER: 118:234050  
 ORIGINAL REFERENCE NO.: 118:40543a, 40546a  
 TITLE: Preparation of thiazole derivatives as antiulcer and antimicrobial agents  
 INVENTOR(S): Takasugi, Hisashi; Katsura, Yousuke; Inoue, Yoshikazu; Tomishi, Tetsuo  
 PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan  
 SOURCE: PCT Int. Appl., 105 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9216526	A1	19921001	WO 1992-JP279	19920309
W: CA, JP, KR, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, MC, NL, SE				
CA 2105981	A1	19920914	CA 1992-2105981	19920309
EP 575614	A1	19931229	EP 1992-905746	19920309
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
JP 06505724	T	19940630	JP 1992-505609	19920309
CN 1089259	A	19940713	CN 1993-100376	19930102
US 5364871	A	19941115	US 1993-29359	19930310
PRIORITY APPLN. INFO.:			US 1991-668915	A 19910313
			GB 1989-20977	A 19890915
			GB 1989-28610	A 19891219
			GB 1990-12962	A 19900611
			US 1990-571151	B2 19900823
			US 1992-825832	B1 19920128
			WO 1992-JP279	W 19920309

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 118:234050

GRAPHIC IMAGE:





## ABSTRACT:

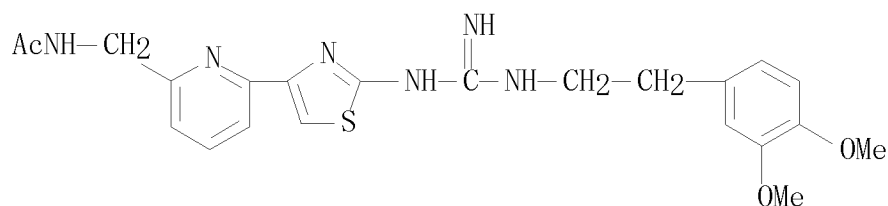
Thiazole derivs. [I; R1 = (substituted) amino, OH, halo, cyano, acyl, etc.; R2, R3, R8 = H, acyl, (substituted) alkyl, C3-7 cycloalkyl, alkenyl, alkynyl, etc.; 2 of R2, R3, and R8 may form alkylene containing optional hetero atom; R4 = H, alkyl; A = bond, alkylene; Y = (halo)pyridinediyl, thiazolediyl] are prepared. A mixture of 0.5 mL cyclobutanecarboxylic acid, 0.8 g 1-hydroxybenzotriazole hydrate, and 1.0 g Me<sub>2</sub>N(CH<sub>2</sub>)<sub>3</sub>N:C:NEt.HCl in DMF was stirred at room temperature and the mixture was added to 1.5 g thiazole salt II and Et<sub>3</sub>N in DMF with stirring at room temperature to give 0.86 g III after neutralization. Also prepared were 80 addnl. I, which showed 100% inhibition of gastric secretion at 1 mg/kg i.v. in rats and MIC of 0.78 µg/mL against *Campylobacter pyloridis* 8008.

IT 146946-81-8P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of, as antiulcer and antimicrobial agent)

RN 146946-81-8 CAPLUS

CN Acetamide, N-[[6-[2-[[[2-(3,4-dimethoxyphenyl)ethyl]amino]iminomethyl]amino]-4-thiazolyl]-2-pyridinyl]methyl]- (CA INDEX NAME)



OS. CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

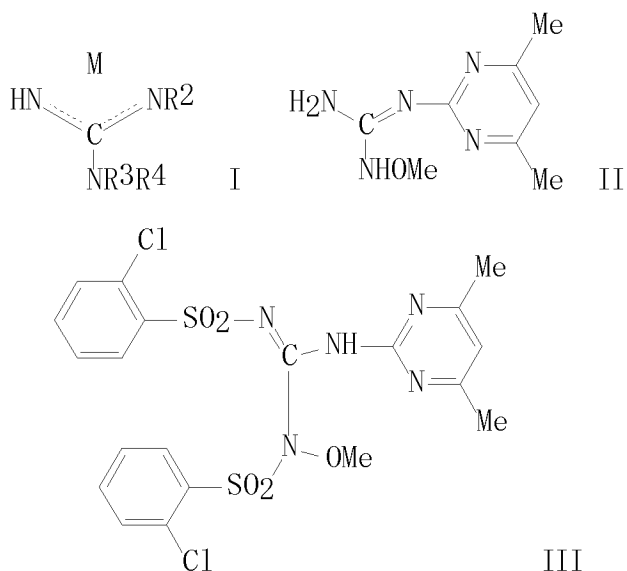
L7 ANSWER 28 OF 41 CAPLUS COPYRIGHT 2010 ACS on STN  
 ACCESSION NUMBER: 1990:497620 CAPLUS  
 DOCUMENT NUMBER: 113:97620  
 ORIGINAL REFERENCE NO.: 113:16493a,16496a  
 TITLE: Guanidinopyrimidines as herbicides and plant growth regulators and their preparation  
 INVENTOR(S): Moriya, Koichi; Pfister, Theodor; Riebel, Hans Jochem; Eue, Ludwig; Schmidt, Robert R.; Luerrsen, Klaus  
 PATENT ASSIGNEE(S): Bayer A.-G., Fed. Rep. Ger.  
 SOURCE: U.S., 84 pp. Cont.-in-part of U.S. 4,721,785.  
 CODEN: USXXAM  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 8  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4880932	A	19891114	US 1987-44083	19870429
DE 3334455	A1	19840906	DE 1983-3334455	19830923
US 4602938	A	19860729	US 1984-578345	19840209
US 4721785	A	19880126	US 1986-853822	19860418
US 4844730	A	19890704	US 1988-224973	19880727
PRIORITY APPLN. INFO.:			DE 1983-3307679	A 19830304
			DE 1983-3334455	A 19830923
			US 1984-578345	A3 19840209
			US 1986-853822	A2 19860418
			US 1987-44083	A3 19870429

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 113:97620

GRAPHIC IMAGE:



ABSTRACT:

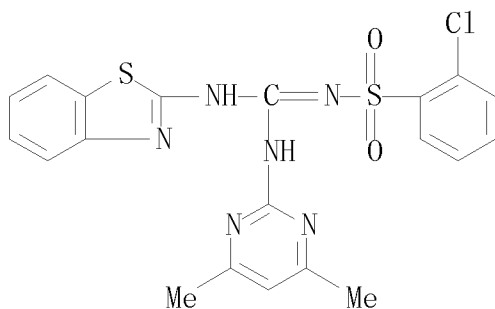
The title compds. I [R2 = (substituted) pyrimidinyl; R3 = H, C1-4 alkyl; R4 = OR8; R8 = (substituted) C1-6 alkyl, C3-6 cycloalkyl, (substituted) PhCH2; or R4 = NR9R10; R9 = H, C1-4 alkyl; R10 = (substituted) C1-4 alkyl, C3-6 alkenyl, C3-6 cycloalkyl, etc.; M = undefined] were prepared Reaction of 2-cyanoamino-4,6-dimethylpyrimidine with MeONH2.HCl gave 55% pyrimidine II. Pyrimidine III is said to show an excellent inhibitory activity against the growth of soybeans.

IT 118882-95-4P

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of, as herbicide and plant growth regulator)

RN 118882-95-4 CAPLUS

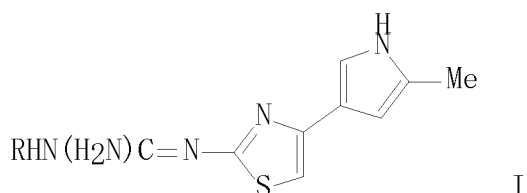
CN Benzenesulfonamide, N-[(2-benzothiazolylamino)[(4,6-dimethyl-2-pyrimidinyl)amino]methylene]-2-chloro- (CA INDEX NAME)



OS. CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

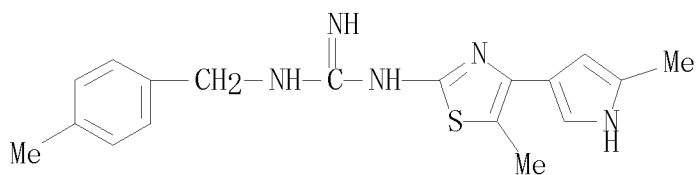
L7 ANSWER 29 OF 41 CAPLUS COPYRIGHT 2010 ACS on STN  
ACCESSION NUMBER: 1990:55688 CAPLUS  
DOCUMENT NUMBER: 112:55688  
ORIGINAL REFERENCE NO.: 112:9563a, 9566a  
TITLE: Antiulcer agents. 4-Substituted 2-guanidinothiazoles:  
reversible, competitive, and selective inhibitors of  
gastric H<sup>+</sup>, K<sup>+</sup>-ATPase  
AUTHOR(S): LaMattina, John L.; McCarthy, Peter A.; Reiter,  
Lawrence A.; Holt, William F.; Yeh, Li An  
CORPORATE SOURCE: Cent. Res. Div., Pfizer Inc., Groton, CT, 06340, USA  
SOURCE: Journal of Medicinal Chemistry (1990), 33(2), 543-52  
CODEN: JMCMAR; ISSN: 0022-2623  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
OTHER SOURCE(S): CASREACT 112:55688  
GRAPHIC IMAGE:



## ABSTRACT:

A series of 4-substituted-2-guanidinothiazoles, e.g. (I, R = PhCH<sub>2</sub>, 4-ClC<sub>6</sub>H<sub>4</sub>CH<sub>2</sub>, hexyl), is shown to inhibit the gastric proton-pump enzyme, H<sup>+</sup>, K<sup>+</sup>-ATPase. In general, these compds. were reversible inhibitors of canine gastric H<sup>+</sup>, K<sup>+</sup>-ATPase, competitive at the K<sup>+</sup>-site, and selective relative to canine renal Na<sup>+</sup>, K<sup>+</sup>-ATPase. Structure-activity relationship (SAR) studies on this series revealed no general replacement for the guanidinothiazole. On the other hand, use of pyrrolyl, Ph, and indolyl groups as the C-4 substituent yielded active compds. Extensive studies of substitution patterns on these 4-aryl groups led to more active compds., but no consistent SAR became apparent. Monosubstitution of the guanidine and substitution of the thiazole at C-5 both often led to increased activity, but combining these changes generated compds. less active than the parents. Despite 100-fold improvement in in vitro inhibitory potency, only a 3-fold increase in gastric antisecretory activity in rats was observed for these agents.

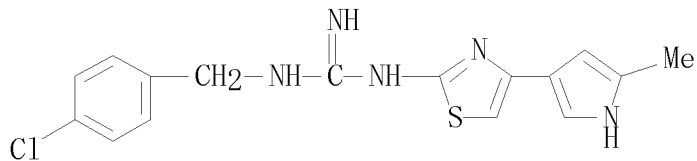
IT 115027-50-4P 123309-54-6P 123309-67-1P  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
(preparation and antiulcer activity of)  
RN 115027-50-4 CAPLUS  
CN Guanidine, N-[5-methyl-4-(5-methyl-1H-pyrrol-3-yl)-2-thiazolyl]-N'-[(4-methylphenyl)methyl]-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

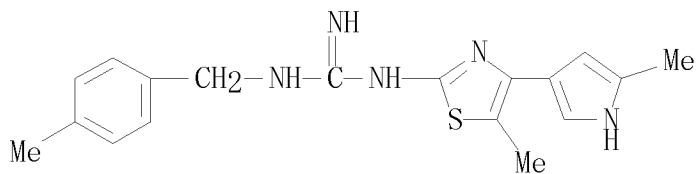
RN 123309-54-6 CAPLUS

CN Guanidine, N-[(4-chlorophenyl)methyl]-N'-[4-(5-methyl-1H-pyrrol-3-yl)-2-thiazolyl]- (CA INDEX NAME)



RN 123309-67-1 CAPLUS

CN Guanidine, N-[5-methyl-4-(5-methyl-1H-pyrrol-3-yl)-2-thiazolyl]-N'-[(4-methylphenyl)methyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 12 THERE ARE 12 CAPLUS RECORDS THAT CITE THIS RECORD (12 CITINGS)

L7 ANSWER 30 OF 41 CAPLUS COPYRIGHT 2010 ACS on STN  
 ACCESSION NUMBER: 1989:497275 CAPLUS  
 DOCUMENT NUMBER: 111:97275  
 ORIGINAL REFERENCE NO.: 111:16373a, 16376a  
 TITLE: Preparation of (sulfonylguanidino)pyrimidine  
 derivatives as herbicides  
 INVENTOR(S): Moriya, Koichi; Pfister, Theodor; Riebel, Hans Jochem;  
 Eue, Ludwig; Schmidt, Robert R.; Luerssen, Klaus  
 PATENT ASSIGNEE(S): Bayer A.-G., Fed. Rep. Ger.  
 SOURCE: U.S., 55 pp. Cont.-in-part of U.S. 4,721,785.  
 CODEN: USXXAM  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 8  
 PATENT INFORMATION:

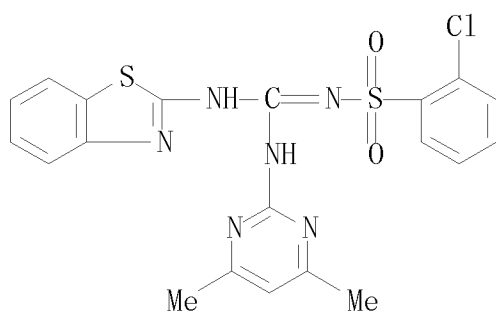
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4797484	A	19890110	US 1987-5800	19870116
DE 3334455	A1	19840906	DE 1983-3334455	19830923
US 4602938	A	19860729	US 1984-578345	19840209
US 4721785	A	19880126	US 1986-853822	19860418
PRIORITY APPLN. INFO.:			DE 1983-3307679	A 19830304
			DE 1983-3334455	A 19830923
			US 1984-578345	A3 19840209
			US 1986-853822	A2 19860418

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 111:97275  
 GRAPHIC IMAGE: For diagram(s), see printed CA Issue.  
 ABSTRACT:

The title compds. [I; R1 = H, RS0m (R = alkyl, aralkyl, aryl, heteroaryl; m = 0, 1, 2); R2 = Me, MeO; R3 = R1; R4 = alkoxy, alkenyloxy, aryl, alkyl, aryloxy, etc.; M = H, metal ion, ammonium radical], useful as herbicides, are prepared  
 Stirring a mixture of 0.15 mol guanidine derivative II and 0.3 mol 2-ClC6H4SO2Cl in pyridine at 20° gave 51% III, which showed excellent growth inhibitory activity in soybeans, barley, and cotton at 1 weight%.

IT 118882-95-4P  
 RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of, as herbicide)  
 RN 118882-95-4 CAPLUS  
 CN Benzenesulfonamide, N-[(2-benzothiazolylamino)[(4,6-dimethyl-2-pyrimidinyl)amino]methylene]-2-chloro- (CA INDEX NAME)



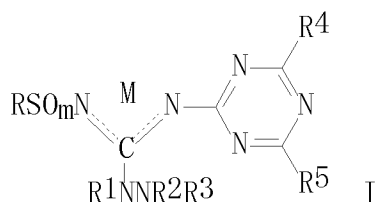
L7 ANSWER 31 OF 41 CAPLUS COPYRIGHT 2010 ACS on STN  
 ACCESSION NUMBER: 1989:90612 CAPLUS  
 DOCUMENT NUMBER: 110:90612  
 ORIGINAL REFERENCE NO.: 110:14885a, 14888a  
 TITLE: N'-(substituted-1,3,5-triazinyl)-N''-amino-N'''-(substituted-benzenesulfonyl)guanidine herbicides and plant growth regulators  
 INVENTOR(S): Diehr, Hans Joachim; Fest, Christa; Kluth, Joachim; Muller, Klaus Helmut; Pfister, Theodor; Priesnitz, Uwe; Riebel, Hans Jochem; Roy, Wolfgang; Santel, Hans Joachim; et al.  
 PATENT ASSIGNEE(S): Bayer A.-G., Fed. Rep. Ger.  
 SOURCE: U.S., 65 pp. Cont.-in-part of U.S. Ser. No. 769,222.  
 CODEN: USXXAM  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 8  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4743294	A	19880510	US 1987-41260	19870422
DE 3334455	A1	19840906	DE 1983-3334455	19830923
US 4602938	A	19860729	US 1984-578345	19840209
DE 3517821	A1	19860313	DE 1985-3517821	19850517
US 4721785	A	19880126	US 1986-853822	19860418
PRIORITY APPLN. INFO.:			DE 1983-3307679	A 19830304
			DE 1983-3334455	A 19830923
			US 1984-578345	A3 19840209
			DE 1984-3431925	A 19840830
			DE 1985-3517821	A 19850517
			US 1985-769222	A2 19850823
			US 1986-853822	A2 19860418

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 110:90612

GRAPHIC IMAGE:

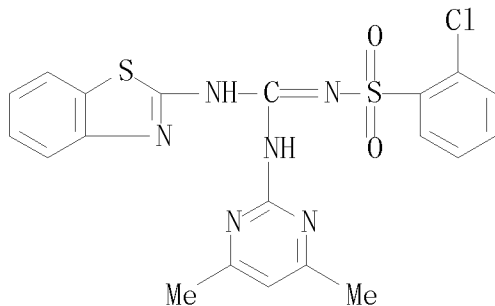


#### ABSTRACT:

The title compds. I [R = alkyl, haloalkyl, (un)substituted Ph, quinolinyl, pyrrolyl, furyl or thienyl; R<sub>1</sub> = H, (un)substituted alkyl, etc.; R<sub>2</sub> = H, alkyl, R<sub>3</sub> = R<sub>2</sub>, alkenyl, alkynyl, (un)substituted Ph; NR<sub>2</sub>R<sub>3</sub> = heterocyclyl; R<sub>4</sub>, R<sub>5</sub> = alkyl, alkoxy, etc.; M = H, metal, NH<sub>4</sub>, etc.; m = 0, 1, 2] and I acid adducts which are herbicides and plant growth regulators, were prepared. One part I (R = 2-ClC<sub>6</sub>H<sub>4</sub>, R<sub>1</sub> = M = H, R<sub>2</sub> = R<sub>3</sub> = R<sub>4</sub> = Me, R<sub>5</sub> = OMe, n = 2) formulated with 5 parts acetone and 1 part alkylaryl polyglycol ether controlled unspecified weed species when supplied pre- or postemergence.



IT 118882-95-4P  
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of, as herbicide and plant growth regulator)  
RN 118882-95-4 CAPLUS  
CN Benzenesulfonamide, N-[(2-benzothiazolylamino)[(4,6-dimethyl-2-pyrimidinyl)amino]methylene]-2-chloro- (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

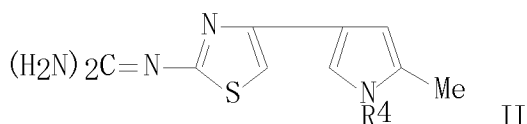
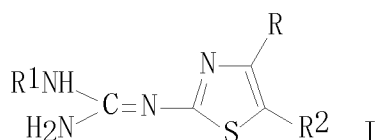
L7 ANSWER 32 OF 41 CAPLUS COPYRIGHT 2010 ACS on STN  
 ACCESSION NUMBER: 1988:422963 CAPLUS  
 DOCUMENT NUMBER: 109:22963  
 ORIGINAL REFERENCE NO.: 109:3929a, 3932a  
 TITLE: Preparation of 2-guanidino-4-arylthiazoles for treatment of peptic ulcers  
 INVENTOR(S): Lamattina, John Lawrence; McCarthy, Peter Andrew; Reiter, Lawrence Alan  
 PATENT ASSIGNEE(S): Pfizer Inc., USA  
 SOURCE: Eur. Pat. Appl., 49 pp.  
 CODEN: EPXXDW  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 259085	A1	19880309	EP 1987-307495	19870825
EP 259085	B1	19910821		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
AT 66477	T	19910915	AT 1987-307495	19870825
ES 2031514	T3	19921216	ES 1987-307495	19870825
JP 63063678	A	19880322	JP 1987-214960	19870828
JP 06033258	B	19940502		
DK 8704516	A	19880513	DK 1987-4516	19870828
US 5026715	A	19910625	US 1989-426455	19891020
PRIORITY APPLN. INFO.:			WO 1986-US1795	A 19860829
			EP 1987-307495	A 19870825
			US 1988-178058	B1 19880404

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 109:22963; MARPAT 109:22963

GRAPHIC IMAGE:



#### ABSTRACT:

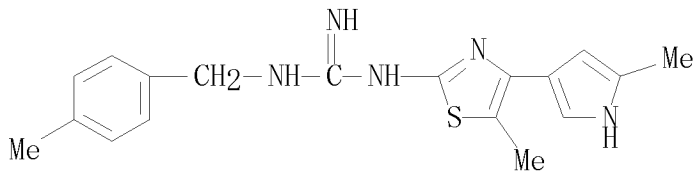
The title compds. [I; R = C-attached (un)substituted pyrrolyl, indolyl; R1 = H, C1-10 alkyl, R3(CH2)n, (un)substituted Ph; R2 = H, C1-4 alkyl; R3 = (un)substituted Ph, naphthyl, thienyl, pyridyl, pyrimidinyl, thiazolyl, imidazolyl; n = 1-4] and their pharmaceutically acceptable salts were prepared as inhibitors of gastric parietal cell H<sup>+</sup>/K<sup>+</sup> ATPase, useful for prophylaxis or treatment of peptic ulcers in mammals. 2-Methyl-1-(phenylsulfonyl)pyrrole underwent Friedel-Crafts acylation with Ac<sub>2</sub>O to give a mixture of 60% 3-acetyl- and 24% 4-acetyl-2-methyl-1-(phenylsulfonyl)pyrrole. The latter was treated with Br in CHCl<sub>3</sub> to give 59% 4-(bromoacetyl) derivative which was cyclocondensed with H<sub>2</sub>NC(:NH)NHCSNH<sub>2</sub> in acetone to give 85% thiazolylguanidine II.HBr (R<sub>4</sub> = PhSO<sub>2</sub>). This was refluxed in methanolic KOH to give 96% II (R<sub>4</sub> = H) (III). III inhibited ATPase from canine gastric mucosa with an IC<sub>50</sub> of 15 + 10<sup>-6</sup>M and 30 mg III/kg in rats completely inhibited EtOH-induced gastric ulceration.

IT 115027-50-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of, as ulcer inhibitor)

RN 115027-50-4 CAPLUS

CN Guanidine, N-[5-methyl-4-(5-methyl-1H-pyrrol-3-yl)-2-thiazolyl]-N'-[(4-methylphenyl)methyl]-, hydrochloride (1:1) (CA INDEX NAME)



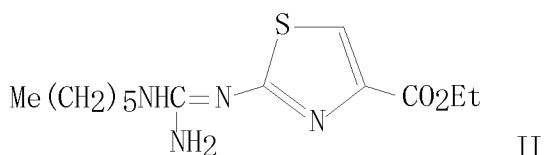
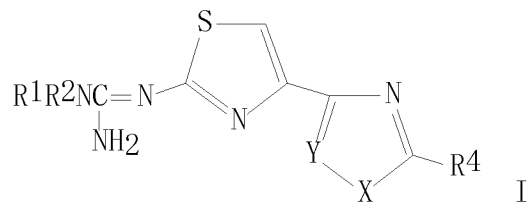
● HCl

OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD  
(9 CITINGS)

L7 ANSWER 33 OF 41 CAPLUS COPYRIGHT 2010 ACS on STN  
 ACCESSION NUMBER: 1986:168456 CAPLUS  
 DOCUMENT NUMBER: 104:168456  
 ORIGINAL REFERENCE NO.: 104:26691a, 26694a  
 TITLE: 2-(N-Substituted-guanidino)-4-heteroarylthiazole  
 antiulcer agents  
 INVENTOR(S): Reiter, Lawrence Alan  
 PATENT ASSIGNEE(S): Pfizer Inc., USA  
 SOURCE: Eur. Pat. Appl., 66 pp.  
 CODEN: EPXXDW  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 161841	A1	19851121	EP 1985-302844	19850424
EP 161841	B1	19890719		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
US 4560690	A	19851224	US 1984-605510	19840430
IN 165501	A1	19891104	IN 1985-DE244	19850322
AT 44741	T	19890815	AT 1985-302844	19850424
CS 248741	B2	19870212	CS 1985-3042	19850425
CS 248750	B2	19870212	CS 1985-7163	19850425
DD 233374	A5	19860226	DD 1985-275638	19850426
PL 145213	B1	19880831	PL 1985-253107	19850426
PL 146070	B1	19881231	PL 1985-257845	19850426
CA 1262352	A1	19891017	CA 1985-480150	19850426
CN 85103265	A	19861210	CN 1985-103265	19850427
CN 1012365	B	19910417		
DK 8501908	A	19851031	DK 1985-1908	19850429
DK 165693	B	19930104		
DK 165693	C	19930607		
FI 8501683	A	19851031	FI 1985-1683	19850429
FI 81096	B	19900531		
FI 81096	C	19900910		
NO 8501695	A	19851031	NO 1985-1695	19850429
NO 164097	B	19900521		
NO 164097	C	19900829		
AU 8541790	A	19851107	AU 1985-41790	19850429
AU 554271	B2	19860814		
HU 37787	A2	19860228	HU 1985-1646	19850429
HU 198300	B	19890928		
ZA 8503161	A	19861230	ZA 1985-3161	19850429
SU 1380614	A3	19880307	SU 1985-3884505	19850429
IL 75038	A	19880731	IL 1985-75038	19850429
JP 60239474	A	19851128	JP 1985-93524	19850430
JP 63016387	B	19880408		
SU 1400508	A3	19880530	SU 1986-4027210	19860402
IN 173937	A1	19940813	IN 1987-DE939	19871027
PRIORITY APPLN. INFO.:			US 1984-605510	A 19840430
			IN 1985-DE244	A1 19850322
			EP 1985-302844	A 19850424

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT  
 OTHER SOURCE(S): CASREACT 104:168456; MARPAT 104:168456  
 GRAPHIC IMAGE:



## ABSTRACT:

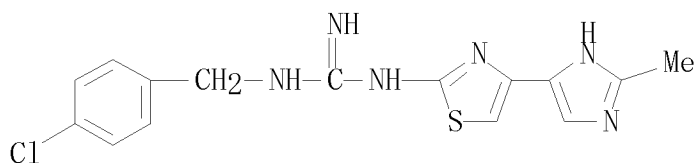
The title compds. [I: R1 = alkyl, R3C6H3, R5(CH2)<sub>n</sub>; R2 = H, alkyl; R4 = H, alkyl, HOCH2, NH2; R3 = H, alkoxy carbonyl, alkanoyl, Br, Cl, F, iodo, Me, MeO, NO2, NH2, OH, cyano; R5 = (un)substituted Ph, naphthyl, furyl, thienyl, pyridyl, pyrimidinyl, thiazolyl, imidazolyl; X = NH, Y = CH, N; X = S, Y = CH; n = 1-4] were prepared. Thus, hexylamine-HCl was condensed with HN(CN)<sub>2</sub> to give Me(CH<sub>2</sub>)<sub>5</sub>NHC(NH<sub>2</sub>):NCN which was treated with H<sub>2</sub>S to give Me(CH<sub>2</sub>)<sub>5</sub>NHC(NH<sub>2</sub>):NCSNH<sub>2</sub>. The latter was cyclocondensed with BrCH<sub>2</sub>COCOC<sub>2</sub>H<sub>5</sub> to give thiazolecarboxylate II. This was converted to its hydrazide and cyclocondensed with MeCSNH<sub>2</sub> to give I (R1 = hexyl, R2 = H, R4 = Me, X = NH, Y = N). Selected I are histamine H<sub>2</sub>-receptor antagonists with pA<sub>2</sub> ≥ 6.9 in guinea pig atria tissue; in rats at 30 mg/kg orally, I gave ≥77% inhibition of EtOH-induced ulcers.

IT	<u>101189-68-8P</u>	<u>101189-69-9P</u>	<u>101189-70-2P</u>
	<u>101189-71-3P</u>	<u>101189-72-4P</u>	<u>101189-73-5P</u>
	<u>101189-74-6P</u>	<u>101189-75-7P</u>	<u>101189-76-8P</u>
	<u>101189-77-9P</u>		

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of, as gastric secretion and ulcer inhibitor)

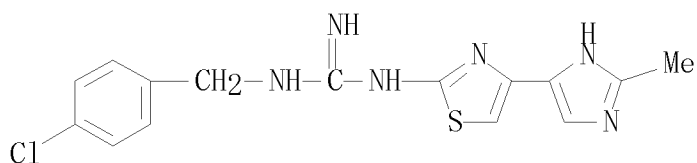
RN 101189-68-8 CAPLUS

CN Guanidine, N-[(4-chlorophenyl)methyl]-N'-[4-(2-methyl-1H-imidazol-4-yl)-2-thiazolyl]- (9CI) (CA INDEX NAME)



RN 101189-69-9 CAPLUS

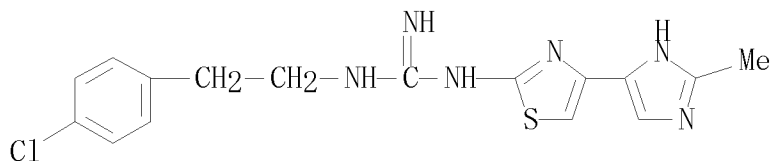
CN Guanidine, N-[(4-chlorophenyl)methyl]-N'-[4-(2-methyl-1H-imidazol-4-yl)-2-thiazolyl]-, dihydrobromide (9CI) (CA INDEX NAME)



●2 HBr

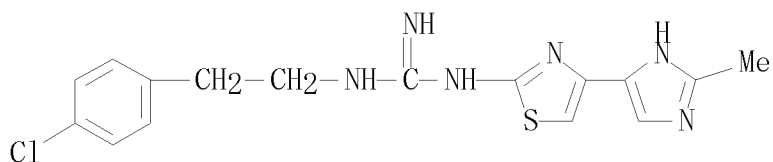
RN 101189-70-2 CAPLUS

CN Guanidine, N-[2-(4-chlorophenyl)ethyl]-N'-[4-(2-methyl-1H-imidazol-4-yl)-2-thiazolyl]- (9CI) (CA INDEX NAME)



RN 101189-71-3 CAPLUS

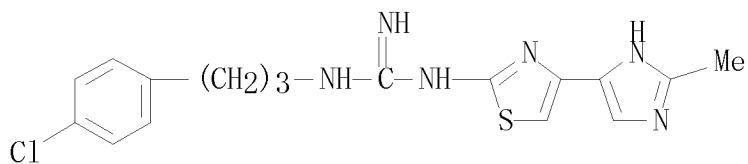
CN Guanidine, N-[2-(4-chlorophenyl)ethyl]-N'-[4-(2-methyl-1H-imidazol-4-yl)-2-thiazolyl]-, dihydrobromide (9CI) (CA INDEX NAME)



●2 HBr

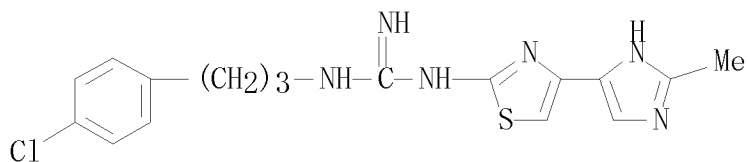
RN 101189-72-4 CAPLUS

CN Guanidine, N-[3-(4-chlorophenyl)propyl]-N'-[4-(2-methyl-1H-imidazol-4-yl)-2-thiazolyl]- (9CI) (CA INDEX NAME)



RN 101189-73-5 CAPLUS

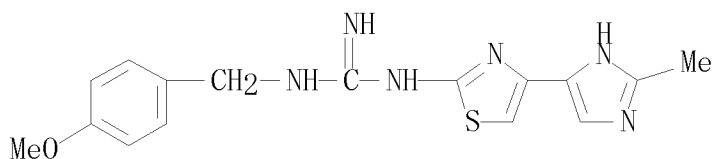
CN Guanidine, N-[3-(4-chlorophenyl)propyl]-N'-[4-(2-methyl-1H-imidazol-4-yl)-2-thiazolyl]-, dihydrobromide (9CI) (CA INDEX NAME)



●2 HBr

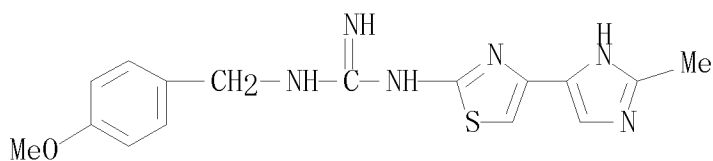
RN 101189-74-6 CAPLUS

CN Guanidine, N-[(4-methoxyphenyl)methyl]-N'-[4-(2-methyl-1H-imidazol-4-yl)-2-thiazolyl]- (9CI) (CA INDEX NAME)



RN 101189-75-7 CAPLUS

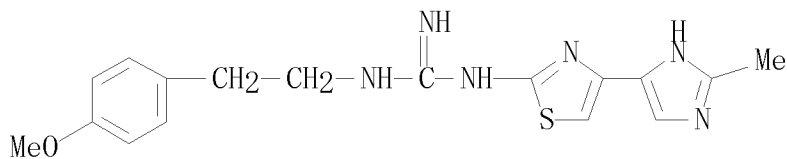
CN Guanidine, N-[(4-methoxyphenyl)methyl]-N'-[4-(2-methyl-1H-imidazol-4-yl)-2-thiazolyl]-, dihydrobromide (9CI) (CA INDEX NAME)



●2 HBr

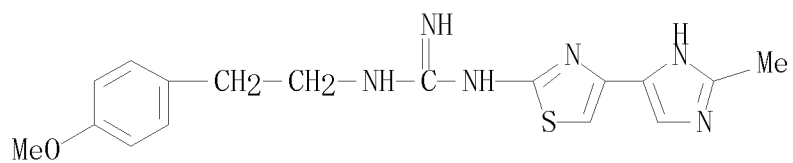
RN 101189-76-8 CAPLUS

CN Guanidine, N-[2-(4-methoxyphenyl)ethyl]-N'-[4-(2-methyl-1H-imidazol-4-yl)-2-thiazolyl]- (9CI) (CA INDEX NAME)



RN 101189-77-9 CAPLUS

CN Guanidine, N-[2-(4-methoxyphenyl)ethyl]-N'-[4-(2-methyl-1H-imidazol-4-yl)-2-thiazolyl]-, dihydrobromide (9CI) (CA INDEX NAME)



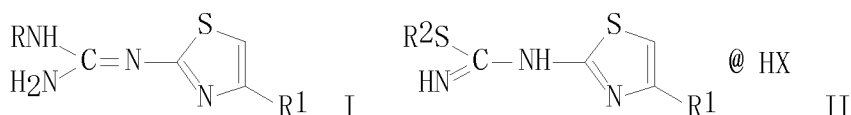
●2 HBr

OS. CITING REF COUNT: 12 THERE ARE 12 CAPLUS RECORDS THAT CITE THIS  
RECORD (12 CITINGS)



L7 ANSWER 34 OF 41 CAPLUS COPYRIGHT 2010 ACS on STN  
 ACCESSION NUMBER: 1984:407147 CAPLUS  
 DOCUMENT NUMBER: 101:7147  
 ORIGINAL REFERENCE NO.: 101:1222h, 1223a  
 TITLE: N-Substituted guanidinothiazole derivatives  
 PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 10 pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

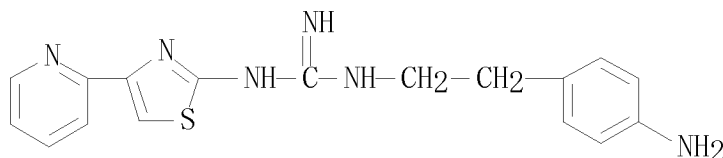
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 59036674	A	19840228	JP 1982-147274	19820825
PRIORITY APPLN. INFO.:			JP 1982-147274	19820825
GRAPHIC IMAGE:				



## ABSTRACT:

Twenty-nine guanidinothiazole derivs. (I; R = alkyl, aralkyl, heterocyclalkyl; R1 = 2-pyridyl, 2-furyl), effective antisecretory agents at 10-50 mg/kg, were prepared by substitution of II (R2 = alkyl, X = halo) with RNH2. Thus, refluxing 1.0 g II (R1 = 2-pyridyl, R2 = Me, X = I) with 3.2 g 2-(2-aminoethyl)pyridine in EtOH gave 0.75 g I. 3HCl [R = 2-(2-pyridyl)ethyl; R1 = 2-pyridyl].

IT 90489-10-4P 90489-12-6P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)  
 RN 90489-10-4 CAPLUS  
 CN Guanidine, N-[2-(4-aminophenyl)ethyl]-N'-[4-(2-pyridinyl)-2-thiazolyl]-, trihydrochloride (9CI) (CA INDEX NAME)



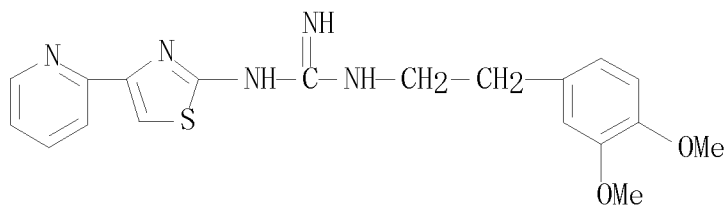
●3 HCl

RN 90489-12-6 CAPLUS  
 CN Guanidine, N-[2-(3,4-dimethoxyphenyl)ethyl]-N'-[4-(2-pyridinyl)-2-thiazolyl]-, (2Z)-2-butenedioate (1:1) (CA INDEX NAME)

CM 1

CRN 90489-11-5

CMF C19 H21 N5 O2 S

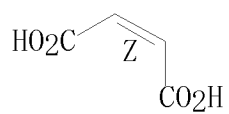


CM 2

CRN 110-16-7

CMF C4 H4 O4

Double bond geometry as shown.



L7 ANSWER 35 OF 41 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1969:77845 CAPLUS

DOCUMENT NUMBER: 70:77845

ORIGINAL REFERENCE NO.: 70:14525a

TITLE: Fungicides. XV. Synthesis of  
2-amino-4,5,6,7-tetrahydrobenzothiazole derivatives  
and antifungal activity of 2-mercapto- and  
2-amino-4,5,6,7-tetrahydrobenzothiazole derivatives

AUTHOR(S): Usui, Yoshiro

CORPORATE SOURCE: Res. Develop. Div., Takeda Chem. Ind., Ltd., Osaka,  
Japan

SOURCE: Ann. Rep. Takeda Res. Lab. (1968), 27, 96-111

DOCUMENT TYPE: Journal

LANGUAGE: Japanese

ABSTRACT:

1-(3,4-Dichlorophenyl)-2-thiourea (1.1 g.) and 0.7 g. 2-chlorocyclohexanone (I) in 15 ml. EtOH is refluxed 15 hrs. and worked up to give 0.7 g. 2-(3,4-dichlorophenylamino)-4,5,6,7-tetrahydrobenzothiazole, m. 136-8° (dilute EtOH). ClCH<sub>2</sub>COCl (3.5 g.) is added dropwise to a cooled mixture of 4.7 g. 2-amino-4,5,6,7-tetrahydrobenzothiazole (II) in 20 ml. C<sub>6</sub>H<sub>6</sub> and 1.2 g. NaOH in 10 ml. H<sub>2</sub>O with stirring, and the whole stirred 2 hrs. and worked up to give 1.6 g. N-(4,5,6,7-tetrahydro-2-benzothiazolyl)-α-chloroacetamide, m. 157-8° (EtOH). ClCO<sub>2</sub>Et (2.2 g.) is added dropwise to 3.1 g. II and 1.6 g. pyridine in 20 ml. C<sub>6</sub>H<sub>6</sub>, and the whole stirred 4 hrs. and worked up to give 2.1 g. Et N-(4,5,6,7-tetrahydro-2-benzothiazolyl)-carbamate, m. 182-3° (EtOH). II (1.5 g.) and 1.2 g. ClCH<sub>2</sub>CO<sub>2</sub>Et in 10 ml. EtOH is refluxed 4 hrs., concentrated to half volume, and cooled to give 1.0 g. Et α-[(4,5,6,7-tetrahydro-2-benzothiazolyl)-amino]acetate-HCl, m. 224° (decomposition) (EtOH). II and an equimolar amount ClCH<sub>2</sub>CONHR in a 7-fold volume of EtOH is refluxed 4-6 hrs. and worked up to give the corresponding α-[(4,5,6,7-tetrahydro-2-benzothiazolyl)amino]-N-(R-substituted) acetamide-HCl (R and m.p. given): Me, 218° (EtOH); Et, 185-7°; Pr, 195°; Bu, 200-1°; n-C<sub>5</sub>H<sub>11</sub>, 197-8°; n-C<sub>6</sub>H<sub>13</sub>, 200°; CH<sub>2</sub>:CHCH<sub>2</sub>, 192°; and PhCH<sub>2</sub>, 222°. A mixture of 3.5 g. 5-nitrofurfural diacetate, 2.2 g. II, 20 ml. EtOH, and 0.2 ml. concentrated HCl is refluxed 1 hr. and worked up to give 0.3 g. 2-(5-nitrofurfurylideneamino)-4,5,6,7-tetrahydrobenzothiazole, m. 167-8° (EtOH). To 3.1 g. II in 20 ml. EtOH are added 0.8 g. NaOH in 5 ml. H<sub>2</sub>O and then 3.0 g. CS<sub>2</sub>, the whole is refluxed 6 hrs., evaporated to dryness in vacuo, 4.8 g. MeI in 20 ml. EtOH added, and the mixture refluxed 4 hrs. and worked up to give 1.0 g. Me N-(4,5,6,7-tetrahydro-2-benzothiazolyl)dithiocarbamate, m. 225° (dilute EtOH). II (1.5 g.) and 2.0 g. BzCH<sub>2</sub>Br in 20 ml. EtOH is refluxed 8 hrs., concentrated to half volume, and cooled to deposit 2.2 g. 2,3-tetramethylene-6-phenylimidazolo[2,1-b]-thiazole-HBr, m. 287-9° (EtOH). I (2.6 g.) and 2 g. ethylenethiourea in 20 ml. EtOH is refluxed 2 hrs., concentrated to half volume, and cooled to deposit 2.7 g. 2,3-tetramethylene-5,6-dihydroimidazolo[2,1-b]thiazole-HCl, m. 155-60° (hygroscopic); picrate m. 162-3°. A mixture of 2.5 g. II, 1.8 g. KOH, 1.4 g. CS<sub>2</sub>, and 25 ml. EtOH is refluxed 5 hrs. and worked up to give 0.6 g. 1,3-bis(4,5,6,7-tetrahydro-2-benzothiazolyl)-2-thiourea, m. 255° (pyridine-H<sub>2</sub>O). II (1.5 g.) and 1.4 g. PhNCS is heated on a water bath to give 2.5 g. 1-(4,5,6,7-tetrahydro-2-benzothiazolyl)-3-phenyl-2-thiourea, m. 192° (EtOH). II.HCl (1.9 g.) and 0.8 g. KCNO in 20 ml. EtOH is refluxed 10 hrs. and worked up to give 0.6 g. 1-(4,5,6,7-tetrahydro-2-benzothiazolyl)urea-HCl, m. 206° (EtOH). I (5.3 g.) and 2.7 g. 2,4-dithiobiuret (III) in 25 ml. EtOH is refluxed 6 hrs., evaporated to half volume, and cooled to deposit 2.4 g. 1-(4,5,6,7-tetrahydro-2-benzothiazolyl)-2-thiourea-

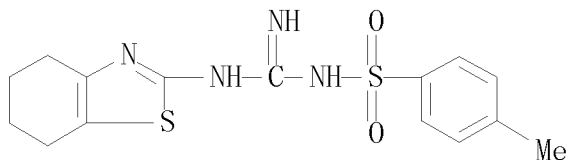
HCl, m. 240° (EtOH). I (5.3 g.) and 2.2 g. III in 25 ml. EtOH is refluxed 6 hrs. and worked up to give bis(4,5,6,7-tetrahydro-2-benzothiazolyl)amine, m. 232-3° (EtOH), and 1-(4,5,6,7-tetrahydro-2-benzothiazolyl)-2-thiourea, m. 123-14° (EtOH). III (2.7 g.) and 2.4 g. ClCH<sub>2</sub>CO<sub>2</sub>Et in 20 ml. EtOH is refluxed 30 min. and worked up to give 1.2 g. 1-(4-oxo-2-thiazolin-2-yl)-2-thiourea, m. 220°. H<sub>2</sub>NCSNH<sub>2</sub> (2.5 g.) and 5.2 g. EtI in 25 ml. EtOH is refluxed 4 hrs., evaporated to dryness in vacuo, 5.1 g. II added to the residue, and the mixture heated 40 min. at 120-5° and worked up to give 2.5 g. HI salt of 1-(4,5,6,7-tetrahydro-2-benzothiazolyl)guanidine (IV)-HI, m. 220-3° (H<sub>2</sub>O); free base m. 192-3° (dilute EtOH); diacetate m. 126° (EtOH). I (2.6 g.) and 2.4 g. guanylthiourea (V) in 20 ml. EtOH is refluxed 1.5 hrs., evaporated to 1/3 volume, and cooled to deposit 2.7 g. IV.HCl, m. 232-4° (EtOH). A mixture of 2 g. IV, 1.9 g. p-MeC<sub>6</sub>H<sub>4</sub>SO<sub>2</sub>Cl, and 0.8 g. pyridine in 20 ml. EtOH is stirred 5 hrs. at room temperature and worked up to give 2.7 g. 1-(4,5,6,7-tetrahydro-2-benzothiazolyl)-3-(p-tolylsulfonyl)-guanidine, m. 201-3° (EtOH). IV (2 g.) and 1.4 g. PhNCS is fused at 140° several min. and warmed on a water bath 1 hr. to give 2.4 g. 1-(4,5,6,7-tetrahydro-2-benzothiazolyl)-5-phenyl-guanylthiourea, m. 168° (EtOH). IV (2 g.) and 2.0 g. acetylacetone in 25 ml. MeOH is refluxed 4 hrs. to give 1.3 g. (4,5,6,7-tetrahydro-2-benzothiazolyl)(4,6-dimethyl-2-pyrimidyl)amine, m. 205-7° (HCONMe<sub>2</sub>). A mixture of EtONa (prepared from 70 ml. EtOH and 2.3 g. Na), 11.8 g. V, and 13 g. Et acetoacetate is refluxed 1 hr. and worked up to give 10.3 g. 1-(4-hydroxy-6-methyl-2-pyrimidyl)-2-thiourea (VI), m. 232° (HCONMe<sub>2</sub>H<sub>2</sub>O). VI (5 g.) in 200 ml. dioxane, 50 ml. HCONMe<sub>2</sub>, and 3.6 g. I is refluxed 5 hrs. to give 0.6 g. (4,5,6,7-tetrahydro-2-benzothiazolyl)(4-hydroxy-6-methyl-2-pyrimidyl)amine (VII), m. 350° (HCONMe<sub>2</sub>-H<sub>2</sub>O), also prepared by refluxing IV and Et acetoacetate in EtOH. Results of antifungal activity of these 2-amino and previously prepared 2-mercapto derivs. are tabulated.

IT 22420-46-8P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

RN 22420-46-8 CAPLUS

CN Benzenesulfonamide, N-[imino[(4,5,6,7-tetrahydro-2-benzothiazolyl)amino]methyl]-4-methyl- (CA INDEX NAME)



OS. CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD  
(1 CITINGS)

L7 ANSWER 36 OF 41 CAPLUS COPYRIGHT 2010 ACS on STN  
 ACCESSION NUMBER: 1966:499298 CAPLUS  
 DOCUMENT NUMBER: 65:99298  
 ORIGINAL REFERENCE NO.: 65:18572a-h  
 TITLE: Thiazoles. XLVI. Reaction products from  
 2-thiazolylcyanamides  
 AUTHOR(S): Beyer, Hans; Pommerening, Klaus  
 CORPORATE SOURCE: Univ. Greifswald, Germany  
 SOURCE: Chemische Berichte (1966), 99(9), 2937-43  
 CODEN: CHBEAM; ISSN: 0009-2940  
 DOCUMENT TYPE: Journal  
 LANGUAGE: German  
 GRAPHIC IMAGE: For diagram(s), see printed CA Issue.  
 ABSTRACT:

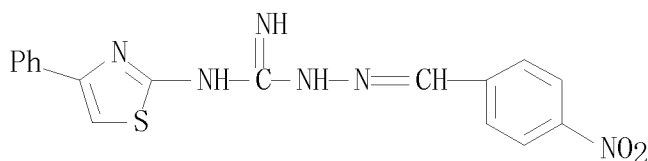
cf. preceding abstract The addition of nucleophilic reactants such as H<sub>2</sub>S, H<sub>2</sub>O, PhNH<sub>2</sub>, and N<sub>2</sub>H<sub>4</sub> to the CN group of the 2-thiazolylcyanamides (I) yielded 2-thiazolylthioureas (II), 2-thiazolylureas (III), 3-phenyl-1-(2-thiazolyl)- (IV), and 3-amino-1-(2-thiazolyl)guanidines (V). The V were converted with AcCH<sub>2</sub>CO<sub>2</sub>Et via the hydrazones (VI) to the corresponding 3-methyl-5-pyrazolones (VII). I (R = Ph, R' = H) (VIII) (1 g.) in 70 cc. aqueous (NH<sub>4</sub>)<sub>2</sub>S refluxed 0.5 hr. yielded 1.06 g. II (R = Ph, R' = H), m. 211-12° (decomposition) (EtOH). I (R = p-MeC<sub>6</sub>H<sub>4</sub>, R' = H) (0.54 g.) refluxed 45 min. with 35 cc. aqueous (NH<sub>4</sub>)<sub>2</sub>S as yielded 0.48 g. II (R = p-MeC<sub>6</sub>H<sub>4</sub>, R' = H), m. 217° (decomposition) (Me<sub>2</sub>CO). VIII (0.3 g.) in 60 cc. 25% H<sub>2</sub>SO<sub>4</sub> refluxed 25 min. with 60 cc. 25% H<sub>2</sub>SO<sub>4</sub> gave 0.28 g. III (R = Ph, R' = H) (IX), m. 226° (decomposition) (EtOH). (H<sub>2</sub>NCS)<sub>2</sub>NH (X) (1.2 g.) in 30 cc. Me<sub>2</sub>CO refluxed 1 hr. with 2 g. BzCH<sub>2</sub>Br gave 2.87 g. IX, m. 228° (decomposition) (EtOH). I (R = p-ClC<sub>6</sub>H<sub>4</sub>, R' = H) (0.59 g.) in 100 cc. 25% H<sub>2</sub>SO<sub>4</sub> refluxed 20 min. yielded 0.48 g. III (p-ClC<sub>6</sub>H<sub>4</sub>, R' = H) (XI), m. 291-2° (PrOH). X (1.2 g.) in 30 cc. refluxing Me<sub>2</sub>CO refluxed 0.5 hr. with 2.34 g. p-ClC<sub>6</sub>H<sub>4</sub>COCH<sub>2</sub>Br in 20 cc. Me<sub>2</sub>CO gave XI, m. 290-1° (decomposition). VIII (1 g.), 0.5 cc. PhNH<sub>2</sub>, and 25 cc. EtOH refluxed 3 hrs. gave 0.9 g. IV (R = Ph, R' = H), m. 177-8° (EtOH). I (R = p-BrC<sub>6</sub>H<sub>4</sub>, R' = H) (1.4 g.) gave similarly 1.34 g. IV (R = p-BrC<sub>6</sub>H<sub>4</sub>, R' = H), m. 211-12° (EtOH). The appropriate I (0.03 mole), 3 cc. 100% N<sub>2</sub>H<sub>4</sub>, H<sub>2</sub>O, and about 100 cc. EtOH refluxed 1 hr. yielded the corresponding V (R, R', % yield, and m.p. given): Ph, H (XII), 72, 169-70°; p-ClC<sub>6</sub>H<sub>4</sub> (XIII), H, 76, 178-9°; p-BrC<sub>6</sub>H<sub>4</sub>, H, 81, 180-1°; p-MeC<sub>6</sub>H<sub>4</sub>, H, 70, 177.5-8.5°; p-MeOC<sub>6</sub>H<sub>4</sub>, H, 79, 175-6°; p-BrC<sub>6</sub>H<sub>4</sub>, Me, 74, 191°; Ph, Ph (XIV), 50, 174-5° XII (0.58 g.) in 5 cc. hot 50% AcOH treated with 0.38 g. p-O<sub>2</sub>NC<sub>6</sub>H<sub>4</sub>CHO in 10 cc. EtOH and refluxed 0.5 hr. yielded 0.72 g. XV (R = Ph, R' = H), yellow-orange, m. 223-4° (decomposition) (EtOH). XIII (0.54 g.) in 10 cc. 50% AcOH refluxed 15 min. with 0.3 g. p-O<sub>2</sub>NC<sub>6</sub>H<sub>4</sub>CHO yielded 0.63 g. yellow-orange XV (R = p-ClC<sub>6</sub>H<sub>4</sub>, R' = H), m. 233-4° (decomposition). XIV (0.31 g.) gave similarly 0.36 g. red XV (R = R' = Ph), m. 250-1° (decomposition). The appropriate XV (0.01 mole) and 1.4 cc. AcCH<sub>2</sub>CO<sub>2</sub>Et in 50-200 cc. EtOH refluxed 1-2 hrs. gave the corresponding VI (R, R', % yield, and m.p. given): Ph, H, 77, 127-9°; p-ClC<sub>6</sub>H<sub>4</sub>, H, 80, 148-9°; p-BrC<sub>6</sub>H<sub>4</sub>, H, 72, 144-6°; p-MeC<sub>6</sub>H<sub>4</sub>, H, 76, 151°; p-MeOC<sub>6</sub>H<sub>4</sub>, H, 68, 117-18°; p-BrC<sub>6</sub>H<sub>4</sub>, Me, 74, 156-7°; Ph, Ph, 80, 133-4°. The appropriate VI (0.005 mole) in about 50-75 cc. EtOH refluxed 15 min. with 20 cc. 5% alc. EtONa gave the corresponding VII (same data given): Ph, H, 98, 186-7° (EtOH); p-ClC<sub>6</sub>H<sub>4</sub>, H, 93, 225-6° (EtOH); p-BrC<sub>6</sub>H<sub>4</sub>, H, 95, 225-7° (HCONMe<sub>2</sub>); p-MeC<sub>6</sub>H<sub>4</sub>, H, (XVI), 95, 217-18° (PrOH); p-MeOC<sub>6</sub>H<sub>4</sub>, H, 69, 212-14° (PrOH); p-BrC<sub>6</sub>H<sub>4</sub>, Me, 89, 198° (CCl<sub>4</sub>); Ph, Ph (XVII), 91, 177-8° (EtOH). XVI (0.63 g.) in 140 cc. refluxing EtOH treated with 0.3 g. p-Me<sub>2</sub>NC<sub>6</sub>H<sub>4</sub>NO (XVIII) in 10 cc. EtOH and refluxed 1.5 hrs. yielded 0.28 g. violet (with green lustre) XIX (R =

MeC<sub>6</sub>H<sub>4</sub>, R' = H), m. 236-7° (C<sub>5</sub>H<sub>5</sub>N). XVII (0.59 g.) in 80 cc. hot EtOH with 0.23 g. XVIII in 100 cc. EtOH refluxed 0.5 hr. gave 0.25 g. violet (with green luster) XIX (R = R' = Ph).

IT ~~7764-63-8P~~, Guanidine, 1-[(p-nitrobenzylidene)amino]-3-(4-phenyl-2-thiazolyl)- ~~7764-64-9P~~, Guanidine, 1-[4(p-chlorophenyl)-2-thiazolyl]-3-[(p-nitrobenzylidene)amino]-  
~~10013-12-4P~~, Guanidine, 1-(4,5-diphenyl-2-thiazolyl)-3-[(p-nitrobenzylidene)amino]-  
 RL: PREP (Preparation)  
 (preparation of)

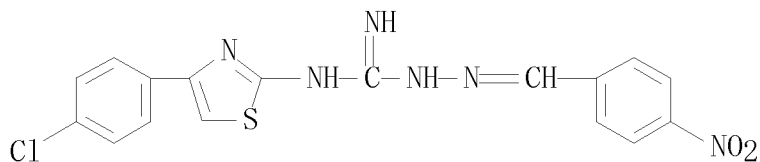
RN 7764-63-8 CAPLUS

CN Hydrazinecarboximidamide, 2-[(4-nitrophenyl)methylene]-N-(4-phenyl-2-thiazolyl)- (CA INDEX NAME)



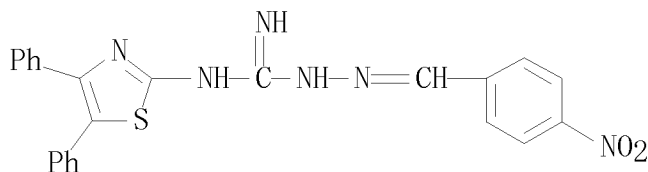
RN 7764-64-9 CAPLUS

CN Hydrazinecarboximidamide, N-[4-(4-chlorophenyl)-2-thiazolyl]-2-[(4-nitrophenyl)methylene]- (CA INDEX NAME)



RN 10013-12-4 CAPLUS

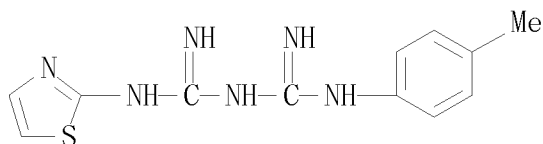
CN Hydrazinecarboximidamide, N-(4,5-diphenyl-2-thiazolyl)-2-[(4-nitrophenyl)methylene]- (CA INDEX NAME)



L7 ANSWER 37 OF 41 CAPLUS COPYRIGHT 2010 ACS on STN  
ACCESSION NUMBER: 1956:65225 CAPLUS  
DOCUMENT NUMBER: 50:65225  
ORIGINAL REFERENCE NO.: 50:12184c-e  
TITLE: Screening of antimalarials against Plasmodium  
gallinaceum in chicks  
AUTHOR(S): Misra, V. B. G.; Bami, H. L.; Ray, A. P.  
CORPORATE SOURCE: Malaria Inst. India, Delhi  
SOURCE: Journal of Scientific & Industrial Research (1955),  
14C, 173-8  
CODEN: JSIRAC; ISSN: 0022-4456  
DOCUMENT TYPE: Journal  
LANGUAGE: Unavailable  
ABSTRACT:

Methods described by Singh, et al. (Indian J. Malariol. 6, 145(1952)) were used to determine the antimalarial activity of 91 compds. Nine N1,N5-diarylbiguanides, 4 N1-aryl-N5-alkyl (or heterocyclic) biguanides, 10 dihydrotriazines, and 1 derivative each of guanidine, thiopegene and thiopega-2:9-diene showed activity equal to, or greater than, that of quinine tested under the same conditions. 1(3,4-Dichlorophenyl)-2,4-diamino-1,6-dihydro-6,6-dimethyl-1,3,5-triazine hydrochloride was 512 times more active. The dihydrotriazines in general showed high activity, the halogen-substituted derivs. being the more promising of these. As a class, N1,N5-diarylbiguanides were less active than N1-aryl-N5-alkylbiguanides and dihydrotriazines.

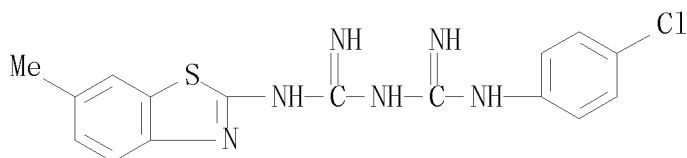
IT 878755-94-3, Biguanide, 1-(2-thiazolyl)-5-p-tolyl-,  
hydrochloride  
(antimalarial activity of)  
RN 878755-94-3 CAPLUS  
CN Imidodicarbonimidic diamide, N-(4-methylphenyl)-N'-2-thiazolyl-,  
hydrochloride (1:1) (CA INDEX NAME)



● HCl

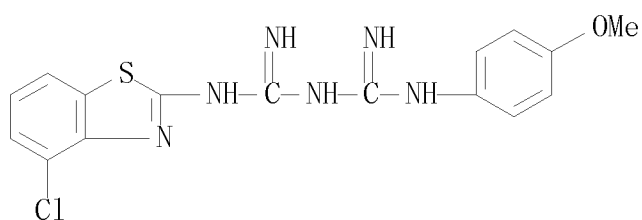
L7 ANSWER 38 OF 41 CAPLUS COPYRIGHT 2010 ACS on STN  
 ACCESSION NUMBER: 1954:22711 CAPLUS  
 DOCUMENT NUMBER: 48:22711  
 ORIGINAL REFERENCE NO. : 48:4116h-i,4117a  
 TITLE: Chemotherapy of malaria  
 AUTHOR(S): Roy, A. C.; Guha, S. S.; Keshavamurthy, N. K.;  
 Chandrasekhar, G. R.; Menon, K. P.; Guha, P. C.  
 CORPORATE SOURCE: Indian Inst. Sci., Bangalore  
 SOURCE: Journal of Scientific & Industrial Research (1953),  
 12B, 474-80  
 CODEN: JSIRAC; ISSN: 0022-4456  
 DOCUMENT TYPE: Journal  
 LANGUAGE: Unavailable  
 ABSTRACT:  
 Ninety compds. including 28 in the guanidine series, 39 in the biguanide series, 5 in the triguanide series, and 3 each of the bis(guanidine) and bis(biguanide) series have been screened for their activity against Plasmodium gallinaceum infection in chicks. None of the compds. tested was found superior to paludrine. A few compds. exhibited significant and comparative anti-malarial activity. Although no generalization is attempted the following facts are pointed out. Increasing the number of conjugated double bonds with alternate H and C atoms in guanidine and biguanide systems does not yield better antimalarials. The incorporation of bacteriostatically active sulfa groups in simple guanidine and biguanide systems leads to active compds.

IT 854304-78-2, Biguanide, 1-(p-chlorophenyl)-5-(6-methyl-2-benzothiazolyl)- 858812-91-6, Biguanide, 1-(4-chloro-2-benzothiazolyl)-5-(p-methoxyphenyl)-, hydrochloride 873996-02-2, Biguanide, 1-(2-benzothiazolyl)-5-p-tolyl- 878754-91-7, Biguanide, 1-(2-benzothiazolyl)-5-(p-methoxyphenyl)-, hydrochloride 878754-92-8, Biguanide, 1-(2-benzothiazolyl)-5-(p-chlorophenyl)-, hydrochloride 878755-10-3, Biguanide, 1-(p-chlorophenyl)-5-(6-methoxy-2-benzothiazolyl)-, hydrochloride 878755-21-6, Biguanide, 1-(p-methoxyphenyl)-5-(6-methyl-2-benzothiazolyl)-, hydrochloride 878755-22-7, Biguanide, 1-(6-methoxy-2-benzothiazolyl)-5-p-tolyl-, hydrochloride  
 (in malaria treatment)  
 RN 854304-78-2 CAPLUS  
 CN Imidodicarbonimidic diamide, N-(4-chlorophenyl)-N'-(6-methyl-2-benzothiazolyl)- (CA INDEX NAME)



RN 858812-91-6 CAPLUS  
 CN Imidodicarbonimidic diamide, N-(4-chloro-2-benzothiazolyl)-N'-(4-methoxyphenyl)-, hydrochloride (1:1) (CA INDEX NAME)

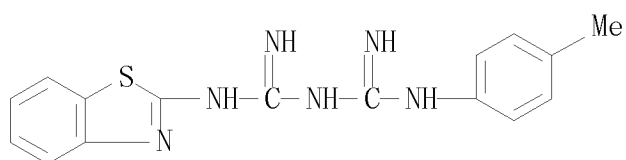




● HCl

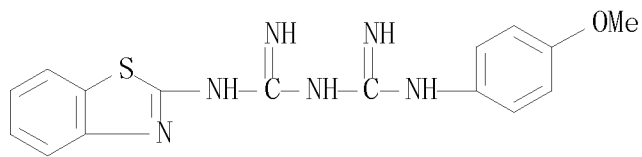
RN 873996-02-2 CAPLUS

CN Imidodicarbonimidic diamide, N-2-benzothiazolyl-N'-(4-methylphenyl)- (CA INDEX NAME)



RN 878754-91-7 CAPLUS

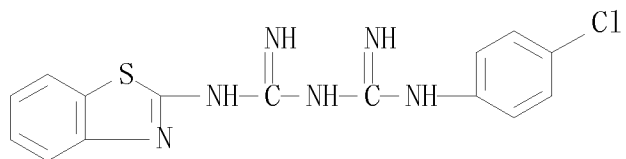
CN Imidodicarbonimidic diamide, N-2-benzothiazolyl-N'-(4-methoxyphenyl)-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

RN 878754-92-8 CAPLUS

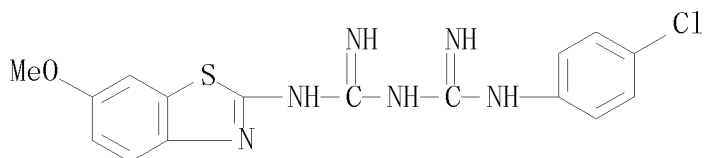
CN Imidodicarbonimidic diamide, N-2-benzothiazolyl-N'-(4-chlorophenyl)-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

RN 878755-10-3 CAPLUS

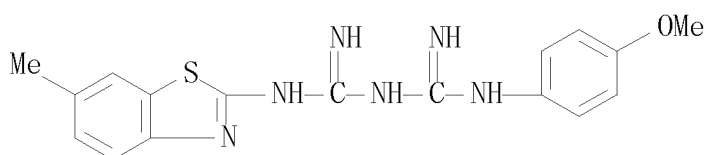
CN Imidodicarbonimidic diamide, N-(4-chlorophenyl)-N'-(6-methoxy-2-benzothiazolyl)-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

RN 878755-21-6 CAPLUS

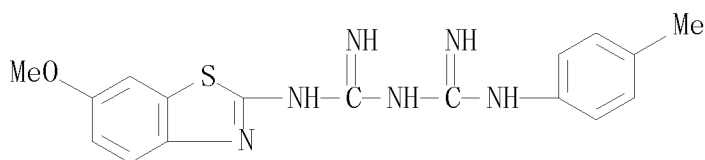
CN Imidodicarbonimidic diamide, N-(4-methoxyphenyl)-N'-(6-methyl-2-benzothiazolyl)-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

RN 878755-22-7 CAPLUS

CN Imidodicarbonimidic diamide, N-(6-methoxy-2-benzothiazolyl)-N'-(4-methylphenyl)-, hydrochloride (1:1) (CA INDEX NAME)



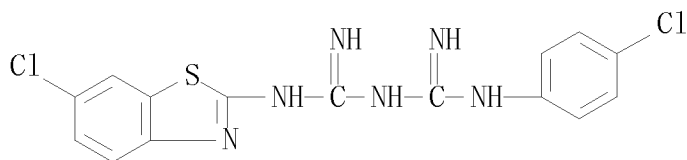
● HCl

L7 ANSWER 39 OF 41 CAPLUS COPYRIGHT 2010 ACS on STN  
 ACCESSION NUMBER: 1954:18305 CAPLUS  
 DOCUMENT NUMBER: 48:18305  
 ORIGINAL REFERENCE NO.: 48:3345g-i  
 TITLE: Some 2-benzothiazolyl biguanides as possible  
 antimalarials  
 AUTHOR(S): Guha, J. R.; Guha, P. C.  
 CORPORATE SOURCE: Indian Inst. Sci., Bangalore  
 SOURCE: Current Science (1952), 21, 340-1  
 CODEN: CUSCAM; ISSN: 0011-3891  
 DOCUMENT TYPE: Journal  
 LANGUAGE: Unavailable  
 GRAPHIC IMAGE: For diagram(s), see printed CA Issue.

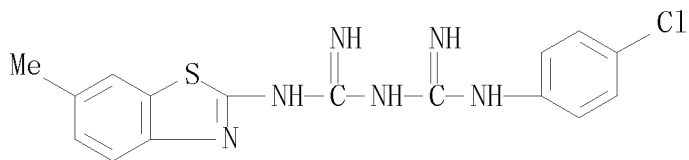
## ABSTRACT:

Biguanide derivs. (I), where R = H, Cl, Me, or MeO and R' = aryl groups have been made from 2-aminobenzothiazole-HCl with the appropriate arylcyanoguanidine in suitable solvents. The biguanides were isolated as stable crystalline mono-HCl salts from which the free bases were isolated by treatment with dilute NaOH and recrystn. from dilute EtOH. None of the compds. synthesized suppressed the activity of Plasmodium gallinaceum malaria in chicks. The I.HCl made included the following (R, R', and m.p. given): H, Ph (free base), 104-5°; H, p-ClC6H4, 198-9°; H, p-MeOC6H4, 193-4°; H, p-MeC6H4, 128°; Cl, Ph, 196-8°; Cl, p-ClC6H4, 206°; MeO, Ph, 195°; MeO, p-ClC6H4, 199-200°; MeO, p-MeC6H4, 124-5°; Me, p-ClC6H4, 203-4°; Me, p-MeC6H4, 194-5°; Me, p-MeOC6H4, 187°.

IT 854214-02-1P, Biguanide,  
 1-(6-chloro-2-benzothiazolyl)-5-(p-chlorophenyl)-, hydrochloride  
 854214-62-3P, Biguanide, 1-(p-chlorophenyl)-5-(6-methyl-2-  
 benzothiazolyl)-, hydrochloride 854232-43-2P, Biguanide,  
 1-(6-methyl-2-benzothiazolyl)-5-p-tolyl-, hydrochloride  
 873996-02-2P, Biguanide, 1-(2-benzothiazolyl)-5-p-tolyl-  
 878754-91-7P, Biguanide, 1-(2-benzothiazolyl)-5-(p-methoxyphenyl)-  
 , hydrochloride 878754-92-8P, Biguanide,  
 1-(2-benzothiazolyl)-5-(p-chlorophenyl)-, hydrochloride  
 878755-10-3P, Biguanide, 1-(p-chlorophenyl)-5-(6-methoxy-2-  
 benzothiazolyl)-, hydrochloride 878755-21-6P, Biguanide,  
 1-(p-methoxyphenyl)-5-(6-methyl-2-benzothiazolyl)-, hydrochloride  
 878755-22-7P, Biguanide, 1-(6-methoxy-2-benzothiazolyl)-5-p-tolyl-  
 , hydrochloride  
 RL: PREP (Preparation)  
 (preparation of)  
 RN 854214-02-1 CAPLUS  
 CN Imidodicarbonimidic diamide, N-(6-chloro-2-benzothiazolyl)-N'-(4-  
 chlorophenyl)-, hydrochloride (1:1) (CA INDEX NAME)

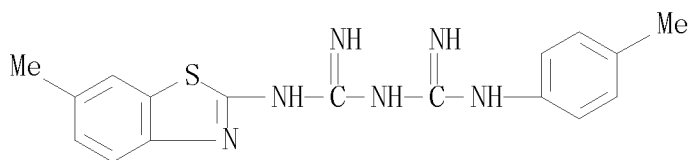


RN 854214-62-3 CAPLUS  
CN Imidodicarbonimidic diamide, N-(4-chlorophenyl)-N'-(6-methyl-2-benzothiazolyl)-, hydrochloride (1:1) (CA INDEX NAME)



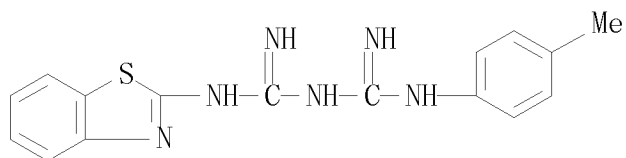
● HCl

RN 854232-43-2 CAPLUS  
CN Imidodicarbonimidic diamide, N-(6-methyl-2-benzothiazolyl)-N'-(4-methylphenyl)-, hydrochloride (1:1) (CA INDEX NAME)

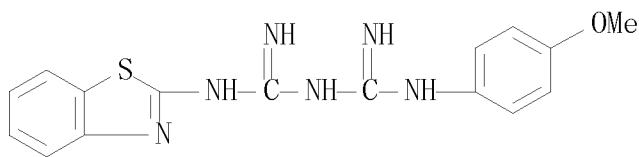


● HCl

RN 873996-02-2 CAPLUS  
CN Imidodicarbonimidic diamide, N-2-benzothiazolyl-N'-(4-methylphenyl)- (CA INDEX NAME)



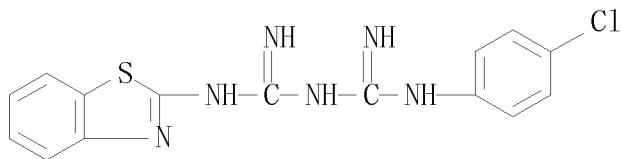
RN 878754-91-7 CAPLUS  
CN Imidodicarbonimidic diamide, N-2-benzothiazolyl-N'-(4-methoxyphenyl)-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

RN 878754-92-8 CAPLUS

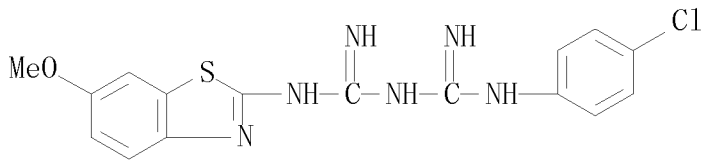
CN Imidodicarbonimidic diamide, N-2-benzothiazolyl-N'-(4-chlorophenyl)-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

RN 878755-10-3 CAPLUS

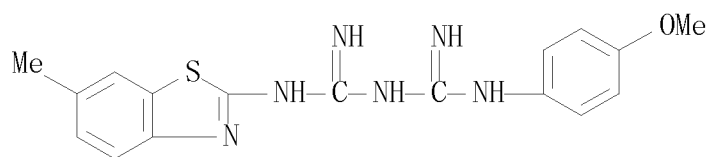
CN Imidodicarbonimidic diamide, N-(4-chlorophenyl)-N'-(6-methoxy-2-benzothiazolyl)-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

RN 878755-21-6 CAPLUS

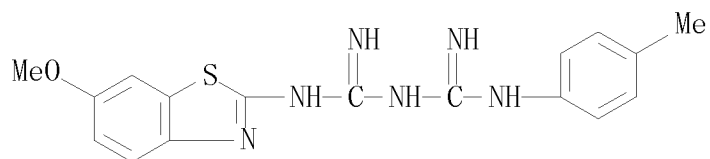
CN Imidodicarbonimidic diamide, N-(4-methoxyphenyl)-N'-(6-methyl-2-benzothiazolyl)-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

RN 878755-22-7 CAPLUS

CN Imidodicarbonimidic diamide, N-(6-methoxy-2-benzothiazolyl)-N'-(4-methylphenyl)-, hydrochloride (1:1) (CA INDEX NAME)

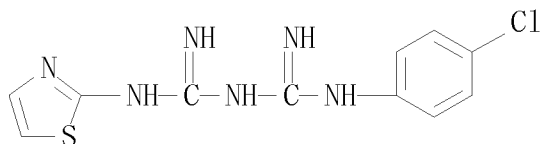


● HCl

L7 ANSWER 40 OF 41 CAPLUS COPYRIGHT 2010 ACS on STN  
 ACCESSION NUMBER: 1950:57639 CAPLUS  
 DOCUMENT NUMBER: 44:57639  
 ORIGINAL REFERENCE NO.: 44:10933c-e  
 TITLE: Screening of some biguanide derivatives for  
 antimalarial activity  
 AUTHOR(S): Singh, Jaswant; Ray, A. P.; Nair, C. P.; Basu, P. C.  
 CORPORATE SOURCE: Malaria Inst. India, Delhi  
 SOURCE: Indian Journal of Malariology (1949), 3, 405-12  
 CODEN: IJMAA9; ISSN: 0367-8326  
 DOCUMENT TYPE: Journal  
 LANGUAGE: Unavailable  
 ABSTRACT:

The compds. were tested against Plasmodium gallinaceum in chicks and P. knowlesi in monkeys. The compds. were: 1-[p-chloro (or bromo)-phenyl]-5-[p-phenylsulfonamido]biguanide-HCl, 1-[p-chlorophenyl]-5-[p-2-thiazolyl (2-pyrimidyl, 4-methyl-2-pyrimidyl, or 4,6-dimethyl-2-pyrimidyl)phenylsulfonamido]biguanide-HCl, 1-[p-chlorophenyl]-5-[m-(5-chloro-2-pyrimidyl)phenylsulfonamido]biguanide-HCl, 1-[p-chlorophenyl]-5-[2-thiazolyl]biguanide-HCl, 1-[m-chlorophenyl]-5-isopropylbiguanide-HCl, 1-[p-bromophenyl]-5-isopropylbiguanide-HCl (I), and 1-[2,4-dichlorophenyl]-5-isoamylbiguanide-HCl. I was found to have the most activity coupled with nontoxicity.

IT 878755-09-0, Biguanide, 1-(p-chlorophenyl)-5-(2-thiazolyl)-,  
 hydrochloride  
 (antimalarial activity of)  
 RN 878755-09-0 CAPLUS  
 CN Imidodicarbonimidic diamide, N-(4-chlorophenyl)-N'-2-thiazolyl-,  
 hydrochloride (1:1) (CA INDEX NAME)

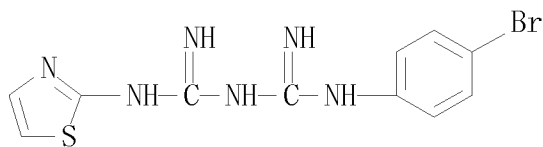


● HCl

L7 ANSWER 41 OF 41 CAPLUS COPYRIGHT 2010 ACS on STN  
 ACCESSION NUMBER: 1949:31726 CAPLUS  
 DOCUMENT NUMBER: 43:31726  
 ORIGINAL REFERENCE NO.: 43:5777c-d  
 TITLE: Antimalarials: some N1-aryl-N5-heterocyclic biguanides  
 AUTHOR(S): Bami, H. L.; Guha, P. C.  
 SOURCE: Science and Culture (1949), 14, 386-7  
 CODEN: SCINAL; ISSN: 0036-8156  
 DOCUMENT TYPE: Journal  
 LANGUAGE: Unavailable  
 ABSTRACT:

By condensing the required arylcyanoguanidine with 2-aminothiazole-HCl, 1-phenyl-5-(2-thiazolyl)biguanides with the following substituents in the para position of the Ph radical were prepared as the HCl salts: H, m. 260° (decomposition); Cl (I), m. 294° (decomposition); Br, m. 183°; I, m. 285° (decomposition); Me, m. 202°; MeO, m. 307° (decomposition); NO<sub>2</sub>, m. 240° (decomposition); H, 2,3-dimethyl, m. 251°. I was slightly active against avian malaria but was toxic. Attempts to condense p-ClC<sub>6</sub>H<sub>4</sub>NHC(:NH)NHCN with 4-methyl-2-aminothiazole, 6-methyl-2-aminothiazole, 5-amino-2-chloro-7-methoxyacridine, 2-amino-6-hydroxy-4-methylpyrimidine, 2-amino-6-chloro-4-methylpyrimidine, and 2-amino-4-methylpyrimidine were unsuccessful.

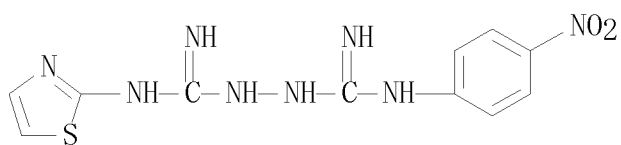
IT 854214-09-8P, Biguanide, 1-(p-bromophenyl)-5-(2-thiazolyl)-, hydrochloride 854230-87-8P, Biguanide, 1-(p-nitrophenyl)-5-(2-thiazolyl)-, hydrochloride 854231-21-3P, Biguanide, 1-(p-methoxyphenyl)-5-(2-thiazolyl)-, hydrochloride 858812-79-0P, Biguanide, 1-(2-thiazolyl)-5-(2,3-xylyl)-, hydrochloride 858813-14-6P, Biguanide, 1-(p-iodophenyl)-5-(2-thiazolyl)-, hydrochloride 878755-09-0P, Biguanide, 1-(p-chlorophenyl)-5-(2-thiazolyl)-, hydrochloride 878755-94-3P, Biguanide, 1-(2-thiazolyl)-5-p-tolyl-, hydrochloride  
 RL: PREP (Preparation)  
 (preparation of)  
 RN 854214-09-8 CAPLUS  
 CN Imidodicarbonimidic diamide, N-(4-bromophenyl)-N'-2-thiazolyl-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

RN 854230-87-8 CAPLUS  
 CN 1,2-Hydrazinedicarboximidamide, N1-(4-nitrophenyl)-N2-2-thiazolyl-, hydrochloride (1:1) (CA INDEX NAME)

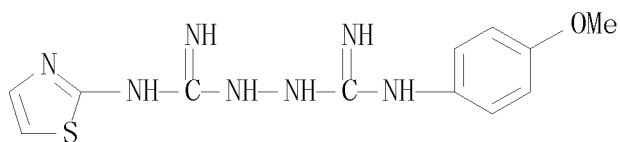




● HCl

RN 854231-21-3 CAPLUS

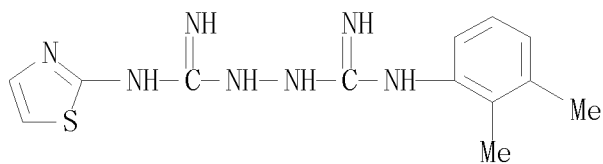
CN 1,2-Hydrazinededicarboximidamide, N1-(4-methoxyphenyl)-N2-2-thiazolyl-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

RN 858812-79-0 CAPLUS

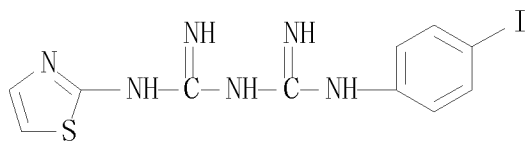
CN 1,2-Hydrazinededicarboximidamide, N1-(2,3-dimethylphenyl)-N2-2-thiazolyl-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

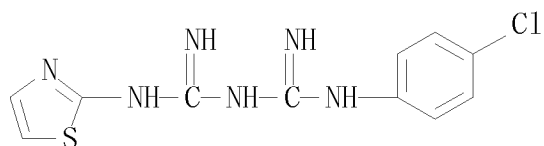
RN 858813-14-6 CAPLUS

CN Imidodicarbonimidic diamide, N-(4-iodophenyl)-N'-2-thiazolyl-, hydrochloride (1:1) (CA INDEX NAME)



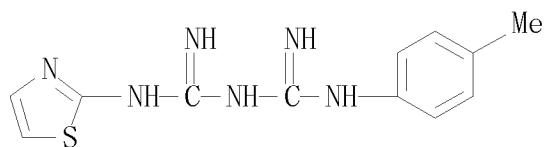
● HCl

RN 878755-09-0 CAPLUS

CN Imidodicarbonimidic diamide, N-(4-chlorophenyl)-N'-2-thiazolyl-,  
hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 878755-94-3 CAPLUS

CN Imidodicarbonimidic diamide, N-(4-methylphenyl)-N'-2-thiazolyl-,  
hydrochloride (1:1) (CA INDEX NAME)

● HCl

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(FILE 'HOME' ENTERED AT 21:32:26 ON 13 AUG 2010)

FILE 'REGISTRY' ENTERED AT 21:32:41 ON 13 AUG 2010

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L2 24 SEA SSS SAM L1

L3 409 SEA SSS FUL L1

D QUE L3 STAT

L4 293 SEA ABB=ON PLU=ON L3 AND CAPLUS/LC

L5 116 SEA ABB=ON PLU=ON L3 NOT L4

L6 45 SEA ABB=ON PLU=ON L5 AND ED<2/15/2005

D 1-45 IDE CAN

FILE 'CAPLUS' ENTERED AT 21:39:41 ON 13 AUG 2010

L7 41 SEA ABB=ON PLU=ON L3

D 1-41 IBIB IABS HIT STR

FILE HOME

FILE REGISTRY

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

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 USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Jun 2010

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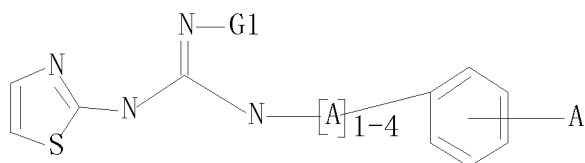
<http://www.cas.org/legal/infopolicy.html>

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L1 HAS NO ANSWERS

L1 STR



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Structure attributes must be viewed using STN Express query preparation.

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COST IN U. S. DOLLARS

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ENTRY

TOTAL  
SESSION

FULL ESTIMATED COST

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542.36

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE  
ENTRY

TOTAL  
SESSION

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